

10/608520

=> file registry

FILE 'REGISTRY' ENTERED AT 09:50:39 ON 21 MAY 2007

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STRUCTURE FILE UPDATES: 20 MAY 2007 HIGHEST RN 935426-16-7

DICTIONARY FILE UPDATES: 20 MAY 2007 HIGHEST RN 935426-16-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> file zcaplus

FILE 'ZCAPLUS' ENTERED AT 09:50:44 ON 21 MAY 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 21 May 2007 VOL 146 ISS 22

FILE LAST UPDATED: 20 May 2007 (20070520/ED)

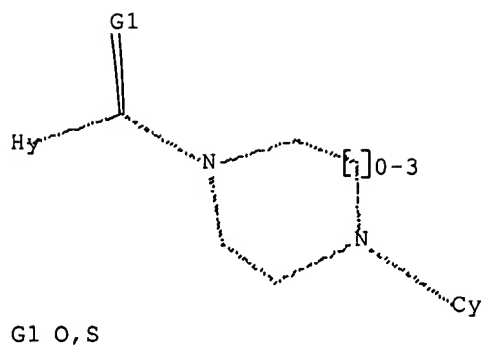
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

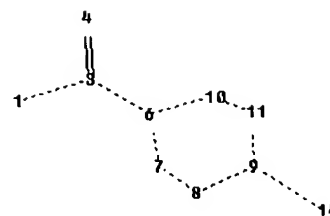
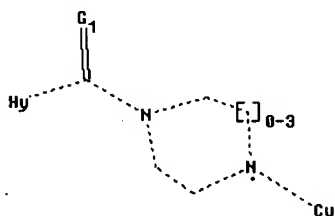
'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L25

L3 STR



Structure attributes must be viewed using STN Express query preparation:
Uploading L3.str



chain nodes :
1 3 4 14
ring nodes :
6 7 8 9 10 11
chain bonds :
1-3 3-4 3-6 9-14
ring bonds :
6-7 6-10 7-8 8-9 9-11 10-11
exact/norm bonds :
1-3 3-4 3-6 6-7 6-10 7-8 8-9 9-11 9-14 10-11

G1:O,S

Match level :
1:Atom 3:CLASS 4:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 14:Atom

Generic attributes :
14:

Saturation : Unsaturated

Element Count :

Node 1: Limited

C,C3

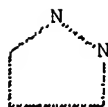
N,N2

O,O0

S,S0

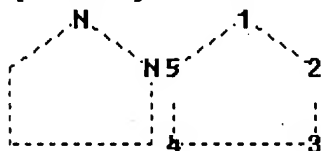
L5

STR



Structure attributes must be viewed using STN Express query preparation:

Uploading L5.str



ring nodes :

1 2 3 4 5

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom

L8	886	SEA	FILE=REGISTRY	SSS	FUL	L3	AND	L5
L9	47	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L8		
L16	336	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	GERLACH	M?/AU	
L17	43	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	EMIG	P?/AU	
L18	55	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	POLYMEROPOULOS	E?/AU	
L19	5456	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	MULLER	G?/AU OR MUELLER	G?/AU
L20	2605	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	SCHMIDT	P?/AU	
L21	24	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	BAASNER	S?/AU	
L22	220	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	GUNTHER	E?/AU	

L25 1 SEA FILE=ZCAPLUS ABB=ON PLU=ON (L16 OR L17 OR L18 OR L19 OR
 L20 OR L21 OR L22) AND L9

=> d stat que L35

L16	336	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	GERLACH M?/AU
L17	43	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	EMIG P?/AU
L18	55	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	POLYMEROPOULOS E?/AU
L19	5456	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	MULLER G?/AU OR MUELLER G?/AU
L20	2605	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	SCHMIDT P?/AU
L21	24	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	BAASNER S?/AU
L22	220	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	GUNTHER E?/AU
L26	11	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L16 AND (L17 OR L18 OR L19 OR L20 OR L21 OR L22)
L27	12	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L17 AND (L18 OR L19 OR L20 OR L21 OR L22)
L28	6	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L18 AND (L19 OR L20 OR L21 OR L22)
L29	12	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L19 AND (L20 OR L21 OR L22)
L30	10	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L20 AND (L21 OR L22)
L31	2	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L21 AND L22
L32	31	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	(L26 OR L27 OR L28 OR L29 OR L30 OR L31)
L33	59516	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	?PIPERAZIN?/BI
L34	50	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L33 AND (L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22)
L35	7	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L32 AND L34

=> d stat que L46

L16	336	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	GERLACH M?/AU
L17	43	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	EMIG P?/AU
L18	55	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	POLYMEROPOULOS E?/AU
L19	5456	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	MULLER G?/AU OR MUELLER G?/AU
L20	2605	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	SCHMIDT P?/AU
L21	24	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	BAASNER S?/AU
L22	220	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	GUNTHER E?/AU
L26	11	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L16 AND (L17 OR L18 OR L19 OR L20 OR L21 OR L22)
L27	12	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L17 AND (L18 OR L19 OR L20 OR L21 OR L22)
L28	6	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L18 AND (L19 OR L20 OR L21 OR L22)
L29	12	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L19 AND (L20 OR L21 OR L22)
L30	10	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L20 AND (L21 OR L22)
L31	2	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L21 AND L22
L41	9	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L26 AND (L27 OR L28 OR L29 OR L30 OR L31)
L42	4	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L27 AND (L28 OR L29 OR L30 OR L31)
L43	5	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L28 AND (L29 OR L30 OR L31)
L44	2	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L29 AND (L30 OR L31)
L45	2	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	L31 AND L31
L46	12	SEA	FILE=ZCAPLUS	ABB=ON	PLU=ON	(L41 OR L42 OR L43 OR L44 OR L45)

=> d stat que L39

L16 336 SEA FILE=ZCAPLUS ABB=ON PLU=ON GERLACH M?/AU
 L17 43 SEA FILE=ZCAPLUS ABB=ON PLU=ON EMIG P?/AU
 L18 55 SEA FILE=ZCAPLUS ABB=ON PLU=ON POLYMEROPOULOS E?/AU
 L19 5456 SEA FILE=ZCAPLUS ABB=ON PLU=ON MULLER G?/AU OR MUELLER G?/AU

 L20 2605 SEA FILE=ZCAPLUS ABB=ON PLU=ON SCHMIDT P?/AU
 L21 24 SEA FILE=ZCAPLUS ABB=ON PLU=ON BAASNER S?/AU
 L22 220 SEA FILE=ZCAPLUS ABB=ON PLU=ON GUNTHER E?/AU
 L38 875 SEA FILE=ZCAPLUS ABB=ON PLU=ON ?CARBONYL PIPERAZIN?/BI
 L39 7 SEA FILE=ZCAPLUS ABB=ON PLU=ON L38 AND (L16 OR L17 OR L18 OR
 L19 OR L20 OR L21 OR L22)

=> d stat que L37

L16 336 SEA FILE=ZCAPLUS ABB=ON PLU=ON GERLACH M?/AU
 L17 43 SEA FILE=ZCAPLUS ABB=ON PLU=ON EMIG P?/AU
 L18 55 SEA FILE=ZCAPLUS ABB=ON PLU=ON POLYMEROPOULOS E?/AU
 L19 5456 SEA FILE=ZCAPLUS ABB=ON PLU=ON MULLER G?/AU OR MUELLER G?/AU

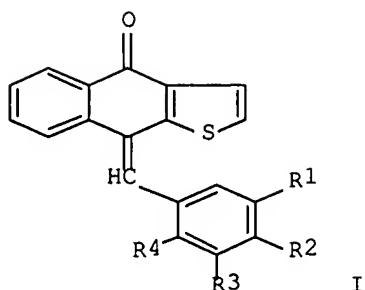
 L20 2605 SEA FILE=ZCAPLUS ABB=ON PLU=ON SCHMIDT P?/AU
 L21 24 SEA FILE=ZCAPLUS ABB=ON PLU=ON BAASNER S?/AU
 L22 220 SEA FILE=ZCAPLUS ABB=ON PLU=ON GUNTHER E?/AU
 L36 738 SEA FILE=ZCAPLUS ABB=ON PLU=ON ?CARBONYLPIPERAZIN?/BI
 L37 4 SEA FILE=ZCAPLUS ABB=ON PLU=ON L36 AND (L16 OR L17 OR L18 OR
 L19 OR L20 OR L21 OR L22)

=> s (L25 or L35 or L46 or L39 or L37)

L61 16 (L25 OR L35 OR L46 OR L39 OR L37)

=> d ibib abs hitind L61 1-16

L61 ANSWER 1 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:1252148 ZCAPLUS Full-text
 DOCUMENT NUMBER: 146:162975
 TITLE: 9-Benzylidene-naphtho[2,3-b]thiophen-4-ones as Novel
 Antimicrotubule Agents - Synthesis, Antiproliferative
 Activity, and Inhibition of Tubulin Polymerization
 AUTHOR(S): Zuse, Anne; *Schmidt, Peter; Baasner,*
Silke; Boehm, Konrad J.; Mueller, Klaus;
Gerlach, Matthias; Guenther, Eckhard G.;
 Unger, Eberhard; Prinz, Helge
 CORPORATE SOURCE: Institute of Pharmaceutical and Medicinal Chemistry,
 Westphalian Wilhelms-University, Muenster, D-48149,
 Germany
 SOURCE: Journal of Medicinal Chemistry (2006), 49(26),
 7816-7825
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 146:162975
 GI



AB A novel series of 9-benzylidene-naphtho[2,3-b]thiophen-4-ones[e.g., I [R1 = H, OH, OMe, Cl, Br, Me; R2 = H, OH, OMe, NO2; R3 = H, OH, OMe, Cl, Br; R4 = H, OH, OMe]] and structurally related compds. were synthesized and evaluated for their ability to inhibit tubulin polymerization. The 4-hydroxy-3,5-dimethoxybenzylidene analog was identified as a potent cytotoxic agent in an assay based on K562 leukemia cells. Antiproliferative activity of the 4-hydroxy-3,5-dimethoxybenzylidene analog and the 2,4-dimethoxy-3-hydroxybenzylidene analog was addnl. evaluated against a panel of 12 tumor cell lines, including multidrug resistant phenotypes. All resistant cell lines were sensitive to these compds. Concentration-dependent flow cytometric studies showed that K562 cells as well as KB/HeLa cells treated by the 4-hydroxy-3,5-dimethoxybenzylidene analog were arrested in the G2/M phases of the cell cycle. Moreover, four compds. strongly inhibited tubulin polymerization with activities higher or comparable to those of the reference compds. In competition expts., the most active compds. strongly displaced radiolabeled colchicine from its binding site in the tubulin, showing IC50 values virtually 3- to 4-fold lower than that of colchicine.

CC 27-9 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 2 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:53914 ZCAPLUS Full-text

DOCUMENT NUMBER: 144:150233

TITLE: Preparation of 1,2,3,4-tetrahydrocarbázoles as gonadotropin-releasing hormone receptor (LHRH) antagonist

INVENTOR(S): Paulini, Klaus; **Gerlach, Matthias**; Guenther, Eckhard; **Polymeropoulos, Emmanuel**; **Baasner, Silke**; **Schmidt, Peter**; Kuehne, Ronald; Soederhaell, Arvid

PATENT ASSIGNEE(S): Zentaris G.m.b.H., Germany; Solvay Pharmaceuticals B.V.

SOURCE: PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006005484	A1	20060119	WO 2005-EP7255	20050705

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ; EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

DE 102004033902	A1	20060216	DE 2004-102004033902	20040714
US 2006014818	A1	20060119	US 2005-172142	20050630
AU 2005261930	A1	20060119	AU 2005-261930	20050705
CA 2565850	A1	20060119	CA 2005-2565850	20050705
EP 1765776	A1	20070328	EP 2005-764033	20050705

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

PRIORITY APPLN. INFO.:

DE 2004-102004033902A	20040714
US 2004-587969P	P 20040714
US 2005-683178P	P 20050520
WO 2005-EP7255	W 20050705

OTHER SOURCE(S): MARPAT 144:150233

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X1 = S, O; X2, X3 = O with provisos; R1, R2 = H, aryl, alkyl, etc.; R3 = alkyl, arylalkyl, heteroarylalkyl, etc.; R4, R5, R6, R7 = H, halo, CN, etc.; R9 = H, alkyl, aryl, etc.; R10 = R11, COR11, CO2R11, etc.; R11 = alkyl, aryl, heteroaryl, etc.; R8 = alkylaryl, alkylheteroaryl, etc.;] and their pharmaceutically acceptable salts were prepared For example, tetrahydrocarbazole II was prepared via solid phase synthesis from FmocValOH in 14% yield. In LHRH receptor binding assays, 7-examples of compds. I exhibited EC50 values ranging from 80-1.0 x 10⁻¹⁰ M.

IC ICM C07D209-82

ICS A61K031-403; A61P015-00; A61P035-00; A61P043-00

CC 27-11 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 3 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:141028 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:240315

TITLE: Preparation of indolyl-3-glyoxylic acid amides for the treatment of tumors

INVENTOR(S): Gerlach, Matthias; Schuster, Tilmann;
Schmidt, Peter; Baasner, Silke;
Guenther, Eckhard

PATENT ASSIGNEE(S): Zentaris G.m.b.H., Germany

SOURCE: PCT Int. Appl., 25 pp.

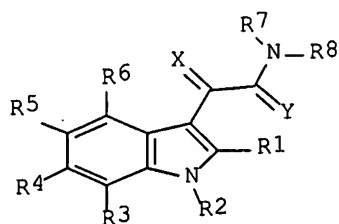
CODEN: PIXXD2

DOCUMENT TYPE: Patent

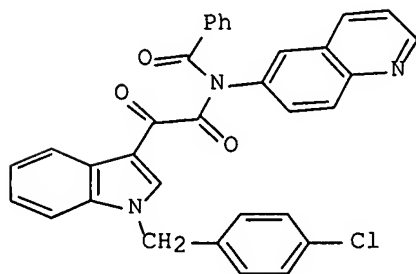
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014542	A2	20050217	WO 2004-EP7573	20040709
WO 2005014542	A3	20061019		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10334040	A1	20050310	DE 2003-10334040	20030725
AU 2004263238	A1	20050217	AU 2004-263238	20040709
CA 2533433	A1	20050217	CA 2004-2533433	20040709
EP 1651600	A2	20060503	EP 2004-740854	20040709
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1839129	A	20060927	CN 2004-80024083	20040709
BR 2004012898	A	20061003	BR 2004-12898	20040709
JP 2007503376	T	20070222	JP 2006-521430	20040709
IN 2006MN00116	A	20061006	IN 2006-MN116	20060131
NO 2006000697	A	20060214	NO 2006-697	20060214
PRIORITY APPLN. INFO.:			DE 2003-10334040	A 20030725
			WO 2004-EP7573	W 20040709
OTHER SOURCE(S):		MARPAT 142:240315		
GI				



I



II

AB Title compds. I [R1, R3, R4, R5, R6 = H, (un)substituted alkyl, cycloalkyl, aryl, etc.; R7 = SO₂X1, COX2, COOX3, etc.; X1 = N(alkyl)₂, OH, (un)substituted alkyl, etc.; X2 = (un)substituted aryl, heteroaryl, alkylaryl, etc.; X3 = (un)substituted cycloalkyl, heterocyclyl, aryl, etc.; R8 = Het; X = O, S with provisos; Y = O, S] and their pharmaceutically acceptable salts were prepared In human cervical cancer cell line (KB/HeLa) antiproliferative assay, indolylglyoxylic acid amide II exhibited an IC₅₀ value of 0.170 µg/mL. Compds. I are claimed to be useful for the treatment of tumors.

IC ICM C07D209-00

CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1

L61 ANSWER 4 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:78242 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:176683

TITLE: Preparation of N-substituted indolyl-3-glyoxylamides as antitumor agents

INVENTOR(S): *Gerlach, Matthias*; Schuster, Tilmann;
Schmidt, Peter; Baasner, Silke;
Gunther, Eckhard

PATENT ASSIGNEE(S): Zentaris GmbH, Germany

SOURCE: U.S. Pat. Appl. Publ., 9 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

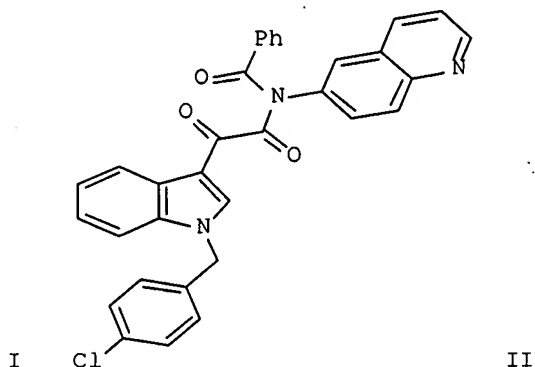
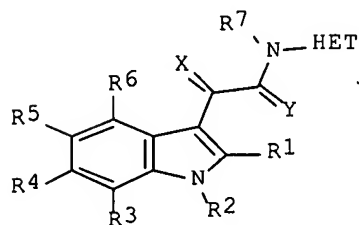
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005020636	A1	20050127	US 2004-892040	20040715
US 7211588	B2	20070501		
PRIORITY APPLN. INFO.:			US 2003-490004P	P 20030725
OTHER SOURCE(S):		CASREACT 142:176683; MARPAT 142:176683		

GI



AB The title compds. I [R1, R3-R6 = H, (un)substituted (cyclo)alkyl, (hetero)aryl, alkylaryl, etc.; R2 = (un)substituted alkyl, alkylaryl, alkylheteroaryl; R7 = SO₂X1 (wherein X1 = dialkylamino, OH, (un)substituted (cyclo)alkyl, (hetero)aryl, etc.), COX2 (X2 = (un)substituted (hetero)aryl,

alkylaryl, alkylheteroaryl), etc.; X = O, S or geminally linked H and OH; Y = O, S; HET = (un)saturated or aromatic heterocycle comprising N, O and S which can be bonded to the amide nitrogen directly or via alkyl bridge], useful as medicaments, in particular for the treatment of tumors, were prepared General procedure for synthesis of compds. I such as II which comprises reacting 2-[1-(4-chlorobenzyl)-1H-indol-3-yl]-2-oxo-N-quinolin-6-ylacetamide with acyl chloride, was described. The compound II was tested for inhibition of selected tumor cell lines and antiproliferative action on MDR tumor cell lines (data given). The pharmaceutical composition comprising the compound I is disclosed.

IC ICM A61K031-4439

ICS A61K031-405; C07D043-02

INCL 514337000; X51-441.4; X54-846.5; X54-627.81

CC 27-11 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 5 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:74111 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:176867

TITLE: Preparation of acridinyl **piperazinyl** methanones and related compounds as anticancer drugs.

INVENTOR(S): **Gerlach, Matthias; Emig, Peter;**
Paulini, Klaus; Czech, Michael; Schuster, Tilmann;
Guenther, Eckhard

PATENT ASSIGNEE(S): Zentaris G.m.b.H., Germany

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

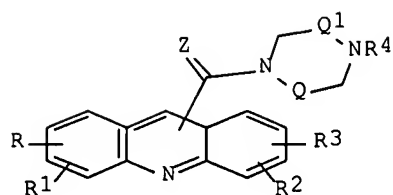
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007643	A1	20050127	WO 2004-EP7020	20040629
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

DE 10331500 A1 20050224 DE 2003-10331500 20030711

PRIORITY APPLN. INFO.: DE 2003-10331500 A 20030711

OTHER SOURCE(S): MARPAT 142:176867

GI



AB Title compds. [I; Z = O, S; m, n = 0-4; R-R3 = H, OH, OR5; R4 = (substituted) aryl, aralkyl, heteroaryl, heteroaralkyl; R5 = acyl; Q = (CH2)m; Q1 = (CH2)n], were prepared Thus, glutaric acid mono-[3-[4-(acridin-9-carbonyl)piperazin-1-yl]phenyl]ester showed EC50 = 0.01 µg/mL against KB/HeLa cells in an XTT proliferation assay.

IC ICM C07D401-12
ICS C07D219-04; C07D405-12; C07D493-04; C07F009-08; A61K031-473; A61P035-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

ST acridinyl **piperazinyl** methanone prepn anticancer; tubulin polymn inhibitor **piperazinylcarbonylacridine** prepn

IT Tubulins
RL: BSU (Biological study, unclassified); BIOL (Biological study) (polymerization inhibitors; preparation of acridinyl **piperazinyl** methanones and related compds. as anticancer drugs)

IT Antitumor agents
Drug delivery systems
Human
(preparation of acridinyl **piperazinyl** methanones and related compds. as anticancer drugs)

IT Neoplasm
(treatment; preparation of acridinyl **piperazinyl** methanones and related compds. as anticancer drugs)

IT 824409-54-3P 824409-60-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(claimed compound; preparation of acridinyl **piperazinyl** methanones and related compds. as anticancer drugs)

IT 824409-51-0P 824409-52-1P 824409-53-2P 824409-55-4P 824409-56-5P
824409-57-6P 824409-58-7P 824409-59-8P 824409-61-2P 824409-63-4P
824409-65-6P 824409-67-8P 824409-68-9P 824409-69-0P 824409-71-4P
824409-72-5P 824409-73-6P 824409-75-8P 824409-77-0P 824409-79-2P
824409-80-5P 824409-81-6P 824409-82-7P 824409-83-8P 824409-84-9P
824409-85-0P 824409-86-1P 824409-87-2P 824409-88-3P 824409-89-4P
824409-90-7P 824409-91-8P 824409-92-9P 824409-93-0P 824409-94-1P
824409-95-2P 824409-96-3P 824409-97-4P 834155-60-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of acridinyl **piperazinyl** methanones and related compds. as anticancer drugs)

IT 824409-50-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acridinyl **piperazinyl** methanones and related

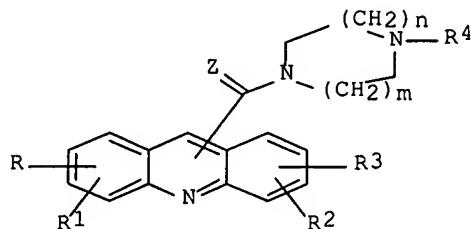
compds. as anticancer drugs)
IT 79-22-1, Methyl chloroformate 108-24-7, Acetic anhydride 124-63-0,
Methanesulfonyl chloride 1605-65-8, Bis(dimethylamino)phosphoryl
chloride 1795-48-8, Isopropyl isocyanate 2524-64-3, Diphenyl
chlorophosphate 5336-90-3, 9-Acridinecarboxylic acid 28332-99-2
55745-89-6 59817-32-2, 1-(3-Hydroxyphenyl)**piperazine**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of acridinyl **piperazinyl** methanones and related
compds. as anticancer drugs)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 6 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:53490 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:309180
TITLE: Design and synthesis of a focused library of novel
aryl- and heteroaryl-ketopiperazides
AUTHOR(S): **Gerlach, Matthias**; Claus, Eckhard;
Baasner, Siike; **Mueller, Gilbert**;
Polymeropoulos, Emmanuel; **Schmidt,**
Peter; Guenther, Eckhard; Engel, Juergen
CORPORATE SOURCE: Drug Discovery, Zentaris GmbH, Frankfurt am Main,
Germany
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2004),
337(12), 695-703
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 1-Phenyl-4-**piperazinyl**-carbonyl-substituted nitrogen-containing heterocycles
were discovered at Zentaris as a new class of potent, synthetic, small mol.
tubulin inhibitors with strong antiproliferative activity. The lead structure
of this class, D-24203, proved to be a potent inhibitor of in vivo tumor
growth in different xenograft models including mammary and renal cancers. As
part of our efforts in the lead optimization process to expand structural
diversity as well as to optimize bioavailability parameters such as solubility
and metabolic stability for these compds., we produced and evaluated a focused
library containing 320 compds. Five new heterocyclic compound classes with
comparable activity properties in the cytotoxicity and tubulin polymerization
assay could be identified. In silico calculated bioavailability parameters
for selected library members provides new compound classes with improved
solubility properties. Library design, development of adequate solution phase
methodol., and synthesis will be presented, as well as results of lead
optimization.
CC 1-3 (Pharmacology)
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 7 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:34599 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:134620
TITLE: Preparation of acridine derivatives as antitumor
agents
INVENTOR(S): **Gerlach, Matthias**; **Emig, Peter**;
Paulini, Klaus; Czech, Michael; Schuster, Tilman;
Gunther, Eckhard
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005009809	A1	20050113	US 2004-879280	20040629
PRIORITY APPLN. INFO.:			US 2003-486525P	P 20030711
OTHER SOURCE(S):	MARPAT 142:134620			
GI				



I

AB The invention relates to (heterocyclylcarbonyl)acridine derivs. of the formula (I) [Z = O, S; n, m = 0-4; R, R1, R2, R3 may optionally be attached to the heteroarom. carbon atoms C1 to C9 of the acridine, are identical or different and independently of one another are H, HO or OR5, but the radicals R, R1, R2 and R3 are not simultaneously H; R4 = C6-14 aryl, C6-14 aryl-C1-4 alkyl, C2-10 heteroaryl or C2-10 heteroaryl-C1-4 alkyl containing one or more heteroatoms selected from the group consisting of N, O and S, where the C1-4 alkyl radical may be unsubstituted or mono or polysubstituted; or, if R, R1, R2, R3 may optionally be attached to the heteroarom. carbon atoms C1 to C9 of the acridine, are identical or different and independently of one another and are H, straight-chain or branched C1-8 alkyl, C3-7 cycloalkyl, straight-chain or branched C1-8 alkylcarbonyl, HO, straight-chain or branched C1-8 alkoxy, halogen, straight-chain or branched aryl-C1-8 alkoxy, trityloxy, trimethylsilyloxy, amino, mono(C1-4 alkyl)amino, di(C1-4 alkyl)amino, (C2-5 cycloalkyl)amino, morpholino, etc.; R5 = -SO2-X1 (where X1 = NMe2, hydroxy, alkoxy, etc.), C(O)-X2 (where X2 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl, etc.), etc.] or physiol. acceptable salts thereof. These compds. are useful for treating benign and malignant tumors in humans and mammals. Thus, 6.66 g (11.06 mmol) polymer-bound N-benzyl-N-cyclohexylcarbodiimide (1.66 mmol/g) was added to a solution of 1.8 g (7.05 mmol) 1,3-dihydroxyacridine-9-carboxylic acid in 40 mL DMF. The mixture was heated at 60° and allowed to react for 30 min, treated with 1.03 g (5.64 mmol) 1-(6-methyl-2-pyridinyl)piperazine, and allowed to react for a further 4 h to give, after workup and silica gel chromatog., 2.3 g (1,3-dihydroxyacridin-9-yl)[4-(6-methylpyridin-2-yl)piperazin-1-yl]methanone (74.8%). The compds. I inhibited the proliferation of human tumor cell lines such as human cervical carcinoma cell line KB/Hela, ovarian adenocarcinoma cell line SKOV3, human glioblastoma cell line SF-268, and lung carcinoma cell line NCI-H460 with EC50 of 0.007-0.293 µg/mL. Six compds. I were also tested for inhibiting the polymerization of tubulin and exhibited EC50 of 1.08-4.82.

IC ICM A61K031-551

ICS A61K031-496; C07D043-02

INCL 514218000; 514253030; 540575000; 544361000

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

- ST tubulin polymn inhibitor acridine deriv prepn; acridine deriv prepn
antitumor; heterocyclylcarbonylacridine prepn antitumor;
acridinylpiperazinylmethanone prepn antitumor
- IT 824409-54-3P 824409-55-4P, Mono[3-[4-(acridin-9-ylcarbonyl)
piperazin-1-yl]phenyl] phosphate 824409-60-1P,
(1,3-Dihydroxyacridin-9-yl)[4-(3-methoxyphenyl)**piperazin**
-1-yl]methanone
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of (heterocyclylcarbonyl)acridine derivs. as
antitumor agents)
- IT 824409-50-9P, (Acridin-9-yl)[4-(3-hydroxyphenyl)**piperazin**
-1-yl]methanone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of (heterocyclylcarbonyl)acridine derivs. as
antitumor agents)
- IT 824409-51-0P, (1,3-Dihydroxyacridin-9-yl)[4-(6-methylpyridin-2-yl)
piperazin-1-yl]methanone 824409-52-1P, 3-[4-(Acridin-9-
ylcarbonyl)**piperazin-1-yl**]phenyl isopropylcarbamate
824409-53-2P, 3-[4-(Acridin-9-ylcarbonyl)**piperazin**
-1-yl]phenyl acetate 824409-56-5P, Mono[3-[4-(acridin-9-
ylcarbonyl)**piperazin-1-yl**]phenyl] phosphate disodium
salt 824409-57-6P, 3-[4-(Acridin-9-ylcarbonyl)
piperazin-1-yl]phenyl methyl carbonate 824409-58-7P,
3-[4-(Acridin-9-ylcarbonyl)**piperazin-1-yl**]phenyl
methanesulfonate 824409-59-8P 824409-61-2P, 3-Acetoxy-9-[4-(3-
methoxyphenyl)**piperazin-1-ylcarbonyl**]acridin-1-yl acetate
824409-63-4P, 3-[4-(Acridin-9-ylcarbonyl)**piperazin**
-1-yl]phenyl 2-chloroethyl carbonate 824409-65-6P, 3-[4-(Acridin-9-
ylcarbonyl)**piperazin-1-yl**]phenyl (2-
hydroxyethyl)carbamate 824409-67-8P, [4-(3-Chlorophenyl)
piperazin-1-yl](1,3-dihydroxyacridin-9-yl)methanone
824409-68-9P, [4-(6-Chloropyridin-2-yl)**piperazin**
-1-yl](1,3-dihydroxyacridin-9-yl)methanone 824409-69-0P,
(1,3-Dihydroxyacridin-9-yl)(2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-
yl)methanone 824409-71-4P, Bis[3-[4-(Acridin-9-ylcarbonyl)
piperazin-1-yl]phenyl] phosphate 824409-72-5P,
(1,3-Dihydroxyacridin-9-yl)[4-(6-methoxypyridin-2-yl)**piperazin**
-1-yl]methanone 824409-73-6P, (1,3-Dihydroxyacridin-9-yl)[4-(3-
hydroxyphenyl)**piperazin-1-yl**]methanone 824409-75-8P
824409-77-0P, Bis[3-[4-(Acridin-9-ylcarbonyl)**piperazin**
-1-yl]phenyl] carbonate 824409-78-1P 824409-79-2P, 3-[4-(Acridin-9-
ylcarbonyl)**piperazin-1-yl**]phenyl 4-(4-
methylpiperazin-1-yl)-4-oxobutyrate 824409-80-5P,
Mono[3-[4-(acridin-9-ylcarbonyl)**piperazin-1-yl**]phenyl]
glutarate 824409-81-6P, 3-[4-(Acridin-9-ylcarbonyl)
piperazin-1-yl]phenyl 5-(2,2-dimethyl-[1,3]dioxolan-4-yl)-2,2-
dimethyltetrahydrofuro[2,3-d][1,3]dioxol-6-yl succinate 824409-82-7P
824409-83-8P, 3-[4-(Acridin-9-ylcarbonyl)**piperazin**
-1-yl]phenyl 2,3,5-trihydroxy-6-hydroxymethyltetrahydropyran-4-yl
succinate 824409-84-9P, 3-[4-(Acridin-9-ylcarbonyl)
piperazin-1-yl]phenyl 5-(2,3,5-trihydroxy-6-
hydroxymethyltetrahydropyran-4-yloxy)pentanoate 824409-85-0P
824409-86-1P, 3-[4-(Acridin-9-ylcarbonyl)**piperazin**
-1-yl]phenyl 4-(morpholin-4-yl)piperidine-1-carboxylate 824409-87-2P,
3-[4-(Acridin-9-ylcarbonyl)**piperazin-1-yl**]phenyl
[2-(morpholin-4-yl)ethyl]carbamate 824409-88-3P, 3-[4-(Acridin-9-

ylcarbonyl)piperazin-1-yl]phenyl (2-chloroethyl)carbamate 824409-89-4P 824409-90-7P 824409-91-8P 824409-92-9P 824409-93-0P, (2-Hydroxyacridin-9-yl)[4-(3-methoxyphenyl)piperazin-1-yl]methanone 824409-94-1P, (2-Hydroxyacridin-9-yl)[4-(3-hydroxyphenyl)piperazin-1-yl]methanone 824409-95-2P, (1,3-Dihydroxyacridin-9-yl)[4-(4-methylpyridin-2-yl)piperazin-1-yl]methanone 824409-96-3P, 3-Acetoxy-9-[4-(6-methylpyridin-2-yl)piperazin-1-ylcarbonyl]acridin-1-yl acetate 824409-97-4P, 3-Acetoxy-9-[4-(6-methoxypyridin-2-yl)piperazin-1-ylcarbonyl]acridin-1-yl acetate
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (heterocyclylcarbonyl)acridine derivs. as antitumor agents)
 IT 79-22-1, Methyl chloroformate 108-24-7, Acetic anhydride 124-63-0, Methanesulfonyl chloride 1605-65-8 1795-48-8, Isopropyl isocyanate 2524-64-3, Diphenyl chlorophosphate 28332-99-2, 1,3-Dihydroxyacridine-9-carboxylic acid 55745-89-6, 1-(6-Methyl-2-pyridinyl)piperazine 59817-32-2, N-(3-Hydroxyphenyl)piperazine 332927-03-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of (heterocyclylcarbonyl)acridine derivs. as antitumor agents)

L61 ANSWER 8 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1080885 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:56172

TITLE: Preparation of 1-(4-chlorobenzyl)indoles as tubulin polymerization inhibitors with apoptosis inducing activity

INVENTOR(S): Gerlach, Matthias; Schuster, Tilmann; Emig, Peter; Schmidt, Peter; Bassner, Silke; Guenther, Eckhard

PATENT ASSIGNEE(S): Zentaris G.m.b.H., Germany

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

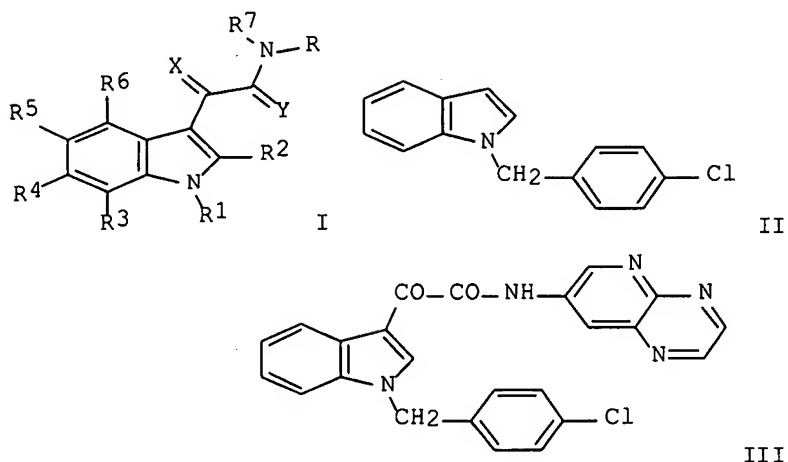
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108702	A1	20041216	WO 2004-EP5593	20040525
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1484329	A1	20041208	EP 2003-12868	20030606
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
EP 1595878	A1	20051116	EP 2004-11598	20040515
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			

AU 2004245198	A1	20041216	AU 2004-245198	20040525
CA 2526663	A1	20041216	CA 2004-2526663	20040525
EP 1641777	A1	20060405	EP 2004-734662	20040525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010998	A	20060704	BR 2004-10998	20040525
CN 1816543	A	20060809	CN 2004-80019271	20040525
NO 2006000045	A	20060301	NO 2006-45	20060104

PRIORITY APPLN. INFO.:

US 2003-476277P	P	20030605
EP 2003-12868	A	20030606
EP 2004-11598	A	20040515
WO 2004-EP5593	W	20040525

OTHER SOURCE(S): MARPAT 142:56172
GI



AB Title compounds I [R = (un)substituted heterocycle containing N, O, S heteroatoms; R1 = (un)substituted alkyl-aryl; R2 = H, (un)substituted alkyl; R3, R4, R5, R6 = H, (un)substituted alkyl, cycloalkyl, etc.; R7 = alkylcarbonyl, alkoxy carbonyl; X, Y = S, O] and their pharmaceutically acceptable salts were prepared. For example, oxalyl chloride acylation of chlorobenzylindole II, i.e., prepared from indole and 4-chlorobenzyl chloride, followed by pyrido[2,3-b]pyrazin-7-amine amidation afforded claimed chlorobenzylindole III in 68% yield. In human tubulin polymerization inhibition assays, 4-examples of compds. I exhibited EC50 values ranging from 0.71-1.26 µg/mL, i.e., the EC50 value of chlorobenzylindole III was 0.71 µg/mL. Compds. I are claimed to be useful as antitumor agents.

IC ICM C07D401-12

ICS C07D403-12; C07D471-04; A61K031-404; A61P035-00; C07D241-00; C07D221-00

CC 27-11 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 9 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1054280 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:38145

TITLE: Preparation of 1-(4-chlorobenzyl)indoles as tubulin

polymerization inhibitors with apoptosis inducing activity

INVENTOR(S): **Emig, Peter; Gerlach, Matthias;**
Paulini, Klaus; Czech, Michael; Schuster, Tilmann;
Schmidt, Peter; Baasner, Silke;
Guenther, Eckhard

PATENT ASSIGNEE(S): Zentaris G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1484329	A1	20041208	EP 2003-12868	20030606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004245198	A1	20041216	AU 2004-245198	20040525
CA 2526663	A1	20041216	CA 2004-2526663	20040525
WO 2004108702	A1	20041216	WO 2004-EP5593	20040525
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1641777	A1	20060405	EP 2004-734662	20040525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010998	A	20060704	BR 2004-10998	20040525
CN 1816543	A	20060809	CN 2004-80019271	20040525
US 2004266762	A1	20041230	US 2004-858751	20040602
US 7205299	B2	20070417		
NO 2006000045	A	20060301	NO 2006-45	20060104
PRIORITY APPLN. INFO.:			US 2003-476277P	P 20030605
			EP 2003-12868	A 20030606
			US 2003-476794P	P 20030606
			EP 2004-11598	A 20040515
			US 2004-572025P	P 20040517
			WO 2004-EP5593	W 20040525

OTHER SOURCE(S): MARPAT 142:38145

GI



RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
 DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
 SI, SK, TR

CA 2438001	A1	20040224	CA 2003-2438001	20030822
AU 2003296872	A1	20040430	AU 2003-296872	20030822
US 2004106662	A1	20040603	US 2003-646904	20030822
EP 1536789	A1	20050608	EP 2003-794920	20030822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, SK				
BR 2003013789	A	20050705	BR 2003-13789	20030822
CN 1678310	A	20051005	CN 2003-820093	20030822
JP 2006500398	T	20060105	JP 2004-535140	20030822
NZ 538926	A	20060331	NZ 2003-538926	20030822
ZA 2005001196	A	20050901	ZA 2005-1196	20050210
IN 2005KN00263	A	20060616	IN 2005-KN263	20050224
NO 2005001444	A	20050519	NO 2005-1444	20050318

PRIORITY APPLN. INFO.: US 2002-405594P P 20020824
 WO 2003-EP9329 W 20030822

OTHER SOURCE(S): MARPAT 140:264487

AB The invention discloses disorazole compds. which are used as medicaments,
 preferably in the treatment of tumors, especially in the case of drug
 resistance and in metastasizing carcinoma. Possible uses thereof are not
 restricted to tumor diseases.

IC ICM A61K031-424
 ICS C07D498-22; C07D498-18

CC 1-6 (Pharmacology)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 11 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:75996 ZCAPLUS Full-text

DOCUMENT NUMBER: 140:146164

TITLE: Preparation of (anthracenyl)(piperazinyl)
)methanones as antitumor agents

INVENTOR(S): *Emig, Peter*; Guenther, Eckhard; Aue, Beate;
Polymeropoulos, Emmanuel; Baasner,
Silke; Schmidt, Peter

PATENT ASSIGNEE(S): Zentaris A.-G., Germany

SOURCE: Ger. Offen., 31 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

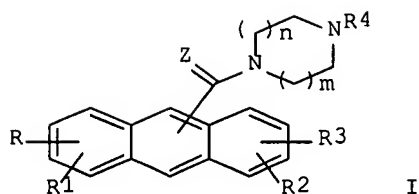
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 10232525	A1	20040129	DE 2002-10232525	20020718
PRIORITY APPLN. INFO.:			DE 2002-10232525	20020718

OTHER SOURCE(S): MARPAT 140:146164

GI



AB Title compds. [I; R-R3 = H, OH, halo, (branched) alkyl, cycloalkyl, alkylcarbonyl, alkoxy, arylalkoxy, etc.; Z = O, S; n, m = 0-4; R4 = (branched) (saturated) (substituted) alkyl, aryl, arylalkyl, etc.], were prepared Thus, anthracene-9-carboxylic acid in DMF was treated successively with N-methylmorpholine, 1-(4-nitrophenyl)piperazine, and Py-BOP followed by stirring for 4 h at room temperature to give 79.7% 1-(4-nitrophenyl)-4-(anthracen-9-ylcarbonyl)piperazine . Anthracen-9-yl-[4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone inhibited proliferation of different human tumor cells in XTT cytotoxicity test with EC50 = 0.047->3.16 µg/mL.

IC ICM C07D295-10
ICS A61K031-496

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

ST anthracenylpiperazinylmethanone prepn antitumor agent; methanone anthracenyl piperazinyl prepn human tumor cell proliferation inhibition

IT Cell proliferation
(inhibition; preparation of (anthracenyl)(piperazinyl)methanones as antitumor agents)

IT Tubulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(polymerization inhibition; preparation of (anthracenyl)(piperazinyl)methanones as antitumor agents)

IT Antitumor agents
Human
(preparation of (anthracenyl)(piperazinyl)methanones as antitumor agents)

IT Neoplasm
(treatment; preparation of (anthracenyl)(piperazinyl)methanones as antitumor agents)

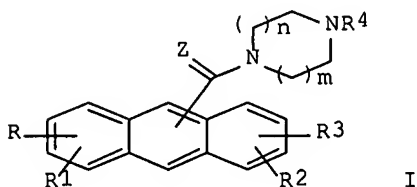
IT 647854-29-3P 647854-30-6P 647854-31-7P 647854-32-8P 647854-33-9P
647854-34-0P 647854-35-1P 647854-36-2P 647854-37-3P 647854-38-4P
647854-39-5P 647854-40-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (anthracenyl)(piperazinyl)methanones as antitumor agents)

IT 723-62-6, Anthracene-9-carboxylic acid 6269-89-2, 1-(4-Nitrophenyl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (anthracenyl)(piperazinyl)methanones as antitumor agents)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2004:60486 ZCAPLUS Full-text
 DOCUMENT NUMBER: 140:111430
 TITLE: Preparation of (anthracenyl)(*piperazinyl*
)methanones as antitumor agents
 INVENTOR(S): *Emig, Peter*; Guenther, Eckhard; Aue, Beate;
Polymeropoulos, Emmanuel; *Baasner,*
Silke; *Schmidt, Peter*
 PATENT ASSIGNEE(S): Zentaris GmbH, Germany
 SOURCE: PCT Int. Appl., 70 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007470	A1	20040122	WO 2003-EP5156	20030516
W: AU, BR, BY, CA, CN, CO, GE, HR, HU, ID, IL, IN, IS, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PH, PL, RO, RU, SG, UA, UZ, YU, ZA RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2003232785	A1	20040202	AU 2003-232785	20030516
EP 1521748	A1	20050413	EP 2003-763626	20030516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, SK				
CN 1668604	A	20050914	CN 2003-816919	20030516
JP 2005537258	T	20051208	JP 2004-520367	20030516
CA 2435399	A1	20040117	CA 2003-2435399	20030717
US 2004110756	A1	20040610	US 2003-621590	20030717
PRIORITY APPLN. INFO.:			US 2002-396683P	P 20020717
			WO 2003-EP5156	W 20030516
OTHER SOURCE(S):		MARPAT 140:111430		
GI				



AB Title compds. [I; R-R3 = H, OH, halo, (branched) alkyl, cycloalkyl, alkylcarbonyl, alkoxy, arylalkoxy, etc.; Z = O, S; n, m = 0-4; R4 = (branched) (saturated) (substituted) alkyl, aryl, arylalkyl, etc.], were prepared Thus, anthracene-9-carboxylic acid in DMF was treated successively with N-methylmorpholine, 1-(4-nitrophenyl)*piperazine*, and Py-BOP followed by stirring for 4 h at room temperature to give 79.7% 1-(4-nitrophenyl)-4-(anthracen-9-ylcarbonyl)*piperazine*. Anthracen-9-yl-[4-(3,5-dimethoxyphenyl)*piperazin*-1-yl]methanone inhibited proliferation of different human tumor cells in XTT cytotoxicity test with EC50 = 0.047->3.16 µg/mL.
 IC ICM C07D241-04

ICS A61K031-445; A61P035-00
 CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63
 ST **anthracenylpiperazinylmethanone** prepn antitumor agent; methanone
 anthracenyl **piperazinyl** prepn human tumor cell proliferation
 inhibition
 IT Cell proliferation
 (inhibition; preparation of (anthracenyl)(**piperazinyl**)methanones
 as antitumor agents)
 IT Tubulins
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (polymerization inhibition; preparation of (anthracenyl)(**piperazinyl**)
)methanones as antitumor agents)
 IT Antitumor agents
 Human
 (preparation of (anthracenyl)(**piperazinyl**)methanones as antitumor
 agents)
 IT Neoplasm
 (treatment; preparation of (anthracenyl)(**piperazinyl**)methanones as
 antitumor agents)
 IT 647854-29-3P 647854-30-6P 647854-31-7P 647854-32-8P 647854-33-9P
 647854-34-0P 647854-35-1P 647854-36-2P 647854-37-3P 647854-38-4P
 647854-39-5P 647854-40-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of (anthracenyl)(**piperazinyl**)methanones as antitumor
 agents)
 IT 723-62-6, Anthracene-9-carboxylic acid 6269-89-2, 1-(4-Nitrophenyl)
piperazine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of (anthracenyl)(**piperazinyl**)methanones as antitumor
 agents)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 13 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:20666 ZCAPLUS Full-text
 DOCUMENT NUMBER: 140:77166
 TITLE: Preparation of **arylcarbonylpiperazines** and
heteroarylcarbonylpiperazines for treating
 benign and malignant tumor diseases
 INVENTOR(S): **Emig, Peter; Gerlach, Matthias;**
Polymeropoulos, Emmanuel; Mueller,
Gilbert; Schmidt, Peter; Baasner,
Silke; Guenther, Eckhard
 PATENT ASSIGNEE(S): Zentaris Gmbh, Germany
 SOURCE: PCT Int. Appl., 45 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

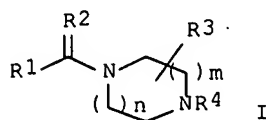
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002965	A1	20040108	WO 2003-EP6555	20030620
W: AU, BR, BY, CA, CN, CO, GE, HR, HU, ID, IL, IN, IS, JP, KR, KZ,				
LT, LV, MK, MX, NO, NZ, PH, PL, RO, RU, SG, UA, UZ, YU, ZA				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,				

DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
SI, SK, TR

AU 2003246571	A1	20040119	AU 2003-246571	20030620
EP 1517898	A1	20050330	EP 2003-761482	20030620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003012294	A	20050412	BR 2003-12294	20030620
CN 1665792	A	20050907	CN 2003-815485	20030620
NZ 537916	A	20051125	NZ 2003-537916	20030620
JP 2005538968	T	20051222	JP 2004-516632	20030620
CA 2433983	A1	20031229	CA 2003-2433983	20030627
US 2004097734	A1	20040520	US 2003-608520	20030627
ZA 2004009610	A	20050418	ZA 2004-9610	20041126
IN 2004KN01913	A	20060922	IN 2004-KN1913	20041214
NO 2005000428	A	20050125	NO 2005-428	20050125
PRIORITY APPLN. INFO.:			US 2002-393027P	P 20020629
			WO 2003-EP6555	W 20030620

OTHER SOURCE(S): MARPAT 140:77166

GI



AB Title compds. [I; R1 = (substituted) fluoren-9-one, isoxazolyl, cinnoliny, isothiazolyl, isoquinoliny, 9H-fluorenyl, 9H-xanthenyl, 1H-pyrazolyl; R2 = O, S; R3 = H, (substituted) alkyl, halo, CO₂H, CONH₂; R4 = (substituted) (hetero)aryl, alkylaryl, alkylhetaryl; m, n = 0-3], were prepared Thus, 9-fluorenone-4-carbonyl chloride in DMF was successively treated with N-methylmorpholine, 1-(3,5-dimethoxyphenyl) **piperazine**, and 1-benzotriazolyltripyrrolidinophosphonium hexafluorophosphate followed by stirring for 12 h at room temperature to give 79,3% 4-[4-(3,5-dimethoxyphenyl)**piperazine**-1-carbonyl]fluoren-9-one. The latter inhibited proliferation in XTT cytotoxicity test in human tumor cells with EC₅₀ = 0,2-0,555 µg/mL.

IC ICM C07D241-04
ICS C07D405-06; C07D403-06; C07D417-06; C07D413-06; A61K031-497;
A61P035-04

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

ST **arylcarbonylpiperazine** prepn tumor disease treatment;
heteroarylcarbonylpiperazine prepn antitumor agent

IT Tubulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(polymerization, inhibition of; preparation of **arylcarbonylpiperazines**
and **heteroarylcarbonylpiperazines** for treating benign and
malignant tumor diseases)

IT Antitumor agents
Human
(preparation of **arylcarbonylpiperazines** and
heteroarylcarbonylpiperazines for treating benign and malignant
tumor diseases)

IT Neoplasm

(treatment; preparation of **arylcarbonylpiperazines** and
heteroarylcarbonylpiperazines for treating benign and malignant
tumor diseases)

IT 640286-86-8P 640286-87-9P **640286-88-0P** 640286-89-1P
640286-90-4P 640286-91-5P 640286-92-6P 640286-93-7P 640286-94-8P
640286-95-9P 640286-96-0P 640286-97-1P 640286-98-2P 640286-99-3P
640287-00-9P 640287-01-0P 640287-02-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of **arylcarbonylpiperazines** and
heteroarylcarbonylpiperazines for treating benign and malignant
tumor diseases)

IT 82-07-5, Xanthene-9-carboxylic acid 1133-77-3 7071-83-2,
9-Fluorenone-4-carbonyl chloride 16015-71-7, 1-(3-Methoxyphenyl)
piperazine 53557-93-0, 1-(3,5-Dimethoxyphenyl)**piperazine**

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of **arylcarbonylpiperazines** and
heteroarylcarbonylpiperazines for treating benign and malignant
tumor diseases)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 14 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:90014 ZCAPLUS Full-text

DOCUMENT NUMBER: 136:134791

TITLE: Preparation of **acridinylcarbonylpiperazines**
related compounds as anticancer drugs.

INVENTOR(S): **Emig, Peter**; Guenther, Eckhard;
Baasner, Silke; Bacher, Gerald; Beckers,
Thomas; Aue, Beate

PATENT ASSIGNEE(S): Zentaris A.-G., Germany

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

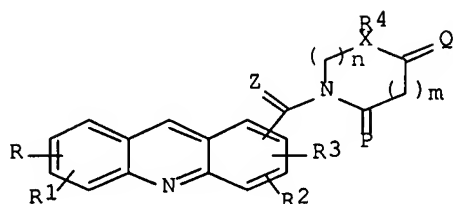
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002008194	A1	20020131	WO 2001-EP8263	20010718
WO 2002008194	A8	20030508		
W:	AU, BG, BR, BY, CN, CO, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, YU, ZA			
RW:	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR			
DE 10035927	A1	20020307	DE 2000-10035927	20000721
EP 1301485	A1	20030416	EP 2001-969410	20010718
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR			
BR 2001012591	A	20030722	BR 2001-12591	20010718
JP 2004504382	T	20040212	JP 2002-514101	20010718
HU 200303729	A2	20040301	HU 2003-3729	20010718
NZ 524155	A	20050429	NZ 2001-524155	20010718
RU 2267488	C2	20060110	RU 2003-105283	20010718
CA 2353360	A1	20020121	CA 2001-2353360	20010720
US 2002132821	A1	20020919	US 2001-910142	20010720
US 6706722	B2	20040316		

ZA 2002010411	A	20030226	ZA 2002-10411	20021223
NO 2003000301	A	20030311	NO 2003-301	20030120
BG 107507	A	20030930	BG 2003-107507	20030130
PRIORITY APPLN. INFO.:			DE 2000-10035927	A 20000721
OTHER SOURCE(S):	MARPAT 136:134791		WO 2001-EP8263	W 20010718
GI				



I

AB Title compds. [I; R-R3 = H, alkyl, cycloalkyl, alkylcarbonyl, alkoxy, halo, aralkoxy, NO2, amino, alkoxy-carbonylamino, cyano, cyanoalkyl, CO2H, alkoxy-carbonyl, CF3, etc.; Z = O, S; P, Q = O, H2; X = N, CR5; R5 = H, alkyl; m, n = 0-3; R4 = (substituted) (unsatd.) alkyl, aryl, aralkyl, etc.], were prepared Thus, acridine-9-carboxylic acid in DMF was treated with N-methylmorpholine, Py-BOP, (1-benzotriazolyltripyrrolidinophosphonium hexafluorophosphate), and 1-(3,5-dimethoxyphenyl)piperazine in DMF. The mixture was stirred 12 h to give 84.2% 1-(3,5-dimethoxyphenyl)-4-(9-acridinylcarbonyl)piperazine. The latter inhibited KB/HeLa cell growth with IC50 <0.0003 µg/mL.

IC ICM C07D219-04
ICS A61K031-473; A61P035-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

ST **acridinylcarbonylpiperazine** prepn antitumor agent;
piperazine dimethoxyphenyl acridinylcarbonyl prepn anticancer

IT Antitumor agents
(preparation of **acridinylcarbonylpiperazines** related compds. as anticancer drugs)

IT 393536-78-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of **acridinylcarbonylpiperazines** related compds. as anticancer drugs)

IT 5336-90-3, Acridine-9-carboxylic acid 53557-93-0, 1-(3,5-Dimethoxyphenyl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **acridinylcarbonylpiperazines** related compds. as anticancer drugs)

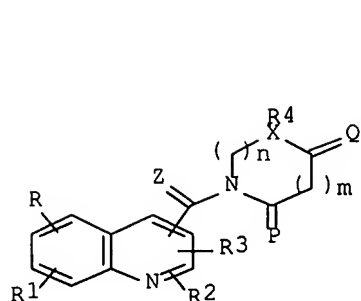
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 15 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:90012 ZCAPLUS Full-text
DOCUMENT NUMBER: 136:134790
TITLE: Preparation of **quinolylcarbonylpiperazines**
and related compounds for treatment of tumors.

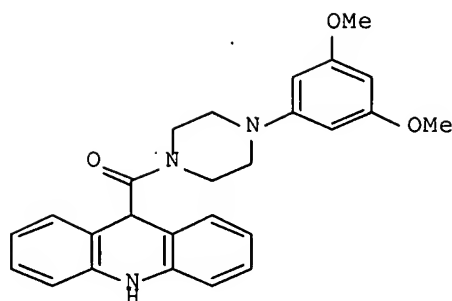
INVENTOR(S): *Emig, Peter*; Guenther, Eckhard; Schmidt,
Juergen; Nickel, Bernd; Kutscher, Bernhard
PATENT ASSIGNEE(S): Zentaris A.-G., Germany
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008192	A1	20020131	WO 2001-EP8261	20010718
W: AU, BG, BR, BY, CN, CO, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, YU, ZA, AM, AZ, MD, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10035928	A1	20020307	DE 2000-10035928	20000721
EP 1305290	A1	20030502	EP 2001-957978	20010718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012589	A	20030520	BR 2001-12589	20010718
HU 200300838	A2	20030728	HU 2003-838	20010718
JP 2004504381	T	20040212	JP 2002-514099	20010718
NZ 524154	A	20050225	NZ 2001-524154	20010718
RU 2265602	C2	20051210	RU 2003-105278	20010718
CA 2353369	A1	20020121	CA 2001-2353369	20010720
US 2002103214	A1	20020801	US 2001-910141	20010720
US 6890926	B2	20050510		
TW 228505	B	20050301	TW 2001-90117947	20010723
ZA 2002010180	A	20030212	ZA 2002-10180	20021217
NO 2003000298	A	20030120	NO 2003-298	20030120
BG 107508	A	20030930	BG 2003-107508	20030130
US 2004097530	A1	20040520	US 2003-713859	20031114
US 7056912	B2	20060606		
US 2004132747	A1	20040708	US 2003-741310	20031219
US 6936615	B2	20050830		
US 2005176744	A1	20050811	US 2005-105622	20050414
US 7026310	B2	20060411		
US 2005245523	A1	20051103	US 2005-152599	20050614
PRIORITY APPLN. INFO.:			DE 2000-10035928	A 20000721
			WO 2001-EP8261	W 20010718
			US 2001-910141	A3 20010720
			US 2003-741310	A3 20031219

OTHER SOURCE(S): MARPAT 136:134790
GI



I



II

AB Title compds. [I; R-R3 = H, alkyl, cycloalkyl, alkylcarbonyl, alkoxy, halo, aralkoxy, NO2, amino, cyano, CO2H, CF3, etc.; RR1, R2R3 = atoms to form condensed 6-membered aromatic rings; Z = O, S; X = N, CR5; R5 = H, alkyl; R4 = (substituted) (unsatd.) alkyl, aryl, aralkyl, etc.; P, Q = O, H2; m, n = 0-3], were prepared. Thus, quinoline-4-carboxylic acid in DMF was treated with N-methylmorpholine, Py-BOP (1-benzotriazolyltripyrrolidinophosphoniumhexafluorophosphate), and 1-(3,5-dimethoxyphenyl)piperazine in DMF. The mixture was stirred 12 h to give 78.3% 1-(3,5-dimethoxyphenyl)-4-(4-quinolylcarbonyl)piperazine. Title compound (II) (D-43411) showed antiproliferative activity with IC50 <0.0003 µg/mL against SKOV-3 tumor cells.

IC ICM C07D215-50

ICS A61K031-47; A61P035-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

ST **quinolylcarbonylpiperazine** prepn tumor treatment; piperazine
quinolylcarbonyl aryl prepn anticancer

IT Antitumor agents

(preparation of **quinolylcarbonylpiperazines** for treatment of tumors)

IT 393111-09-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of **quinolylcarbonylpiperazines** for treatment of tumors)

IT 393111-10-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of **quinolylcarbonylpiperazines** for treatment of tumors)

IT 486-74-8, Quinoline-4-carboxylic acid 53557-93-0, 1-(3,5-Dimethoxyphenyl)piperazine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of **quinolylcarbonylpiperazines** for treatment of tumors)

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L61 ANSWER 16 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:90010 ZCAPLUS Full-text

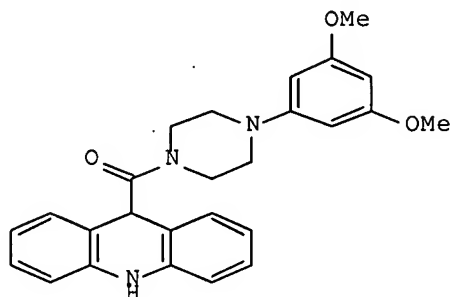
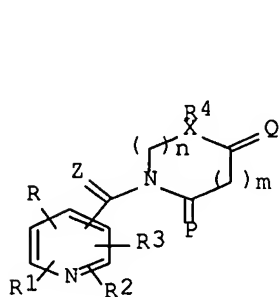
DOCUMENT NUMBER: 136:134789

TITLE: Preparation of **pyridylcarbonylpiperazines** and related compounds as anticancer drugs.

INVENTOR(S): **Emig, Peter**; Guenther, Eckhard; Schmidt,

Juergen; Kutscher, Bernhard; Nickel, Bernd; Storch, Anita
PATENT ASSIGNEE(S): Zentaris A.-G., Germany
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008190	A2	20020131	WO 2001-EP8262	20010718
WO 2002008190	A3	20020801		
W: AU, BG, BR, BY, CN, CO, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, YU, ZA, AM, AZ, MD, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10035908	A1	20020307	DE 2000-10035908	20000721
EP 1305289	A2	20030502	EP 2001-960509	20010718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR				
BR 2001012711	A	20030520	BR 2001-12711	20010718
HU 200300743	A2	20031028	HU 2003-743	20010718
JP 2004516243	T	20040603	JP 2002-514097	20010718
NZ 524156	A	20051028	NZ 2001-524156	20010718
CA 2353353	A1	20020121	CA 2001-2353353	20010720
US 2002111354	A1	20020815	US 2001-910139	20010720
US 6638935	B2	20031028		
ZA 2003000545	A	20030212	ZA 2003-545	20020121
IN 2003KN00052	A	20050311	IN 2003-KN52	20030115
NO 2003000302	A	20030207	NO 2003-302	20030120
BG 107506	A	20030930	BG 2003-107506	20030130
PRIORITY APPLN. INFO.:			DE 2000-10035908	A 20000721
OTHER SOURCE(S):			WO 2001-EP8262	W 20010718
GI				
MARPAT 136:134789				



AB Title compds. [I; R-R3 = H, alkyl, cycloalkyl, alkylcarbonyl, alkoxy, halo, aralkoxy, NO2, amino, cyano, CO2H, alkoxy carbonyl, CF3, etc.; RR1, R2R3 = atoms to form fused 6-membered aromatic rings; Z = O, S; P, Q = O, H2; X = N, CR5; m, n = 0-3; R4 = (substituted) (unsatd.) alkyl, aryl, aralkyl, etc.],

were prepared Thus, pyridine-4-carboxylic acid in DMF was treated with N-methylmorpholine, Py-BOP (1-benzotriazolyltripyrrolidinophosphonium hexafluorophosphate), 1-(3,5-dimethoxyphenyl)piperazine followed by stirring for 24 h to give 82.3% 1-(3,5-dimethoxyphenyl)-4-(4-pyridylcarbonyl)piperazine. Title compound (II) inhibited L1210 tumor cells with $IC_{50} < 0.0003 \mu g/mL$.

IC ICM C07D211-00
CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
ST **pyridylcarbonylpiperazine** prepn anticancer; piperazine
pyridylcarbonyl aryl prepn neoplasm inhibitor
IT Antitumor agents
(preparation of **pyridylcarbonylpiperazines** and related compds. as anticancer drugs)
IT 393111-10-9P 393153-56-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of **pyridylcarbonylpiperazines** and related compds. as anticancer drugs)
IT 55-22-1, Pyridine-4-carboxylic acid, reactions 53557-93-0,
1-(3,5-Dimethoxyphenyl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **pyridylcarbonylpiperazines** and related compds. as anticancer drugs)

=> file registry

FILE 'REGISTRY' ENTERED AT 09:52:38 ON 21 MAY 2007

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STRUCTURE FILE UPDATES: 20 MAY 2007 HIGHEST RN 935426-16-7

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=> file zcaplus

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FILE COVERS 1907 - 21 May 2007 VOL 146 ISS 22

FILE LAST UPDATED: 20 May 2007 (20070520/ED)

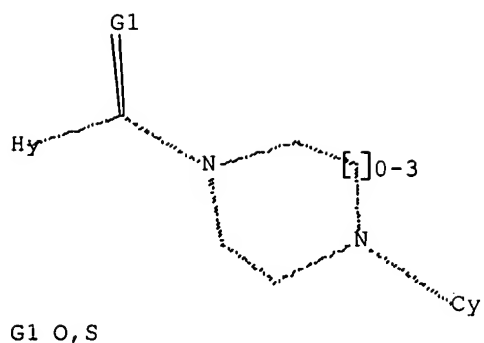
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This file contains CAS Registry Numbers for easy and accurate substance identification.

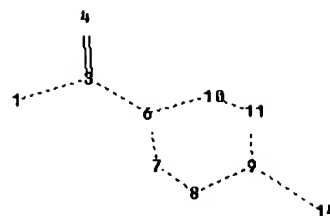
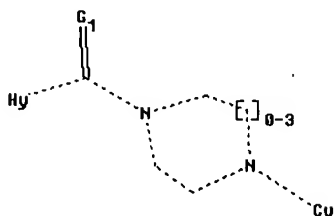
'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L55

L3 STR



Structure attributes must be viewed using, STN Express query preparation:
Uploading L3.str



chain nodes :
1 3 4 14
ring nodes :
6 7 8 9 10 11
chain bonds :
1-3 3-4 3-6 9-14
ring bonds :
6-7 6-10 7-8 8-9 9-11 10-11
exact/norm bonds :
1-3 3-4 3-6 6-7 6-10 7-8 8-9 9-11 9-14 10-11

G1:O, S

Match level :

1:Atom 3:CLASS 4:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 14:Atom

Generic attributes :

14:

Saturation : Unsaturated

Element Count :

Node 1: Limited

C,C3

N,N2

O,O0

S,S0

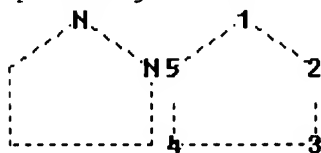
L5

STR



Structure attributes must be viewed using STN Express query preparation:

Uploading L5.str



ring nodes :

1 2 3 4 5

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5

isolated ring systems :

containing 1 :

Match level :

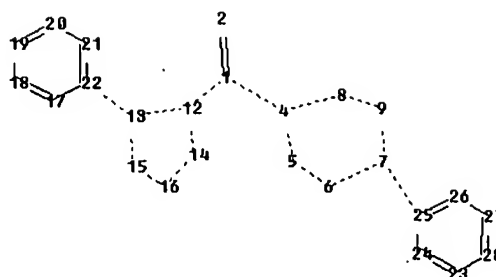
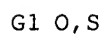
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom

L8

886 SEA FILE=REGISTRY SSS FUL L3 AND L5

L53

STR



normalized bonds :

17-18 17-22 18-19 19-20 20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28

G1:O,S

Match level :

1:CLASS 2:CLASS 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS
13:CLASS
14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 24:Atom
25:Atom 26:Atom 27:Atom 28:Atom

L55 0 SEA FILE=REGISTRY SUB=L8 SSS FUL L53

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

=> file beilstein

FILE 'BEILSTEIN' ENTERED AT 09:53:17 ON 21 MAY 2007

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FILE LAST UPDATED ON April 02, 2007

FILE COVERS 1771 TO 2006.

*** FILE CONTAINS 9,882,697 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in
separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed
immediately with the display codes PRE (preparations) and REA
(reactions). A substance answer set retrieved after the search
for a chemical name, a compounds with available reaction
information by combining with PRE/FA, REA/FA or more generally
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
between a BEILSTEIN compound and belonging reactions. For mo
detailed reaction searches BRNs can be searched as reaction
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

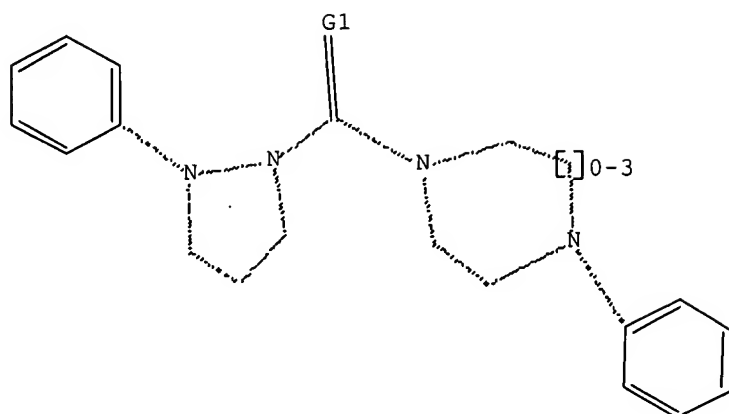
>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *

NEW

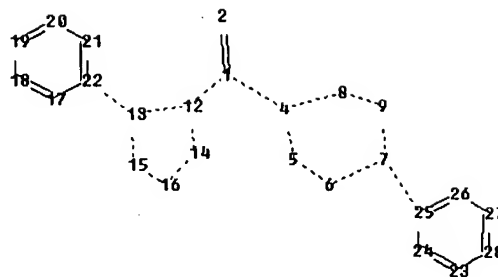
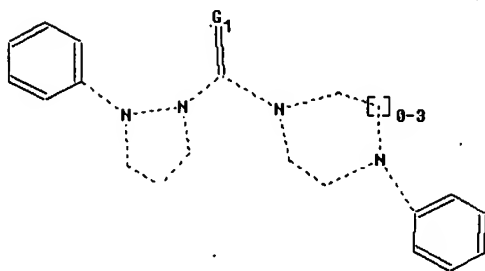
* **PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
SEARCHED, SELECTED AND TRANSFERRED.**
* **NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,
ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
COMPOUND AT A GLANCE.**

=> d stat que L58
L53 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation:
Uploading L53.str



chain nodes :

1 2

ring nodes :

4 5 6 7 8 9 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28

chain bonds :

1-4 1-2 1-12 7-25 13-22

ring bonds :

4-5 4-8 5-6 6-7 7-9 8-9 12-13 12-14 13-15 14-16 15-16 17-18 17-22 18-19

19-20 20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28

exact/norm bonds :

1-4 1-2 1-12 4-5 4-8 5-6 6-7 7-9 7-25 8-9 12-13 12-14 13-15 13-22 14-16
15-16
normalized bonds :
17-18 17-22 18-19 19-20 20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28

G1:O,S

Match level :

1:CLASS 2:CLASS 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS
13:CLASS
14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 24:Atom
25:Atom 26:Atom 27:Atom 28:Atom

L58 0 SEA FILE=BEILSTEIN SSS FUL L53

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.02

=> file marpat

FILE 'MARPAT' ENTERED AT 09:53:38 ON 21 MAY 2007
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FILE CONTENT: 1961-PRESENT VOL 146 ISS 20 (20070518/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

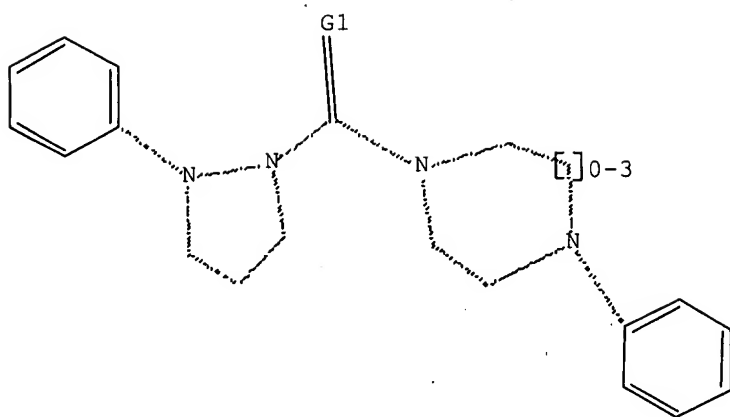
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2007078267 05 APR 2007
DE 102005047308 05 APR 2007
EP 1768210 28 MAR 2007
JP 2007082900 05 APR 2007
WO 2007041089 12 APR 2007
GB 2430365 28 MAR 2007
FR 2891276 30 MAR 2007
RU 2296767 10 APR 2007
CA 2556850 24 FEB 2007

Expanded G-group definition display now available.

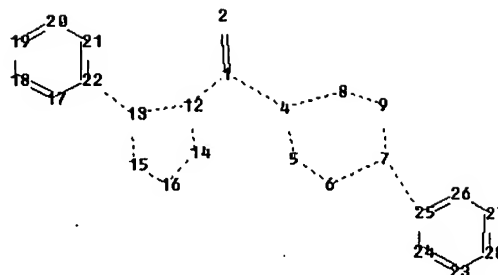
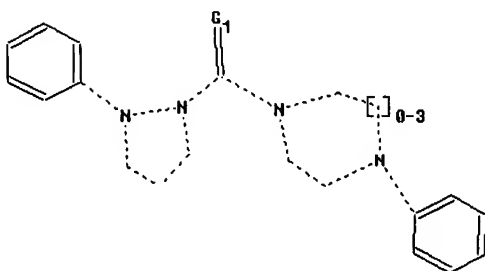
=> d stat que L59

L53 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation:
Uploading L53.str



chain nodes :

1 2

ring nodes :

4 5 6 7 8 9 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28

chain bonds :

1-4 1-2 1-12 7-25 13-22

ring bonds :

4-5 4-8 5-6 6-7 7-9 8-9 12-13 12-14 13-15 14-16 15-16 17-18 17-22 18-19

19-20 20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28

exact/norm bonds :

1-4 1-2 1-12 4-5 4-8 5-6 6-7 7-9 7-25 8-9 12-13 12-14 13-15 13-22 14-16

15-16

normalized bonds :

17-18 17-22 18-19 19-20 20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28

G1:O,S

Match level :

1:CLASS 2:CLASS 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS
13:CLASS
14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 24:Atom
25:Atom 26:Atom 27:Atom 28:Atom

L59 1 SEA FILE=MARPAT SSS FUL L53

100.0% PROCESSED 18245 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.20

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L55 HAS NO ANSWERS
L58 HAS NO ANSWERS
DUPLICATE IS NOT AVAILABLE IN 'REGISTRY, BEILSTEIN'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
PROCESSING COMPLETED FOR L55
PROCESSING COMPLETED FOR L58
PROCESSING COMPLETED FOR L59
L62 1 DUP REM L55 L58 L59 (0 DUPLICATES REMOVED)
ANSWER '1' FROM FILE MARPAT

=> d ibib abs qhit L62 1

L62 ANSWER 1 OF 1 MARPAT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:114071 MARPAT Full-text
TITLE: Preparation of substituted 5-membered ring compounds
as heat shock protein 90 (HSP90) inhibitors
INVENTOR(S): Cheung, Kwai Ming; Dymock, Brian William; MacDonald,
Edward; Drysdale, Martin James
PATENT ASSIGNEE(S): Vernalis Cambridge Limited, UK; Cancer Research
Technology Ltd.; The Institute of Cancer Research
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005000300	A1	20050106	WO 2004-GB2755	20040624
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

EP 1638555 A1 20060329 EP 2004-743106 20040624

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

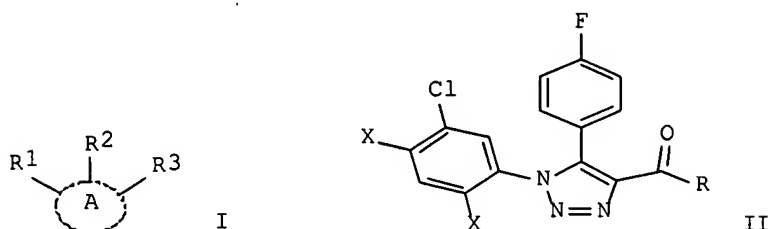
US 2006235058 A1 20061019 US 2006-561969 20060410

PRIORITY APPLN. INFO.:

GB 2003-15111 20030627

WO 2004-GB2755 20040624

GI



AB Title compds. I [wherein A = 5-membered cycle; R¹ = (un)substituted (hetero)aryl; R² (adjacent to R¹) = absence, H, carboxamide, (un)substituted (hetero)aryl, carbocycle or heterocycle; R³ (adjacent to R²) = absence, H, (un)substituted cycloalk(en)yl, alk(en/yn)yl, carboxyl, carboxamide or ester; with some limitations, or salts, N-oxides, hydrates or solvates thereof] were prepared as heat shock protein 90 (HSP90) inhibitors. Thus, 5-chloro-2,4-dimethoxyphenylamine was treated with NaNO₂ in the presence of H₂SO₄ followed by the addition of NaN₃. The resultant azide underwent cyclization with 3-(4-fluorophenyl)-3-oxopropionic acid Me ester gave intermediate II (X = OMe, R = OH). Demethylation of this compound with 48% HBr followed by esterification with EtOH yielded triazolecarboxylate II (X = OH, R = OEt), which showed IC₅₀ <10 μM for binding to HSP90 in a fluorescence polarization assay. Therefore, I and their compns. are useful for immunosuppression or the treatment of cancers, viral disease, inflammatory diseases and so on.

MSTR 1

G¹—G⁹—G¹⁵

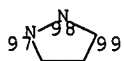
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G²—G²²

G2 = 6

~~G3~~—~~G34~~

G3 = 97-2 98-5 99-7



G9 = 166-1 167-3

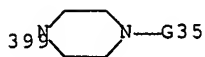
~~166~~¹—~~167~~²

G11 = phenylene (substd. by 1 or more G10)

G22 = 393

~~393~~⁽⁰⁾·G33

G33 = 399



G35 = Ph

Patent location:

Note:

Note:

claim 1

substitution is restricted

or salts, N-oxides, hydrates or solvates

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file registry

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DICTIONARY FILE UPDATES: 20 MAY 2007 HIGHEST RN 935426-16-7

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=> file zcaplus

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FILE COVERS 1907 - 21 May 2007 VOL 146 ISS 22

FILE LAST UPDATED: 20 May 2007 (20070520/ED)

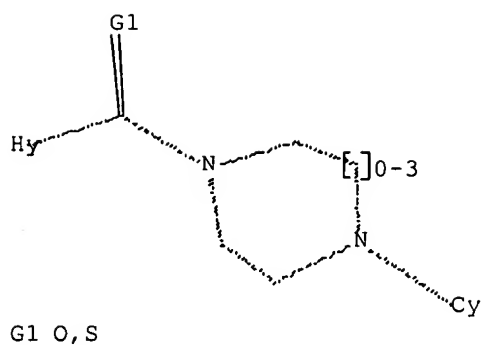
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

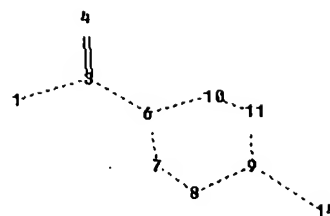
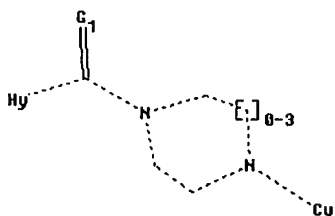
'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L11

L3 STR



Structure attributes must be viewed using STN Express query preparation:
Uploading L3.str



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1 3 4 14
ring nodes :
6 7 8 9 10 11
chain bonds :
1-3 3-4 3-6 9-14
ring bonds :
6-7 6-10 7-8 8-9 9-11 10-11
exact/norm bonds :
1-3 3-4 3-6 6-7 6-10 7-8 8-9 9-11 9-14 10-11

G1:O, S

Match level :
1:Atom 3:CLASS 4:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 14:Atom

Generic attributes :
14:

Saturation : Unsaturated

Element Count :

Node 1: Limited

C,C3

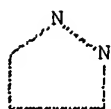
N,N2

O,O0

S,S0

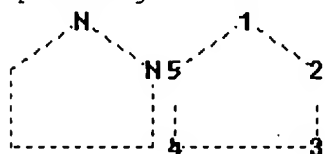
L5

STR



Structure attributes must be viewed using STN Express query preparation:

Uploading L5.str



ring nodes :

1 2 3 4 5

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom

L8 886 SEA FILE=REGISTRY SSS FUL L3 AND L5

L9 47 SEA FILE=ZCAPLUS ABB=ON PLU=ON L8

L10 5637 SEA FILE=ZCAPLUS ABB=ON PLU=ON TUBULIN/TI

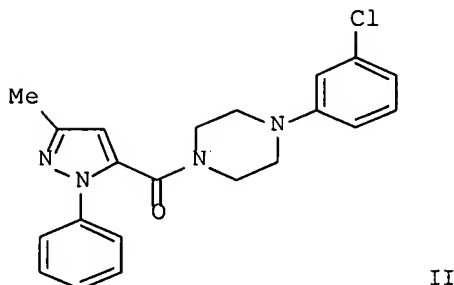
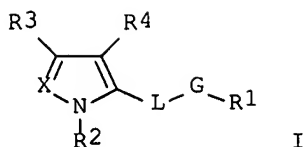
L11 2 SEA FILE=ZCAPLUS ABB=ON PLU=ON L9 AND L10

=> d ibib abs hitind L11 1-2

L11 ANSWER 1 OF 2 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:756697 ZCAPLUS Full-text
 DOCUMENT NUMBER: 141:260772
 TITLE: Preparation of N-arylheteroaryls, in particular
 N-phenylpiperazinyl methanones, as inhibitors of
tubulin polymerization and their compositions
 for treatment of cancer
 INVENTOR(S): Le-Brun, Alain; Thompson, Fabienne; Tiraboschi,
 Gilles; Mailliet, Patrick; Salvino, Joseph M.
 PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
 SOURCE: PCT Int. Appl., 197 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078732	A1	20040916	WO 2004-FR168	20040126
WO 2004078732	B1	20041028		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2850379	A1	20040730	FR 2003-894	20030128
FR 2850379	B1	20061117		
AU 2004218260	A1	20040916	AU 2004-218260	20040126
CA 2512243	A1	20040916	CA 2004-2512243	20040126
EP 1590329	A1	20051102	EP 2004-705102	20040126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007088	A	20060124	BR 2004-7088	20040126
JP 2006516656	T	20060706	JP 2006-505660	20040126
PRIORITY APPLN. INFO.:			FR 2003-894	A 20030128
			FR 2003-13086	A 20031107
			WO 2004-FR168	W 20040126
OTHER SOURCE(S):			MARPAT 141:260772	
GI				



AB Title compds. I [wherein R1, R2 = independently (un)substituted hetero/aryl; L = CH2 and derivs., C(:O), C(:S), C:NOH and derivs.; R2 = (C5-C7)cycloalkyl; R3 = independently H, OH and derivs., S(O)nH and derivs., NH2 and derivs., halo, cycloalkylene, (un)substituted hetero/aryl, cycloalkyl, alkyl, etc.; R4 = H, alk(en/yn)yl, cyclopropyl, alkoxy, S-alkyl, F, Cl, Br; n = 0-2; X = N, CH; G = substituted piperazine, piperidine, 1,2,5,6-tetrahydropyridine; their racemics, stereoisomers, tautomers, prodrugs, and pharmaceutically acceptable salts] were prepared as inhibitors of tubulin polymerization and of tumor and endothelial cell proliferation in vitro, and for use in treatment of cancer. A combinatorial library of N-phenylpiperazinyl pyrazolyl ketones is given. For example, II was prepared from 5-methyl-2-phenyl-2H-pyrazole-3-carboxylic acid and 1-(3-chlorophenyl)piperazine. II gave an IC50 of 0.2 μ M for inhibition of tubulin polymerization, an IC50 value of 0.002 μ M for inhibition of HCT116 cells proliferation, and a 22% detachment of the endothelial HDMEC cells at a concentration of 1 μ M. Thus, I and their pharmaceutical compns. are useful for treating cancer (no data).

IC ICM C07D231-14

ICS C07D207-34; C07D405-12; A61K031-40; A61K031-415; C07D401-06;
C07D401-04; C07D401-12; C07D403-04; C07D417-04; C07D409-04;
C07D417-12

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 729605-21-4P, [4-(3-Chlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

IT 729603-67-2P 729603-68-3P, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-69-4P, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729603-70-7P, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-

2H-pyrazol-3-yl]methanone 729603-72-9P, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-73-0P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)(4-phenylpiperazin-1-yl)methanone 729603-74-1P, [4-(2-Methoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729603-75-2P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone 729603-76-3P, [4-(2,3-Dimethylphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729603-77-4P, [4-(3-Methoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729603-78-5P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone 729603-79-6P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(naphthalen-1-yl)piperazin-1-yl]methanone 729603-80-9P, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729603-81-0P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(m-tolyl)piperazin-1-yl]methanone 729603-82-1P, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone 729603-83-2P, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729603-84-3P, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-85-4P, [4-(3-Chlorophenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-86-5P, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729603-87-6P, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone 729603-88-7P, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-89-8P, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-91-2P, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone 729603-92-3P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-fluorophenyl)piperazin-1-yl]methanone 729603-93-4P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone 729603-94-5P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone 729603-95-6P, [4-(3-Chlorophenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729603-96-7P, [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729603-97-8P, [4-(2-Ethylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729603-98-9P, [4-(3,4-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729603-99-0P, [4-(3-Chlorophenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-00-6P, [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone 729604-01-7P, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729604-02-8P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-chlorophenyl)piperazin-1-yl]methanone 729604-03-9P, [4-(3-Chlorophenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729604-04-0P, [4-(2,3-Dichlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729604-05-1P, [4-(3-Chlorophenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729604-06-2P, [4-(3-Chlorophenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729604-07-3P, [4-(3-Chlorophenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729604-08-4P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl](4-phenylpiperazin-1-yl)methanone 729604-09-5P, [4-(4-Fluorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729604-10-8P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-

(o-tolyl)piperazin-1-yl]methanone 729604-11-9P,
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 [4-(2-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-14-2P, [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone 729604-15-3P, [4-(4-Fluorophenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-16-4P,
 [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone 729604-17-5P, [4-(3-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-18-6P,
 [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729604-19-7P, [4-(2-Methylsulfanylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-20-0P,
 [4-(4-Chlorophenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-21-1P, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-22-2P,
 [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-23-3P, [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone 729604-24-4P, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-25-5P,
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 [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(3-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729604-39-1P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-ethoxyphenyl)piperazin-1-yl]methanone 729604-40-4P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone 729604-41-5P,
 [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone 729604-42-6P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729604-43-7P,
 [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(4-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729604-44-8P, [4-(3,4-Dichlorophenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729604-45-9P, [4-(3,4-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729604-46-0P,
 [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone 729604-47-1P, [4-(2,3-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729604-48-2P,

[4-(3-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729604-50-6P**, [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-51-7P**, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone **729604-52-8P**, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729604-53-9P**, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-54-0P**, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729604-55-1P**, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-56-2P**, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729604-57-3P**, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-58-4P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-phenylpiperazin-1-yl]methanone **729604-59-5P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729604-60-8P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-61-9P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-fluorophenyl)piperazin-1-yl]methanone **729604-62-0P**, [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-63-1P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-64-2P**, [4-(2-Ethylphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-65-3P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone **729604-66-4P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone **729604-67-5P**, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-68-6P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-69-7P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-70-0P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729604-71-1P**, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-72-2P**, [4-(2-Ethylphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-73-3P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone **729604-74-4P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-75-5P** **729604-76-6P** **729604-77-7P** **729604-78-8P**, [4-(3,4-Dichlorophenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729604-79-9P** **729604-80-2P** **729604-81-3P**, [4-(3,4-Dichlorophenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-82-4P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-83-5P**, [4-(2-Ethoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-84-6P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-85-7P**, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-86-8P**, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-87-9P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-88-0P**, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729604-89-1P**;

[2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-90-4P**,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-dimethylphenyl)piperazin-1-yl]methanone **729604-91-5P**,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone **729604-92-6P**, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone **729604-93-7P**, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-dichlorophenyl)piperazin-1-yl]methanone **729604-94-8P**,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-95-9P**,
 [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(3-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-96-0P**, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-97-1P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-dichlorophenyl)piperazin-1-yl]methanone **729604-98-2P**, [4-(2-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729604-99-3P**,
 [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-00-9P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729605-01-0P**,
 [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-02-1P**,
 [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729605-03-2P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-04-3P**, [4-(2-Ethylphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-05-4P**,
 [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone **729605-06-5P**,
 [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone **729605-07-6P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-08-7P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-09-8P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-10-1P**,
 [4-(2-Ethylphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-11-2P**, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone **729605-12-3P**, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-13-4P**,
 [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-14-5P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729605-15-6P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-16-7P**,
 [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-17-8P 729605-18-9P 729605-19-0P 729605-20-3P 729605-27-0P**,
 (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(2-methoxyphenyl)piperazin-1-yl]methanone **729605-28-1P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-29-2P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(4-fluorophenyl)piperazin-1-yl]methanone **729605-30-5P**,
 1-[4-[4-[(3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)carbonyl]piperazin-1-yl]phenyl]ethanone **729605-31-6P**, [4-(2,4-Dimethylphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-32-7P**, [4-(3,4-Dichlorophenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-33-8P**

, [4-(3,4-Dimethylphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-34-9P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(o-tolyl)piperazin-1-yl]methanone **729605-35-0P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-36-1P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(2-ethylphenyl)piperazin-1-yl]methanone **729605-37-2P**, [4-(3-Chlorophenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-38-3P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(m-tolyl)piperazin-1-yl]methanone **729605-39-4P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(4-methoxyphenyl)piperazin-1-yl]methanone **729605-40-7P**, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-41-8P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone **729605-42-9P**, [4-(4-Chlorophenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-43-0P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(naphthalen-1-yl)piperazin-1-yl]methanone **729605-44-1P**, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-46-3P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(2-ethoxyphenyl)piperazin-1-yl]methanone **729605-48-5P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-50-9P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-52-1P**, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-53-2P**, [4-(4-Benzoyloxyphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-54-3P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-55-4P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone **729605-56-5P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729605-57-6P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-58-7P**, [4-(4-Fluorophenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-59-8P**, 1-[4-[4-[[2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone **729605-60-1P**, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-61-2P**, [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-62-3P**, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-63-4P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729605-64-5P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-65-6P**, [4-(2-Ethylphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-66-7P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone **729605-67-8P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone **729605-68-9P**, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-69-0P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone **729605-70-3P**, [4-(4-Chlorophenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-71-4P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone **729605-72-5P**, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-

yl)methanone 729605-73-6P, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-74-7P,
 , [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone 729605-75-8P,
 [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-76-9P, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone 729605-77-0P, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-78-1P, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl](4-phenyl)piperazin-1-yl]methanone 729605-79-2P,
 [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone 729605-80-5P,
 [4-(4-Fluorophenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-81-6P, 1-[4-[4-[[2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone 729605-82-7P, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-83-8P,
 [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone 729605-84-9P,
 [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-85-0P, [4-(4-Chlorophenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-86-1P, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-87-2P,
 , [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone 729605-88-3P,
 [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-89-4P, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone 729605-90-7P, 1-[4-[4-[(5-Methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]phenyl]ethanone 729605-91-8P,
 , [4-(2,4-Dimethylphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729605-92-9P, [4-(2-Ethylphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729605-93-0P,
 [4-(4-Methoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729605-94-1P, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729605-95-2P,
 [4-(4-Chlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729605-96-3P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone 729605-97-4P,
 [4-(4-Benzyloxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729605-98-5P, N-[4-[4-[(5-Methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]phenyl]methanesulfonamide 729605-99-6P, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl](4-phenyl)piperazin-1-yl]methanone 729606-00-2P,
 [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-fluorophenyl)piperazin-1-yl]methanone 729606-01-3P, 1-[4-[4-[[2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone 729606-02-4P, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-03-5P,
 [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-04-6P, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone 729606-05-7P, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-06-8P,
 [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone 729606-07-9P,
 [4-(4-Chlorophenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-08-0P, [4-(5-Chloro-2-

methylphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-09-1P**, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-10-4P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-11-5P**, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-12-6P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their comps. for treatment of cancer)

IT **729606-13-7P**, N-[4-[4-[[2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729606-14-8P**, 1-[4-[4-[[2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone **729606-15-9P**, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-16-0P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729606-18-2P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone **729606-19-3P**, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-20-6P**, [4-(4-Chlorophenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-21-7P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-22-8P**, [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-23-9P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-24-0P**, N-[4-[4-[[2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729606-25-1P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-phenylpiperazin-1-yl]methanone **729606-26-2P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-fluorophenyl)piperazin-1-yl]methanone **729606-27-3P**, 1-[4-[4-[[2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone **729606-28-4P**, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-29-5P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone **729606-30-8P**, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-31-9P**, [4-(4-Chlorophenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-32-0P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-33-1P**, [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-34-2P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-35-3P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-phenylpiperazin-1-yl]methanone **729606-36-4P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-37-5P**, 1-[4-[4-[[2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone **729606-38-6P**, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729606-39-7P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-

yl)methanone 729606-40-0P, [4-(3-Chlorophenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone 729606-41-1P, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone 729606-42-2P, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729606-43-3P, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone 729606-44-4P, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfonylphenyl)piperazin-1-yl]methanone 729606-45-5P, [4-(4-Chlorophenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone 729606-46-6P, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone 729606-47-7P, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone 729606-48-8P, [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone 729606-49-9P, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone 729606-50-2P, [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone 729606-51-3P, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone 729606-52-4P, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone 729606-53-5P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,4-dimethoxyphenyl)piperazin-1-yl]methanone 729606-54-6P, [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-(3-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-55-7P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl]methanone 729606-56-8P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-phenylpiperazin-1-yl]methanone 729606-57-9P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone 729606-58-0P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-fluorophenyl)piperazin-1-yl]methanone 729606-59-1P, 1-[4-[4-[2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone 729606-60-4P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3,4-dichlorophenyl)piperazin-1-yl]methanone 729606-61-5P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3,4-dimethylphenyl)piperazin-1-yl]methanone 729606-62-6P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone 729606-63-7P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-dimethylphenyl)piperazin-1-yl]methanone 729606-64-8P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-ethylphenyl)piperazin-1-yl]methanone 729606-65-9P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-chlorophenyl)piperazin-1-yl]methanone 729606-66-0P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone 729606-67-1P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-chlorophenyl)piperazin-1-yl]methanone 729606-68-2P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone 729606-69-3P, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(4-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-70-6P, [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-(4-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-71-7P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl]methanone 729606-72-8P, [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-phenylpiperazin-1-yl]methanone

729606-73-9P, 1-[4-[4-[[5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]-5-ethanone 729606-74-0P,
 [4-(2-Ethylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729606-75-1P, [4-(4-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729606-76-2P,
 [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729606-77-3P, [4-(2-Methylsulfanylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729606-78-4P
 , [4-(4-Chlorophenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729606-79-5P, [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone 729606-80-8P,
 [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729606-81-9P, [4-(2-Ethoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729606-82-0P, [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone
 729606-83-1P, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729606-84-2P,
 [4-(4-Benzoyloxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729606-85-3P, [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone
 729606-86-4P, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729606-87-5P,
 N-[4-[4-[[5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide 729606-88-6P, 1-[4-[4-[[5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone
 729606-89-7P, [4-(2,4-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729606-90-0P,
 [4-(4-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729606-91-1P, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729606-92-2P,
 [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone 729606-93-3P, [4-(4-Benzoyloxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729606-94-4P,
 N-[4-[4-[[5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide 729606-95-5P, (2H-Indazol-3-yl)(4-phenyl)piperazin-1-yl]methanone 729606-96-6P, (2H-Indazol-3-yl)[4-(2-methoxyphenyl)piperazin-1-yl]methanone 729606-97-7P,
 (2H-Indazol-3-yl)[4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone 729606-98-8P, [4-(4-Fluorophenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729606-99-9P, 1-[4-[4-[(2H-Indazol-3-yl)carbonyl]piperazin-1-yl]phenyl]ethanone 729607-00-5P, [4-(2,4-Dimethylphenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-01-6P, [4-(3,4-Dichlorophenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-02-7P,
 [4-(3,4-Dimethylphenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-03-8P, (2H-Indazol-3-yl)[4-(o-tolyl)piperazin-1-yl]methanone 729607-04-9P, [4-(2,3-Dimethylphenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-05-0P, [4-(2-Ethylphenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-06-1P, [4-(3-Chlorophenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-07-2P, (2H-Indazol-3-yl)[4-(3-methoxyphenyl)piperazin-1-yl]methanone 729607-08-3P,
 (2H-Indazol-3-yl)[4-(m-tolyl)piperazin-1-yl]methanone 729607-09-4P, (2H-Indazol-3-yl)[4-(4-methoxyphenyl)piperazin-1-yl]methanone 729607-10-7P, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-11-8P, (2H-Indazol-3-yl)[4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone 729607-12-9P,
 [4-(4-Chlorophenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-13-0P, (2H-Indazol-3-yl)[4-(naphthalen-1-yl)piperazin-1-yl]methanone 729607-14-1P, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-15-2P, [4-(2-Ethoxyphenyl)piperazin-

1-yl](2H-indazol-3-yl)methanone 729607-16-3P, [4-(2,3-Dichlorophenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-17-4P, (2H-Indazol-3-yl)[4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone 729607-18-5P, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-19-6P, [4-(4-Benzyloxyphenyl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-20-9P, (2H-Indazol-3-yl)[4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone 729607-21-0P, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl](2H-indazol-3-yl)methanone 729607-22-1P, N-[4-[4-[(2H-Indazol-3-yl)carbonyl]piperazin-1-yl]phenyl]methanesulfonamide 729607-23-2P, [2-Methyl-1-(quinolin-2-yl)-1H-pyrrol-3-yl](4-phenylpiperazin-1-yl)methanone 729607-24-3P, [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-methyl-1-(quinolin-2-yl)-1H-pyrrol-3-yl]methanone 729607-25-4P, [4-(2-Methoxyphenyl)piperazin-1-yl][2-methyl-1-(quinolin-2-yl)-1H-pyrrol-3-yl]methanone 729607-26-5P, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-methyl-1-(quinolin-2-yl)-1H-pyrrol-3-yl]methanone 729607-27-6P, [4-(3-Chlorophenyl)piperazin-1-yl][2-methyl-1-(quinolin-2-yl)-1H-pyrrol-3-yl]methanone 729607-28-7P, [4-(3,4-Dimethylphenyl)piperazin-1-yl][1-(isoquinolin-1-yl)-2-methyl-1H-pyrrol-3-yl]methanone 729607-29-8P, [4-(3-Chlorophenyl)piperazin-1-yl][1-(isoquinolin-1-yl)-2-methyl-1H-pyrrol-3-yl]methanone **729607-30-1P**, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(3-methoxyphenyl)piperazin-1-yl]methanone **729607-31-2P**, N-[4-[4-[(3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729607-32-3P**, N-[4-[4-[[2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729607-33-4P**, N-[4-[4-[[2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729607-34-5P**, [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729607-35-6P**, N-[4-[4-[[2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729607-36-7P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729607-37-8P**, [4-(4-Fluorophenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729607-38-9P**, [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729607-39-0P**, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729607-40-3P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729607-41-4P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone **729607-42-5P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone **729607-43-6P**, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729607-44-7P**, N-[4-[4-[[2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729607-45-8P**, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone **729607-46-9P**, N-[4-[4-[[2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729607-47-0P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,4-dimethylphenyl)piperazin-1-yl]methanone **729607-48-1P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,4-dimethoxyphenyl)piperazin-1-yl]methanone **729607-49-2P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanyphenyl)piperazin-1-yl]methanone **729607-50-5P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-ethoxyphenyl)piperazin-1-yl]methanone **729607-51-6P**, [2-(4-Chlorophenyl)-5-methyl-2H-

pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone
729607-52-7P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729607-53-8P**,
 N-[4-[4-[[2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729607-54-9P**, [4-(4-Fluorophenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729607-55-0P**, [4-(2,4-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729610-33-7P**,
 (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)(4-phenylpiperazin-1-yl)methanone
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

IT **756751-51-6P**, [4-(6-Chloropyridin-2-yl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-52-7P**,
 [4-(3-Nitrophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-53-8P**, [4-(3-Bromophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-56-1P**,
 [4-(3-Aminophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-60-7P**, [4-(3-Hydroxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-78-7P**, Ethyl
 3-[4-[(5-methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzoate **756752-60-0P**, (5-Bromo-2-phenyl-2H-pyrazol-3-yl)[4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone **756752-83-7P**,
 5-[[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]carbonyl]-1-phenyl-1H-pyrazole-3-carboxaldehyde **756752-99-5P**, 3-[4-[[5-[(Methoxymethoxy)methyl]-2-phenyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]benzamide **756753-11-4P**, (5-Aminomethyl-2-phenyl-2H-pyrazol-3-yl)[4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

IT **729605-22-5P**, [4-(3,4-Dimethylphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **729605-23-6P**, (4-Phenyl-1,2,3,6-tetrahydropyridin-1-yl)(5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **729605-24-7P**, [4-(3-Chlorophenyl)piperazin-1-yl](2-phenyl-2H-pyrazol-3-yl)methanone **729605-26-9P**, [4-(3-Chlorophenyl)piperazin-1-yl](1-phenyl-1H-pyrrol-2-yl)methanone **756751-44-7P**,
 [4-[3-(Phenylloxy)phenyl]piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-45-8P**, [4-[3-[(Phenylmethyl)amino]phenyl]piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-46-9P**, 3-Hydroxy-2-[3-[4-[(5-methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzoylamino]propanoic acid **756751-47-0P**, (1-Phenyl-1H-pyrrol-2-yl)[4-(pyridin-3-yl)piperazin-1-yl]methanone **756751-48-1P** **756751-49-2P**, [4-(3,5-Dichlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-50-5P**, [4-(3-Dimethylaminophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-54-9P**,
 [4-(3-Chlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanethione **756751-55-0P**, [4-(6-Methoxypyridin-2-yl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-57-2P**, [4-(3-Cyanophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-58-3P**, [4-(3-Trifluoromethyloxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756751-59-4P**, [4-(1,3-Benzodioxol-5-yl)piperazin-1-

yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756751-62-9P;
 [4-(3-Chlorophenyl)piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methane trifluoroacetate 756751-64-1P, [4-(Isoquinolin-1-yl)piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone hydrochloride 756751-65-2P, [4-(4-Chloro-3-methylphenyl)piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756751-66-3P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl) [4-(quinolin-4-yl)piperazin-1-yl]methanone 756751-67-4P, N-[3-[4-[(5-Methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]phenyl]acetamide 756751-68-5P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl) (2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-yl)methanone 756751-69-6P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756751-70-9P, [5-Methyl-2-(pyridin-2-yl)-2H-pyrazol-3-yl] (4-phenylpiperazin-1-yl)methanone 756751-71-0P, [4-(3-Chlorophenyl)piperazin-1-yl] [5-methyl-2-(pyridin-2-yl)-2H-pyrazol-3-yl]methanone 756751-72-1P, 3-[4-[(5-Methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzamide 756751-73-2P, [4-(Biphenyl-3-yl)piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756751-74-3P, [4-[3-(Phenylmethoxy)phenyl]piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756751-76-5P, [4-[3-(Methanesulfonyl)phenyl]piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756751-77-6P, tert-Butyl 3-[4-[(5-methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzoate 756751-80-1P, [4-(1,3-Benzodioxol-4-yl)piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756751-81-2P, [4-(1,3-Benzodioxol-4-yl)piperazin-1-yl] [5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 756751-83-4P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl) [4-(4-methylpyridin-2-yl)piperazin-1-yl]methanone 756751-84-5P, [4-[3-[(Phenylmethyl)amino]phenyl]piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone hydrochloride 756751-87-8P, [4-(5-Chloro-3-pyridinyl)piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756751-89-0P, [4-(3-Methylaminophenyl)piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756751-93-6P, Methyl 3-hydroxy-2-[3-[4-[(5-methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzoylamino]propanoate 756751-95-8P, [4-(3-Chlorophenyl)piperazin-1-yl] [5-methyl-2-(pyrazin-2-yl)-2H-pyrazol-3-yl]methanone 756751-96-9P, [4-(3-Chlorophenyl)piperazin-1-yl] [5-methyl-2-(thiazol-2-yl)-2H-pyrazol-3-yl]methanone 756751-97-0P, 2-[5-[[4-(3-Chlorophenyl)piperazin-1-yl]carbonyl]-3-methylpyrazol-1-yl]nicotinonitrile 756751-98-1P, [4-[3-(1-Hydroxyethyl)phenyl]piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone hydrochloride 756752-01-9P, N-(2-Hydroxyethyl)-3-[4-[(5-methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzamide 756752-02-0P, [4-(Isoquinolin-4-yl)piperazin-1-yl] (5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756752-03-1P, [4-(3-Chlorophenyl)piperazin-1-yl] [2-(2,4-difluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 756752-05-3P, [4-(3-Chlorophenyl)piperazin-1-yl] [5-methyl-2-(2,3,5,6-tetrafluorophenyl)-2H-pyrazol-3-yl]methanone 756752-06-4P, [4-(3-Chlorophenyl)piperazin-1-yl] [2-(2,5-dichlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 756752-07-5P, [4-(3-Chlorophenyl)piperazin-1-yl] [5-methyl-2-(o-tolyl)-2H-pyrazol-3-yl]methanone 756752-08-6P, (1-Phenyl-1H-pyrrol-2-yl) [4-(pyridin-3-yl)piperazin-1-yl]methanone hydrochloride 756752-09-7P, [4-(3-Chlorophenyl)piperazin-1-yl] [2-(2,5-dimethylphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 756752-10-0P, [4-(3-Chlorophenyl)piperazin-1-yl] (2-cyclohexyl-5-methyl-2H-pyrazol-3-yl)methanone 756752-11-1P, [4-(3-Chlorophenyl)piperazin-1-yl] [5-methyl-2-(4-nitrophenyl)-2H-pyrazol-3-yl]methanone 756752-12-2P, [4-(3-Chlorophenyl)piperazin-1-yl] [5-methyl-2-(4-trifluoromethylphenyl)-2H-pyrazol-3-yl]methanone

756752-13-3P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl](1-phenyl-1H-pyrrol-2-yl)methanone monohydrochloride **756752-14-4P**,
 [4-(3-Chlorophenyl)piperazin-1-yl][5-methyl-2-(pyridin-3-yl)-2H-pyrazol-3-yl]methanone **756752-16-6P**, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-methyl-2-(pyridin-3-yl)-2H-pyrazol-3-yl]methanone
756752-17-7P, [4-(4-Fluoro-3-pyridinyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756752-18-8P**,
 3-[5-[[4-(3-Chlorophenyl)piperazin-1-yl]carbonyl]-3-trifluoromethylpyrazol-1-yl]benzonitrile **756752-19-9P**, 3-[5-[[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]carbonyl]-3-trifluoromethylpyrazol-1-yl]benzonitrile **756752-20-2P**, 3-[4-[(1-Phenyl-1H-pyrrol-2-yl)carbonyl]piperazin-1-yl]benzamide **756752-21-3P**,
 [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(pyridin-3-yl)piperazin-1-yl]methanone **756752-23-5P**, [4-(4-Bromo-3-chlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756752-24-6P**,
 (5-Hydroxymethyl-2-phenyl-2H-pyrazol-3-yl)[4-(3-chlorophenyl)piperazin-1-yl]methanone **756752-26-8P**, [5-Benzyloxy-2-(pyridin-2-yl)-2H-pyrazol-3-yl][4-(3-chlorophenyl)piperazin-1-yl]methanone
756752-31-5P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(2-nitrophenyl)piperazin-1-yl]methanone **756752-32-6P**,
 [4-(3,5-Dimethylphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756752-33-7P**, [5-Bromo-2-(4-bromophenyl)-2H-pyrazol-3-yl][4-(3-chlorophenyl)piperazin-1-yl]methanone **756752-34-8P**,
 (5-Bromo-2-phenyl-2H-pyrazol-3-yl)[4-(3-chlorophenyl)piperazin-1-yl]methanone **756752-36-0P**, [4-(3-Chlorophenyl)piperazin-1-yl](2,5-diphenyl-2H-pyrazol-3-yl)methanone **756752-37-1P**,
 [4-(3-Chlorophenyl)piperazin-1-yl][2-phenyl-5-(pyridin-3-yl)-2H-pyrazol-3-yl]methanone **756752-38-2P**, [4-(3-Chlorophenyl)piperazin-1-yl][2-phenyl-5-(thiophen-3-yl)-2H-pyrazol-3-yl]methanone **756752-39-3P**,
 [4-(3-Chlorophenyl)piperazin-1-yl][2-phenyl-5-(thiophen-2-yl)-2H-pyrazol-3-yl]methanone **756752-40-6P**, 5-[[4-(3-Chlorophenyl)piperazin-1-yl]carbonyl]-1-phenyl-1H-pyrazole-3-carboxaldehyde **756752-43-9P**,
 [4-(3,5-Dimethoxyphenyl)piperazin-1-yl](5-isopropyl-2-phenyl-2H-pyrazol-3-yl)methanone **756752-44-0P**, [4-(3-Chloro-4-fluorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756752-45-1P**,
 [4-(3,5-Dimethoxyphenyl)piperazin-1-yl](3-hydroxymethyl-1-phenyl-1H-pyrazol-5-yl)methanone **756752-47-3P**, [4-(3-Difluoromethoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone hydrochloride **756752-50-8P**, [4-(3-Chlorophenyl)piperazin-1-yl][5-[(2-methylimidazol-1-yl)methyl]-2-phenyl-2H-pyrazol-3-yl]methanone **756752-52-0P**, [4-(3-Chlorophenyl)piperazin-1-yl][2-phenyl-5-[(phenylamino)methyl]-2H-pyrazol-3-yl]methanone **756752-54-2P**, [4-(2-Bromo-5-chlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756752-55-3P**,
 [4-(3,5-Dimethoxyphenyl)piperazin-1-yl](3-dibromomethyl-1-phenyl-1H-pyrazol-5-yl)methanone **756752-56-4P**, [4-(2,4-Dibromo-5-chlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756752-57-5P**, [5-[(Benzyloxy)methyl]-2-phenyl-2H-pyrazol-3-yl][4-(3-chlorophenyl)piperazin-1-yl]methanone **756752-59-7P**,
 [5-Bromo-2-(4-bromophenyl)-2H-pyrazol-3-yl][4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone **756752-61-1P**,
 N-[3-[4-[(5-Methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]phenyl]succinamic acid methyl ester **756752-62-2P**,
 [4-(3-Isopropoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone **756752-63-3P**, [3-[4-[(5-Methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]phenoxy]acetic acid methyl ester hydrochloride **756752-64-4P**, [4-(3-Butoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone hydrochloride **756752-65-5P**, [4-(3-Ethoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone hydrochloride **756752-66-6P**,

[4-(3,5-Dimethoxyphenyl)piperazin-1-yl][2-phenyl-5-(thiophen-3-yl)-2H-pyrazol-3-yl]methanone 756752-67-7P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][2-phenyl-5-(E)-propen-1-yl]-2H-pyrazol-3-yl]methanone 756752-68-8P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-(E)-2-(4-fluorophenyl)ethenyl]-2-phenyl-2H-pyrazol-3-yl]methanone 756752-69-9P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-(4-fluorophenyl)-2-phenyl-2H-pyrazol-3-yl]methanone 756752-70-2P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][2-phenyl-5-(4-trifluoromethylphenyl)-2H-pyrazol-3-yl]methanone 756752-71-3P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-(furan-3-yl)-2-phenyl-2H-pyrazol-3-yl]methanone 756752-72-4P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][2-phenyl-5-(1H-pyrrol-2-yl)-2H-pyrazol-3-yl]methanone 756752-73-5P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl](2-phenyl-2H-pyrazol-3-yl)methanone 756752-74-6P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][2-phenyl-5-(pyrrolidin-1-yl)-2H-pyrazol-3-yl]methanone 756752-75-7P, (5E)-5-[[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]carbonyl]-1-phenyl-1H-pyrazole-3-carboxaldehyde oxime 756752-76-8P, (5Z)-5-[[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]carbonyl]-1-phenyl-1H-pyrazole-3-carboxaldehyde oxime 756752-78-0P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-(morpholin-4-yl)-2-phenyl-2H-pyrazol-3-yl]methanone 756752-79-1P, 3-[4-[[5-Methyl-2-(pyridin-3-yl)-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]benzamide 756752-80-4P, [5-[(Benzyl)amino]-2-phenyl-2H-pyrazol-3-yl][4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone 756752-81-5P, (5-Amino-2-phenyl-2H-pyrazol-3-yl)[4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone 756752-84-8P, [4-(3-Chlorophenyl)piperazin-1-yl](5-phenoxyethyl-2-phenyl-2H-pyrazol-3-yl)methanone 756752-86-0P, [4-(3-Chlorophenyl)piperazin-1-yl][2-phenyl-5-[(phenylsulfanyl)methyl]-2H-pyrazol-3-yl]methanone 756752-88-2P, [4-[3-(2-Hydroxyethylamino)phenyl]piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756752-89-3P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(thiophen-3-yl)piperazin-1-yl]methanone 756752-92-8P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-(3-hydroxypyrrolidin-1-yl)-2-phenyl-2H-pyrazol-3-yl]methanone 756752-93-9P, 1-[3-[4-[(5-Methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]phenyl]ethanone 756752-94-0P, N-(2-Methylaminoethyl)-3-[4-[(5-methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzamide hydrochloride 756752-96-2P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(3,4,5-trifluorophenyl)piperazin-1-yl]methanone 756752-98-4P, (E)-3-[5-[[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]carbonyl]-1-phenyl-1H-pyrazol-3-yl]-2-propenoic acid ethyl ester 756753-03-4P, 3-[5-[[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]carbonyl]-1-phenyl-1H-pyrazol-3-yl]thiophene-2-carboxaldehyde 756753-04-5P, N-Methyl-3-[4-[(5-methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzamide 756753-08-9P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl](2-phenyl-5-trifluoromethyl-2H-pyrazol-3-yl)methanone 756753-09-0P, [4-(3-Chlorophenyl)piperazin-1-yl](2-phenyl-5-trifluoromethyl-2H-pyrazol-3-yl)methanone 756753-10-3P, 3-[4-[(2-Phenyl-5-trifluoromethyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzamide 756753-14-7P, [[5-[[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]carbonyl]-1-phenyl-1H-pyrazol-3-yl]methyl]allylcarbamate 756753-15-8P 756753-16-9P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-(2-fluoroethoxymethyl)-2-phenyl-2H-pyrazol-3-yl]methanone 756753-18-1P, [5-(Cyclopentylhydroxymethyl)-2-phenyl-2H-pyrazol-3-yl][4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone 756753-19-2P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-(1-hydroxypropyl)-2-phenyl-2H-pyrazol-3-yl]methanone 756753-20-5P, (E)-3-[5-[[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]carbonyl]-1-phenyl-1H-pyrazol-3-yl]-2-

propenoic acid methyl ester 756753-21-6P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-(1-hydroxyethyl)-2-phenyl-2H-pyrazol-3-yl]methanone 756753-22-7P, 3-Hydroxy-N-[3-[4-[(5-methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]phenyl]propionamide 756753-23-8P, [5-(Azetidin-1-yl)-2-phenyl-2H-pyrazol-3-yl][4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone 756753-24-9P, [5-(Allylamino)-2-phenyl-2H-pyrazol-3-yl][4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone 756753-25-0P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-[hydroxy(phenyl)methyl]-2-phenyl-2H-pyrazol-3-yl]methanone 756753-26-1P, [4-(3-Hydroxymethylphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756753-28-3P, 3-[4-[(2-Phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzamide 756753-29-4P, 3-[4-[(5-Hydroxymethyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzamide 756753-30-7P, (5-Cyanomethyl-2-phenyl-2H-pyrazol-3-yl)[4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone 756753-32-9P, tert-Butyl N-[3-[4-(3,5-dimethoxyphenyl)piperazin-1-yl]carbonyl]-2-phenyl-2H-pyrazol-3-yl]glycinate 756753-33-0P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-(piperidin-1-yl)-2-phenyl-2H-pyrazol-3-yl]methanone 756753-34-1P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl](4,5-difluoro-2-phenyl-2H-pyrazol-3-yl)methanone 756753-35-2P, [4-(5-Hydroxypyridin-3-yl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756753-39-6P, [4-(3-Difluoromethoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 756753-40-9P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-[(1H-pyrrol-2-yl)methyl]-2-phenyl-2H-pyrazol-3-yl]methanone 756753-41-0P, [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-[(pyrrolidin-1-yl)methyl]-2-phenyl-2H-pyrazol-3-yl]methanone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

IT 26074-63-5P 32464-77-0P, 5-Methyl-2-phenyl-2H-pyrazole-3-carboxaldehyde 57245-88-2P, (5-Methyl-1H-pyrazol-3-yl)(4-phenylpiperazin-1-yl)methanone 130722-95-1P, 3-Benzyloxy-5-bromopyridine 223527-06-8P, 5-Bromo-2-phenyl-2H-pyrazole-3-carboxylic acid ethyl ester 223794-95-4P, 1-(5-Chloro-3-pyridinyl)piperazine 740873-42-1P, Dimethyl[3-(piperazin-1-yl)phenyl]amine 756751-63-0P, N-Methoxy-N-methyl-5-methyl-2-phenyl-2H-pyrazole-3-carboxamide 756751-85-6P, N-[3-(Piperazin-1-yl)phenyl]benzamide 756751-86-7P, (Phenylmethyl)[3-(piperazin-1-yl)phenyl]amine 756751-88-9P, 1-tert-Butoxycarbonyl-4-(5-chloro-3-pyridinyl)piperazine 756751-90-3P, Methyl [3-(4-phenylmethylpiperazin-1-yl)phenyl]carbamate 756751-91-4P, N-[3-(4-Phenylmethylpiperazin-1-yl)phenyl]methylamine 756751-92-5P 756751-94-7P, 3-[4-[(5-Methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzoic acid 756751-99-2P, 1-[3-(4-Phenylmethylpiperazin-1-yl)phenyl]ethanone 756752-04-2P 756752-25-7P, 3-[(Benzoyloxy)methyl]-1-phenyl-1H-pyrazole-5-carboxylic acid ethyl ester 756752-27-9P 756752-28-0P 756752-29-1P, 5-Benzyloxy-2H-pyrazole-3-carboxylic acid ethyl ester 756752-30-4P, (5-Benzyloxy-1H-pyrazol-3-yl)[4-(3-chlorophenyl)piperazin-1-yl]methanone 756752-35-9P, 5-Bromo-2-(4-bromophenyl)-2H-pyrazole-3-carboxylic acid ethyl ester 756752-42-8P, 5-Formyl-2-phenyl-2H-pyrazole-3-carboxylic acid ethyl ester 756752-46-2P, 3-Bromomethyl-1-phenyl-1H-pyrazole-5-carboxylic acid ethyl ester 756752-48-4P 756752-49-5P, 1-(3-Difluoromethoxyphenyl)piperazine hydrochloride 756752-51-9P, 5-(2-Methylimidazol-1-ylmethyl)-2-phenyl-2H-pyrazole-3-carboxylic acid ethyl ester 756752-53-1P, Ethyl 2-phenyl-5-[(phenylamino)methyl]-2H-pyrazole-3-carboxylate 756752-58-6P, 5-[(Benzyloxy)methyl]-2-phenyl-2H-

pyrazole-3-carboxylic acid ethyl ester 756752-77-9P 756752-82-6P
, [5-(Benzhydrylideneamino)-2-phenyl-2H-pyrazol-3-yl][4-(3,5-
dimethoxyphenyl)piperazin-1-yl]methanone 756752-85-9P,
5-Phenoxymethyl-2-phenyl-2H-pyrazole-3-carboxylic acid ethyl ester
756752-87-1P, 2-Phenyl-5-[(phenylsulfanyl)methyl]-2H-pyrazole-3-carboxylic
acid ethyl ester 756752-90-6P, tert-Butyl 4-[(5-methyl-2-phenyl-2H-
pyrazol-3-yl)carbonyl]piperazine-1-carboxylate 756752-91-7P,
(5-Methyl-2-phenyl-2H-pyrazol-3-yl)(piperazin-1-yl)methanone
756752-95-1P, tert-Butyl methyl[2-[3-[4-[(5-methyl-2-phenyl-2H-
pyrazol-3-yl)carbonyl]piperazin-1-yl]benzoylamino]ethyl]carbamate
756753-01-2P, 5-[(Methoxymethoxy)methyl]-2-phenyl-2H-pyrazole-3-carboxylic
acid ethyl ester 756753-02-3P, 5-[(Methoxymethoxy)methyl]-2-phenyl-2H-
pyrazole-3-carboxylic acid 756753-05-6P, tert-Butyl 4-(3-
methylcarbamoylphenyl)piperazine-1-carboxylate 756753-06-7P,
N-Methyl-3-(piperazin-1-yl)benzamide hydrochloride 756753-12-5P,
5-Azidomethyl-2-phenyl-2H-pyrazole-3-carboxylic acid ethyl ester
756753-13-6P, (5-Azidomethyl-2-phenyl-2H-pyrazol-3-yl)[4-(3,5-
dimethoxyphenyl)piperazin-1-yl]methanone 756753-17-0P,
5-(2-Fluoroethoxymethyl)-2-phenyl-2H-pyrazole-3-carboxylic acid ethyl
ester 756753-27-2P, [3-(Piperazin-1-yl)phenyl]methanol hydrochloride
756753-31-8P, 5-Cyanomethyl-2-phenyl-2H-pyrazole-3-carboxylic acid ethyl
ester 756753-36-3P, 4-(5-Benzyloxypyridin-3-yl)piperazine-1-carboxylic
acid tert-butyl ester 756753-37-4P, 1-(5-Benzyloxypyridin-3-
yl)piperazine hydrochloride 756753-38-5P, [4-(5-Benzyloxypyridin-
3-yl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of N-arylheteroaryls, in particular
N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and
their compns. for treatment of cancer)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2004:611924 ZCAPLUS Full-text

DOCUMENT NUMBER: 141:157136

TITLE: Preparation of N-arylheteroaryls, in particular
N-phenylpiperazinyl methanones, as inhibitors of
tubulin polymerization and their compositions
for treatment of cancer

INVENTOR(S): Le Brun, Alain; Thompson, Fabienne; Tiraboschi,
Gilles; Salvino, Joseph; Mailliet, Patrick

PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.

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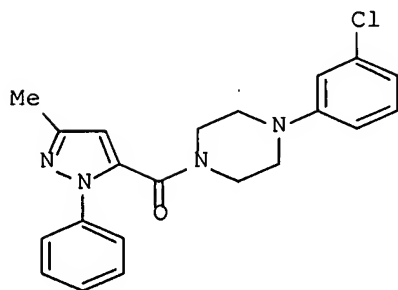
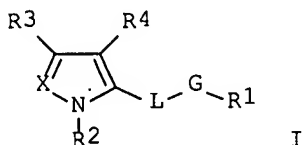
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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FR 2850379	A1	20040730	FR 2003-894	20030128
FR 2850379	B1	20061117		
AU 2004218260	A1	20040916	AU 2004-218260	20040126
CA 2512243	A1	20040916	CA 2004-2512243	20040126
WO 2004078732	A1	20040916	WO 2004-FR168	20040126
WO 2004078732	B1	20041028		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
 MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, ML, MR, NE, SN, TD, TG

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EP 1590329	A1	20051102	EP 2004-705102	20040126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007088	A	20060124	BR 2004-7088	20040126
JP 2006516656	T	20060706	JP 2006-505660	20040126
PRIORITY APPLN. INFO.:			FR 2003-894	A 20030128
			US 2003-455120P	P 20030317
			FR 2003-13086	A 20031107
			WO 2004-FR168	W 20040126

OTHER SOURCE(S): MARPAT 141:157136
 GI



AB Title compds. I [wherein R1, R2 = independently (un)substituted hetero/aryl; L = CH2 and derivs., C(:O), C(:S), C:NOH and derivs.; R3, R4 = independently H, alkyl, cycloalkylene, OH and derivs., S(O)nH and derivs., NH2 and derivs., halo, (un)substituted hetero/aryl, cycloalkyl; n = 0-2; X = N, CH; G = substituted piperazine, piperidine, 1,2,5,6-tetrahydropyridine; their racemics, stereoisomers, tautomers, prodrugs, and pharmaceutically acceptable salts] were prepared as inhibitors of tubulin polymerization and of tumor and endothelial cell proliferation in vitro, and for use in treatment of cancer. A combinatorial library of N-phenylpiperazinyl pyrazolyl ketones is given. For example, II was prepared from 5-methyl-2-phenyl-2H-pyrazole-3-carboxylic acid and 1-(3-chlorophenyl)piperazine. II gave an IC50 of 0.2 μ M for inhibition of tubulin polymerization, an IC50 value of 0.002 μ M for inhibition of HCT116 cells proliferation, and a 22% detachment of the endothelial HDMEC

cells at a concentration of 1 μ M. Thus, I and their pharmaceutical compns. are useful for treating cancer (no data).

IC ICM C07D231-14

ICS A61K031-496; C07D403-12; A61P035-00; C07D231-00; C07D239-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 729605-21-4P, [4-(3-Chlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

IT 729603-67-2P 729603-68-3P, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-69-4P, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729603-70-7P, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-72-9P, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-73-0P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)(4-phenylpiperazin-1-yl)methanone 729603-74-1P, [4-(2-Methoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729603-75-2P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone 729603-76-3P, [4-(2,3-Dimethylphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729603-77-4P, [4-(3-Methoxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729603-78-5P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone 729603-79-6P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(naphthalen-1-yl)piperazin-1-yl]methanone 729603-80-9P, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729603-81-0P, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-(m-tolyl)piperazin-1-yl]methanone 729603-82-1P, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone 729603-83-2P, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729603-84-3P, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-85-4P, [4-(3-Chlorophenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-86-5P, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729603-87-6P, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone 729603-88-7P, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-89-8P, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729603-91-2P, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone 729603-92-3P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-fluorophenyl)piperazin-1-yl]methanone 729603-93-4P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone 729603-94-5P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone 729603-95-6P, [4-(3-Chlorophenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729603-96-7P, [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone 729603-97-8P, [4-(2-Ethylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729603-98-9P,

[4-(3,4-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729603-99-0P**, [4-(3-Chlorophenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-00-6P**,
 [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone **729604-01-7P**, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729604-02-8P**,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-chlorophenyl)piperazin-1-yl]methanone **729604-03-9P**, [4-(3-Chlorophenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-04-0P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729604-05-1P**,
 [4-(3-Chlorophenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-06-2P**, [4-(3-Chlorophenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-07-3P**, [4-(3-Chlorophenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-08-4P**,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-phenylpiperazin-1-yl]methanone **729604-09-5P**, [4-(4-Fluorophenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729604-10-8P**,
 [5-Methyl-2-phenyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729604-11-9P**, [4-(2-Ethoxyphenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729604-12-0P**, [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-phenylpiperazin-1-yl]methanone **729604-13-1P**,
 [4-(2-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-14-2P**, [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-15-3P**, [4-(4-Fluorophenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-16-4P**,
 [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729604-17-5P**, [4-(3-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-18-6P**,
 [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone **729604-19-7P**, [4-(2-Methylsulfanylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-20-0P**,
 [4-(4-Chlorophenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-21-1P**, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-22-2P**,
 [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-23-3P**, [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-24-4P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729604-25-5P**,
 [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone **729604-26-6P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone **729604-27-7P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone **729604-28-8P**,
 [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-29-9P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-30-2P** **729604-31-3P**,
 [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone **729604-32-4P**, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,4-dimethylphenyl)piperazin-1-yl]methanone **729604-33-5P**, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3,4-dichlorophenyl)piperazin-1-yl]methanone **729604-34-6P**,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3,4-dimethylphenyl)piperazin-1-yl]methanone **729604-35-7P**,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729604-36-8P**, [2-(3-Chlorophenyl)-5-methyl-2H-

pyrazol-3-yl][4-(2-ethylphenyl)piperazin-1-yl]methanone
729604-37-9P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-chlorophenyl)piperazin-1-yl]methanone **729604-38-0P**,
 [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(3-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-39-1P**, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-ethoxyphenyl)piperazin-1-yl]methanone **729604-40-4P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-41-5P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone **729604-42-6P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone **729604-43-7P**, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(4-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-44-8P**, [4-(3,4-Dichlorophenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729604-45-9P**, [4-(3,4-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729604-46-0P**, [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729604-47-1P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729604-48-2P**, [4-(3-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729604-50-6P**, [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-51-7P**, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone **729604-52-8P**, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729604-53-9P**, [5-Methyl-2-phenyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-54-0P**, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729604-55-1P**, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-56-2P**, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729604-57-3P**, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-58-4P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-phenylpiperazin-1-yl]methanone **729604-59-5P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729604-60-8P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729604-61-9P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-fluorophenyl)piperazin-1-yl]methanone **729604-62-0P**, [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-63-1P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-64-2P**, [4-(2-Ethylphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-65-3P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone **729604-66-4P**, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone **729604-67-5P**, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-68-6P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-69-7P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-70-0P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729604-71-1P**, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-72-2P**, [4-(2-Ethylphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729604-73-3P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-

methoxyphenyl)piperazin-1-yl]methanone 729604-74-4P,
 [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-
 pyrazol-3-yl]methanone 729604-75-5P 729604-76-6P
 729604-77-7P 729604-78-8P, [4-(3,4-
 Dichlorophenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone
 729604-79-9P 729604-80-2P 729604-81-3P,
 [4-(3,4-Dichlorophenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-
 yl]methanone 729604-82-4P, [4-(2,3-Dimethylphenyl)piperazin-1-
 yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-83-5P,
 [4-(2-Ethoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-
 yl]methanone 729604-84-6P, [4-(2,3-Dichlorophenyl)piperazin-1-
 yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone 729604-85-7P,
 [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-
 pyrazol-3-yl]methanone 729604-86-8P, [4-(2-
 Ethoxyphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-
 yl]methanone 729604-87-9P, [4-(2,3-Dichlorophenyl)piperazin-1-
 yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone
 729604-88-0P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-
 methoxyphenyl)piperazin-1-yl]methanone 729604-89-1P,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-
 trifluoromethylphenyl)piperazin-1-yl]methanone 729604-90-4P,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-
 dimethylphenyl)piperazin-1-yl]methanone 729604-91-5P,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-
 yl]methanone 729604-92-6P, [2-(3-Chlorophenyl)-5-methyl-2H-
 pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone
 729604-93-7P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-
 dichlorophenyl)piperazin-1-yl]methanone 729604-94-8P,
 [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-
 trifluoromethylphenyl)piperazin-1-yl]methanone 729604-95-9P,
 [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(3-chlorophenyl)-5-methyl-
 2H-pyrazol-3-yl]methanone 729604-96-0P, [2-(3-Chlorophenyl)-5-
 methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-
 yl]methanone 729604-97-1P, [2-(4-Chlorophenyl)-5-methyl-2H-
 pyrazol-3-yl][4-(2,3-dichlorophenyl)piperazin-1-yl]methanone
 729604-98-2P, [4-(2-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(p-
 tolyl)-2H-pyrazol-3-yl]methanone 729604-99-3P,
 [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazi
 n-1-yl]methanone 729605-00-9P, [4-(2,3-Dichlorophenyl)piperazin-
 1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729605-01-0P
 , [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-
 trifluoromethylphenyl)piperazin-1-yl]methanone 729605-02-1P,
 [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-
 yl]methanone 729605-03-2P, [4-(2,3-Dimethylphenyl)piperazin-1-
 yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone
 729605-04-3P, [4-(2-Ethylphenyl)piperazin-1-yl][2-(3-
 methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-05-4P,
 [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-
 methylsulfanylphenyl)piperazin-1-yl]methanone 729605-06-5P,
 [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-
 yl)piperazin-1-yl]methanone 729605-07-6P, [4-(2,3-
 Dichlorophenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-
 yl]methanone 729605-08-7P, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-
 yl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone
 729605-09-8P, [4-(2,3-Dimethylphenyl)piperazin-1-yl][2-(2-
 fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-10-1P,
 [4-(2-Ethylphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-
 yl]methanone 729605-11-2P, [2-(2-Fluorophenyl)-5-methyl-2H-
 pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone
 729605-12-3P, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-

trifluoromethylphenyl)piperazin-1-yl]methanone 729605-13-4P,
 [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-
 pyrazol-3-yl]methanone 729605-14-5P, [2-(4-Fluorophenyl)-5-
 methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone
 729605-15-6P, [4-(2,3-Dimethylphenyl)piperazin-1-yl][2-(4-
 fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-16-7P,
 [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-
 2H-pyrazol-3-yl]methanone 729605-17-8P 729605-18-9P
 729605-19-0P 729605-20-3P 729605-27-0P,
 (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(2-methoxyphenyl)piperazin-1-
 yl]methanone 729605-28-1P, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-
 yl)[4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone
 729605-29-2P, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(4-
 fluorophenyl)piperazin-1-yl]methanone 729605-30-5P,
 1-[4-[4-[(3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)carbonyl]piperazin-1-
 yl]phenyl]ethanone 729605-31-6P, [4-(2,4-
 Dimethylphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-
 yl)methanone 729605-32-7P, [4-(3,4-Dichlorophenyl)piperazin-1-
 yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone 729605-33-8P
 , [4-(3,4-Dimethylphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-
 4-yl)methanone 729605-34-9P, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-
 yl)[4-(o-tolyl)piperazin-1-yl]methanone 729605-35-0P,
 [4-(2,3-Dimethylphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-
 yl)methanone 729605-36-1P, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-
 yl)[4-(2-ethylphenyl)piperazin-1-yl]methanone 729605-37-2P,
 [4-(3-Chlorophenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-
 yl)methanone 729605-38-3P, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-
 yl)[4-(m-tolyl)piperazin-1-yl]methanone 729605-39-4P,
 (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(4-methoxyphenyl)piperazin-1-
 yl]methanone 729605-40-7P, [4-(2,4-Dimethoxyphenyl)piperazin-1-
 yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone 729605-41-8P
 , (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(2-
 methylsulfanylphenyl)piperazin-1-yl]methanone 729605-42-9P,
 [4-(4-Chlorophenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-
 yl)methanone
 729605-43-0P, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)[4-(naphthalen-
 1-yl)piperazin-1-yl]methanone 729605-44-1P, [4-(5-Chloro-2-
 methylphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-
 yl)methanone 729605-46-3P, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-
 yl)[4-(2-ethoxyphenyl)piperazin-1-yl]methanone 729605-48-5P,
 [4-(2,3-Dichlorophenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-
 yl)methanone 729605-50-9P, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-
 yl)[4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone
 729605-52-1P, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl](3,5-
 dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone 729605-53-2P,
 [4-(4-Benzoyloxyphenyl)piperazin-1-yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-
 yl)methanone 729605-54-3P, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-
 yl)[4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone
 729605-55-4P, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-
 yl](3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methanone 729605-56-5P
 , [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-
 methoxyphenyl)piperazin-1-yl]methanone 729605-57-6P,
 [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-
 trifluoromethylphenyl)piperazin-1-yl]methanone 729605-58-7P,
 [4-(4-Fluorophenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-
 3-yl]methanone 729605-59-8P, 1-[4-[4-[[2-(4-Methoxyphenyl)-5-
 methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone
 729605-60-1P, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-(4-
 methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729605-61-2P,
 [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-

pyrazol-3-yl]methanone **729605-62-3P**, [4-(3,4-Dimethylphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-63-4P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729605-64-5P**, [4-(2,3-Dimethylphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-65-6P**, [4-(2-Ethylphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-66-7P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone **729605-67-8P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone **729605-68-9P**, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-69-0P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone **729605-70-3P**, [4-(4-Chlorophenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-71-4P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone **729605-72-5P**, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-73-6P**, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-74-7P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-75-8P**, [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-76-9P**, [2-(4-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-77-0P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-(4-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-78-1P**, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-phenylpiperazin-1-yl]methanone **729605-79-2P**, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729605-80-5P**, [4-(4-Fluorophenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-81-6P**, 1-[4-[4-[[2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone **729605-82-7P**, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-83-8P**, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone **729605-84-9P**, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-85-0P**, [4-(4-Chlorophenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-86-1P**, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-87-2P**, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-88-3P**, [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-(3-methoxyphenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729605-89-4P**, [2-(3-Methoxyphenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729605-90-7P**, 1-[4-[4-[(5-Methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]phenyl]ethanone **729605-91-8P**, [4-(2,4-Dimethylphenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729605-92-9P**, [4-(2-Ethylphenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729605-93-0P**, [4-(4-Methoxyphenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729605-94-1P**, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729605-95-2P**, [4-(4-Chlorophenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone **729605-96-3P**, (5-Methyl-2-phenyl-2H-pyrazol-3-yl)[4-

(4-trifluoromethylphenyl)piperazin-1-yl]methanone 729605-97-4P,
 [4-(4-Benzoyloxyphenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729605-98-5P, N-[4-[4-[(5-Methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]phenyl]methanesulfonamide 729605-99-6P, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl](4-phenylpiperazin-1-yl)methanone 729606-00-2P,
 [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-fluorophenyl)piperazin-1-yl]methanone 729606-01-3P, 1-[4-[4-[[2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone 729606-02-4P, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-03-5P,
 [4-(3,4-Dichlorophenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-04-6P, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone 729606-05-7P, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-06-8P,
 [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone 729606-07-9P, [4-(4-Chlorophenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-08-0P, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-09-1P, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-10-4P,
 , [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-11-5P, [2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone 729606-12-6P, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-(2-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

IT 729606-13-7P, N-[4-[4-[[2-(2-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide 729606-14-8P,
 , 1-[4-[4-[[2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone 729606-15-9P, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-16-0P, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone 729606-18-2P,
 [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone 729606-19-3P, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-20-6P, [4-(4-Chlorophenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-21-7P,
 [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone 729606-22-8P,
 [4-(4-Benzoyloxyphenyl)piperazin-1-yl][2-(3-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-23-9P, [2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone 729606-24-0P, N-[4-[4-[[2-(3-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide 729606-25-1P, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl](4-phenylpiperazin-1-yl)methanone 729606-26-2P,
 [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-fluorophenyl)piperazin-1-yl]methanone 729606-27-3P, 1-[4-[4-[[2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone 729606-28-4P, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone 729606-29-5P,

[2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone **729606-30-8P**, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-31-9P**, [4-(4-Chlorophenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-32-0P**, [2-(4-Fluorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-33-1P**, [4-(4-Benzoyloxyphenyl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-34-2P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-(4-fluorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-35-3P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl](4-phenylpiperazin-1-yl)methanone **729606-36-4P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-37-5P**, 1-[4-[4-[[2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone **729606-38-6P**, [4-(2,4-Dimethylphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729606-39-7P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729606-40-0P**, [4-(3-Chlorophenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729606-41-1P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(3-methoxyphenyl)piperazin-1-yl]methanone **729606-42-2P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(m-tolyl)piperazin-1-yl]methanone **729606-43-3P**, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729606-44-4P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfonylphenyl)piperazin-1-yl]methanone **729606-45-5P**, [4-(4-Chlorophenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729606-46-6P**, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729606-47-7P**, [4-(2-Ethoxyphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729606-48-8P**, [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729606-49-9P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-50-2P**, [4-(4-Benzoyloxyphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729606-51-3P**, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-52-4P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone **729606-53-5P**, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,4-dimethoxyphenyl)piperazin-1-yl]methanone **729606-54-6P**, [4-(4-Benzoyloxyphenyl)piperazin-1-yl][2-(3-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-55-7P**, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl]methanone **729606-56-8P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl](4-phenylpiperazin-1-yl)methanone **729606-57-9P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methoxyphenyl)piperazin-1-yl]methanone **729606-58-0P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-fluorophenyl)piperazin-1-yl]methanone **729606-59-1P**, 1-[4-[4-[[2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone **729606-60-4P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3,4-dichlorophenyl)piperazin-1-yl]methanone **729606-61-5P**,

[2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3,4-dimethylphenyl)piperazin-1-yl]methanone **729606-62-6P**,
 [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(o-tolyl)piperazin-1-yl]methanone **729606-63-7P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-dimethylphenyl)piperazin-1-yl]methanone **729606-64-8P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-ethylphenyl)piperazin-1-yl]methanone **729606-65-9P**,
 [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(3-chlorophenyl)piperazin-1-yl]methanone **729606-66-0P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone **729606-67-1P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-chlorophenyl)piperazin-1-yl]methanone **729606-68-2P**,
 [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone **729606-69-3P**, [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][2-(4-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-70-6P**, [4-(4-Benzyloxyphenyl)piperazin-1-yl][2-(4-chlorophenyl)-5-methyl-2H-pyrazol-3-yl]methanone **729606-71-7P**, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,3-dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl]methanone **729606-72-8P**,
 [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-phenylpiperazin-1-yl]methanone **729606-73-9P**, 1-[4-[4-[[5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]-5-ethanone **729606-74-0P**,
 [4-(2-Ethylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729606-75-1P**, [4-(4-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729606-76-2P**,
 [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729606-77-3P**, [4-(2-Methylsulfanylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729606-78-4P**,
 [4-(4-Chlorophenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729606-79-5P**, [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone **729606-80-8P**,
 [4-(5-Chloro-2-methylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729606-81-9P**, [4-(2-Ethoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729606-82-0P**, [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-83-1P**, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729606-84-2P**,
 [4-(4-Benzyloxyphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729606-85-3P**, [5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-86-4P**, [4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone **729606-87-5P**,
 N-[4-[4-[[5-Methyl-2-(p-tolyl)-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729606-88-6P**, 1-[4-[4-[[5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]ethanone **729606-89-7P**, [4-(2,4-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729606-90-0P**,
 [4-(4-Methoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729606-91-1P**, [4-(2,4-Dimethoxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729606-92-2P**,
 [5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-93-3P**, [4-(4-Benzyloxyphenyl)piperazin-1-yl][5-methyl-2-(m-tolyl)-2H-pyrazol-3-yl]methanone **729606-94-4P**,
 N-[4-[4-[[5-Methyl-2-(m-tolyl)-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide **729606-95-5P**, (2H-Indazol-3-yl)(4-phenylpiperazin-1-yl)methanone **729606-96-6P**, (2H-Indazol-3-yl)[4-(2-methoxyphenyl)piperazin-1-yl]methanone **729606-97-7P**,
 (2H-Indazol-3-yl)[4-(3-trifluoromethylphenyl)piperazin-1-yl]methanone **729606-98-8P**, [4-(4-Fluorophenyl)piperazin-1-yl](2H-indazol-3-yl)methanone

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pyrazol-3-yl]methanone 729607-41-4P, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone 729607-42-5P, [2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl][4-(naphthalen-1-yl)piperazin-1-yl]methanone 729607-43-6P, [4-(5-Chloro-2-methoxyphenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone 729607-44-7P, N-[4-[4-[[2-[4-(Methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide 729607-45-8P, [2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-methoxyphenyl)piperazin-1-yl]methanone 729607-46-9P, N-[4-[4-[[2-(3-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide 729607-47-0P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,4-dimethylphenyl)piperazin-1-yl]methanone 729607-48-1P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2,4-dimethoxyphenyl)piperazin-1-yl]methanone 729607-49-2P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-methylsulfanylphenyl)piperazin-1-yl]methanone 729607-50-5P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-ethoxyphenyl)piperazin-1-yl]methanone 729607-51-6P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(4-trifluoromethylphenyl)piperazin-1-yl]methanone 729607-52-7P, [2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl][4-(2-trifluoromethylphenyl)piperazin-1-yl]methanone 729607-53-8P, N-[4-[4-[[2-(4-Chlorophenyl)-5-methyl-2H-pyrazol-3-yl]carbonyl]piperazin-1-yl]phenyl]methanesulfonamide 729607-54-9P, [4-(4-Fluorophenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729607-55-0P, [4-(2,4-Dimethylphenyl)piperazin-1-yl][5-methyl-2-(p-tolyl)-2H-pyrazol-3-yl]methanone 729610-33-7P, (3,5-Dimethyl-1-phenyl-1H-pyrazol-4-yl)(4-phenylpiperazin-1-yl)methanone
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

IT 729605-22-5P, [4-(3,4-Dimethylphenyl)piperazin-1-yl][5-methyl-2-phenyl-2H-pyrazol-3-yl]methanone 729605-23-6P, (4-Phenyl-1,2,3,6-tetrahydropyridin-1-yl)(5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone 729605-24-7P, [4-(3-Chlorophenyl)piperazin-1-yl][2-phenyl-2H-pyrazol-3-yl]methanone 729605-26-9P, [4-(3-Chlorophenyl)piperazin-1-yl][1-phenyl-1H-pyrrol-2-yl]methanone
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE COVERS 1907 - 21 May 2007 VOL 146 ISS 22

FILE LAST UPDATED: 20 May 2007 (20070520/ED)

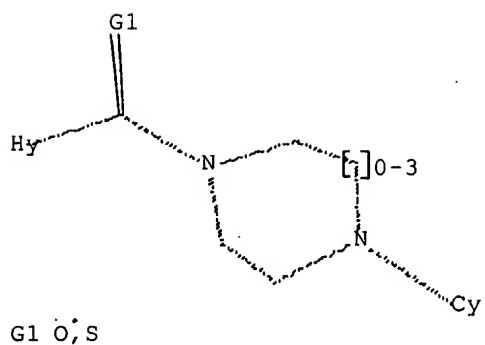
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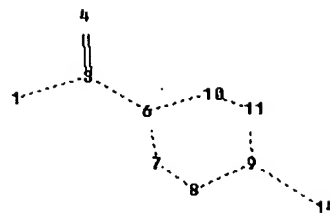
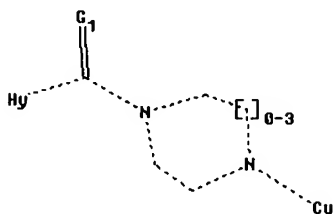
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=> d stat que L24

L3 STR



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Uploading L3.str



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1 3 4 14
ring nodes :
6 7 8 9 10 11
chain bonds :
1-3 3-4 3-6 9-14
ring bonds :
6-7 6-10 7-8 8-9 9-11 10-11
exact/norm bonds :
1-3 3-4 3-6 6-7 6-10 7-8 8-9 9-11 9-14 10-11

G1:O,S

Match level :

1:Atom 3:CLASS 4:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 14:Atom

Generic attributes :

14:

Saturation : Unsaturated

Element Count :

Node 1: Limited

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N,N2

O,O0

S,S0

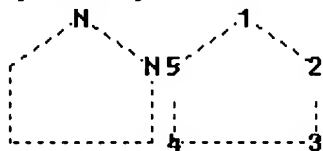
L5

STR



Structure attributes must be viewed using STN Express query preparation:

Uploading L5.str



ring nodes :

1 2 3 4 5

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom

L8 886 SEA FILE=REGISTRY SSS FUL L3 AND L5
L9 47 SEA FILE=ZCAPLUS ABB=ON PLU=ON L8
L10 5637 SEA FILE=ZCAPLUS ABB=ON PLU=ON TUBULIN/TI
L11 2 SEA FILE=ZCAPLUS ABB=ON PLU=ON L9 AND L10
L24 45 SEA FILE=ZCAPLUS ABB=ON PLU=ON L9 NOT L11

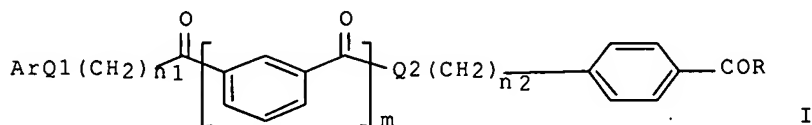
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L63 44 L24 NOT L61

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L63 ANSWER 1 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:363161 ZCAPLUS Full-text
DOCUMENT NUMBER: 146:415085
TITLE: Antagonists against human leukocyte antigen DG4
subtype protein
INVENTOR(S): Lai, Luhua; Liu, Ying; Liu, Zhenming; Li, Bo; Li,
Zhanguo; Li, Xia; Zhang, Cuihua; Chen, Qiaolin
PATENT ASSIGNEE(S): Peking University, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 9pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 1935133	A	20070328	CN 2005-10103525	20050919
PRIORITY APPLN. INFO.: GI			CN 2005-10103525	20050919



AB The invention relates to human leukocyte antigen DR4 subtype protein(HLA-DR4) antagonist with general formula I, wherein Ar is Ph, acetylphenyl, C1-C8 alkyl Ph, C1-C8 alkyl substituted -1H-benzimidazole, heterocyclic group or alkyl substituted heterocyclic group; Q1, Q2 is O, S or NH; n1, n2 is integer of 0-6; m is integer of 0-3; R is C1-C8 alkyl or alkoxy. Experiment indicates that the above compound I can inhibit activation of HLA-DR4 restrictive T cell by endogenous antigen peptides, thereby being used as HLA-DR4 antagonist. The inventive compound has advantages of small mol. weight, degradation tolerance and modification potential.

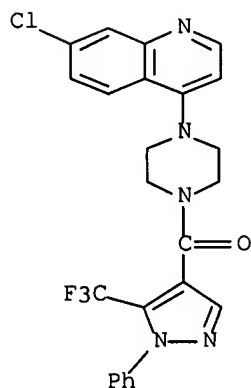
IT 934247-85-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antagonists against human leukocyte antigen DG4 subtype protein as immunoregulators)

RN 934247-85-5 ZCAPLUS

CN Methanone, [4-(7-chloro-4-quinolinyl)-1-piperazinyl][1-phenyl-5-(trifluoromethyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



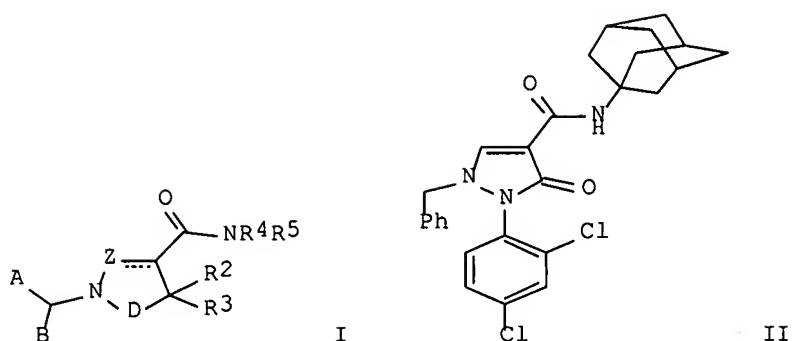
L63 ANSWER 2 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:259680 ZCAPLUS Full-text
 DOCUMENT NUMBER: 146:316911
 TITLE: Preparation of pyrazole amides as cannabinoid receptor ligands
 INVENTOR(S): Kundu, Mrinalkanti; Nadkarni, Suhas M.; Gullapalli, Srinivas; Joshi, Neelima Khairatkar; Karnik, Pallavi V.
 PATENT ASSIGNEE(S): Glenmark Pharmaceuticals S.A., Switz.
 SOURCE: PCT Int. Appl., 177pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007026215	A1	20070308	WO 2006-IB2355	20060829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: IN 2005-MU1020 A 20050829
 US 2005-717546P P 20050914

OTHER SOURCE(S): MARPAT 146:316911

GI



T

AB The title compds. I [A, B = (un)substituted alkyl, aryl, heteroaryl, etc.; Z = C(O), CH₂, CH; D = O, NR₁; R₁ = H, (un)substituted alkyl, cycloalkyl, etc.; R₂, R₃ = H, alkyl; or R₂ and R₃ together with the carbon atom to which they are attached represent C(O); R₄, R₅ = H, (un)substituted alkyl, aryl, etc.; or NR₄R₅ = 3-7 membered (un)saturated cyclic ring which may optionally include at least two heteroatoms selected from O, S or (un)substituted NH], useful as cannabinoid receptor modulators, were prepared and formulated. E.g., a multi-step synthesis of II, starting from Et ethoxymethylenemalonate and 2,4-dichlorophenylhydrazine, was given. Exemplified compds. I were tested for CB₁ and CB₂ receptors binding (data given).

IT 928762-09-8P 928762-63-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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100, (preparation of pyrazole amides as cannabinoid receptor modulators useful

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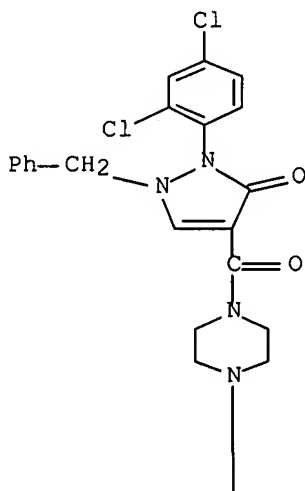
in

treatment and prevention of diseases mediated by cannabinoid receptors)

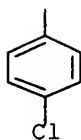
RN 928762-09-8 ZCAPLUS

CN 3H-Pyrazol-3-one, 4-[[4-(4-chlorophenyl)-1-piperazinyl]carbonyl]-2-(2,4-dichlorophenyl)-1,2-dihydro-1-(phenylmethyl)- (CA INDEX NAME)

PAGE 1-A

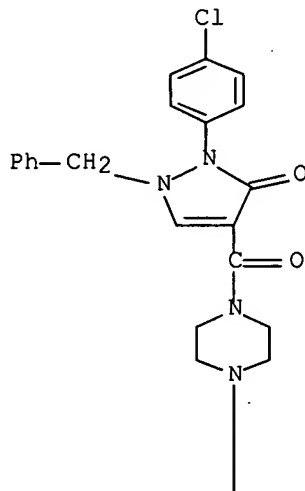


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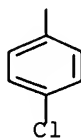


RN 928762-63-4 ZCAPLUS
CN 3H-Pyrazol-3-one, 2-(4-chlorophenyl)-4-[[4-(4-chlorophenyl)-1-piperazinyl]carbonyl]-1,2-dihydro-1-(phenylmethyl)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

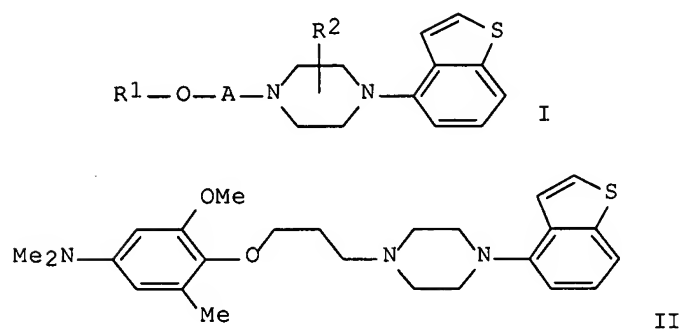


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 3 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:257347 ZCAPLUS Full-text

DOCUMENT NUMBER: 146:316939
 TITLE: Preparation of benzo[b]thiophen-4-yl-piperazine and related compounds as antipsychotic agents for the treatment of mental disorders
 INVENTOR(S): Yamashita, Hiroshi; Matsubara, Jun; Oshima, Kunio; Kuroda, Hideaki; Ito, Nobuaki; Miyamura, Shin; Shimizu, Satoshi; Tanaka, Tatsuyoshi; Taira, Shinichi; Kondo, Kazumi; Itotani, Motohiro; Bando, Masahiko; Fukushima, Tae; Oshiro, Yasuo; Takahashi, Haruka; Sakurai, Yohji; Kuroda, Takeshi; Shimada, Jun; Maeda, Kenji; Tadori, Yoshihiro; Amada, Naoki; Akazawa, Hitomi; Yamashita, Junko; Mori, Atsushi; Uwahodo, Yasufumi; Masumoto, Takumi; Sugino, Haruhiko; Kikuchi, Tetsuro; Hashimoto, Kazuya
 PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 686pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007026959	A2	20070308	WO 2006-JP317704	20060831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2007091733	A	20070412	JP 2006-235401	20060831
PRIORITY APPLN. INFO.:			JP 2005-251055	A 20050831
OTHER SOURCE(S):			MARPAT 146:316939	
GI				



AB Title compds. I [R1 = cycloalkyl, (un)substituted aryl, heterocyclyl; R2 = H or lower alkyl; A = lower alkylene or lower alkenylene], and their pharmaceutically acceptable salts, are prepared and disclosed as antipsychotic agents for the treatment of mental disorders. Thus, e.g., II·HCl was prepared via nucleophilic substitution of [4-(3-chloropropoxy)-3-methoxy-5-methylphenyl]-carbamic acid tert-Bu ester (preparation given) with 1-benzo[b]thiophen-4-yl-piperazine hydrochloride (preparation given) followed by deprotection and dimethylation. Binding assays were used to determine Ki values for I, e.g., II·HCl demonstrated Ki values of 0.4 nM in Dopamine D2 receptor and 5.9 nM in Serotonin 5-HT2A receptor. Serotonin uptake inhibitory activity of II·HCl was also determined as 95.3%. The invention compds. may be widely used in the treatment and prevention of mental disorders including central nervous system disorders, while demonstrating no side effects.

IT 928236-64-0P 928236-67-3P 928236-68-4P

928237-09-6P 928237-12-1P 928237-13-2P

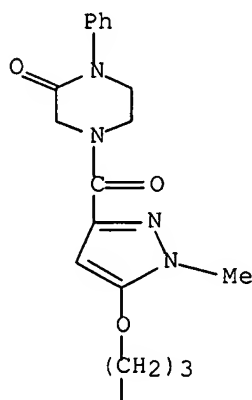
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzo[b]thiophen-4-yl-piperazine and related compds. as antipsychotic agents for the treatment of mental disorders)

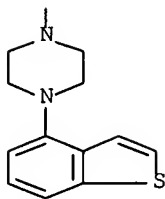
RN 928236-64-0 ZCAPLUS

CN 2-Piperazinone, 4-[[5-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]-1-methyl-1H-pyrazol-3-yl]carbonyl]-1-phenyl- (CA INDEX NAME)

PAGE 1-A

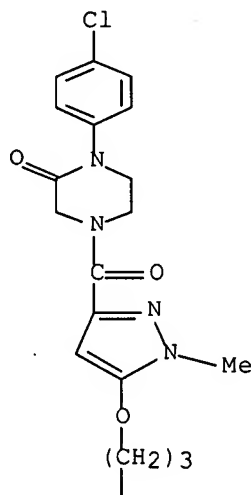


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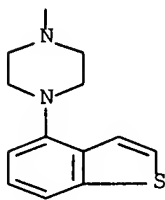


RN 928236-67-3 ZCAPLUS
CN 2-Piperazinone, 4-[[5-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]-1-methyl-1H-pyrazol-3-yl]carbonyl]-1-(4-chlorophenyl)- (CA INDEX NAME)

PAGE 1-A

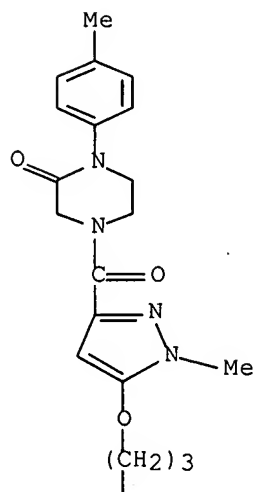


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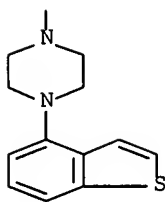


RN 928236-68-4 ZCAPLUS
CN 2-Piperazinone, 4-[[5-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]-1-methyl-1H-pyrazol-3-yl]carbonyl]-1-(4-methylphenyl)- (CA INDEX NAME)

PAGE 1-A

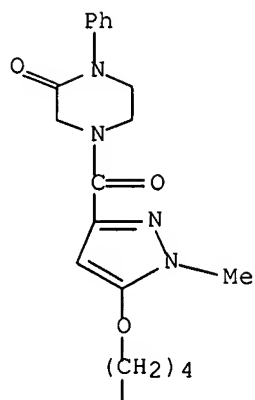


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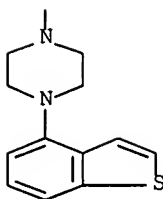


RN 928237-09-6 ZCAPLUS
CN 2-Piperazinone, 4-[[5-[[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]-1-methyl-1H-pyrazol-3-yl]carbonyl]-1-phenyl- (CA INDEX NAME)

PAGE 1-A

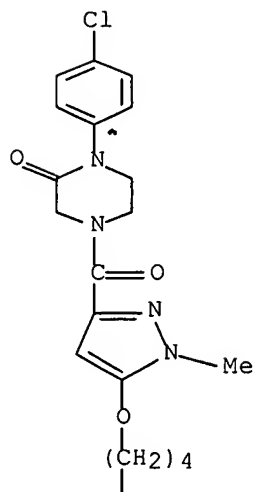


PAGE 2-A

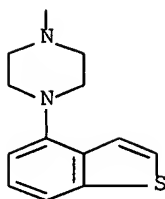


RN 928237-12-1 ZCAPLUS
CN 2-Piperazinone, 4-[[5-[[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]-1-methyl-1H-pyrazol-3-yl]carbonyl]-1-(4-chlorophenyl)- (CA INDEX NAME)

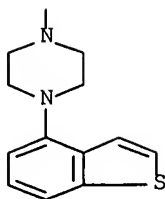
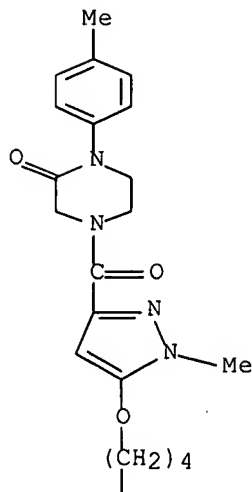
PAGE 1-A



PAGE 2-A



RN 928237-13-2 ZCAPLUS
CN 2-Piperazinone, 4-[[5-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]-1-methyl-1H-pyrazol-3-yl]carbonyl]-1-(4-methylphenyl)- (CA INDEX NAME)



L63 ANSWER 4 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:88171 ZCAPLUS Full-text
 DOCUMENT NUMBER: 146:184494
 TITLE: Preparation of piperazinone derivatives as histamine
 H3 receptor antagonists and/or inverse agonists
 INVENTOR(S): Ancliff, Rachael Ann; Bamford, Mark James; Hodgson,
 Simon Teanby; Parr, Christopher Allan; Procopiou,
 Panayiotis Alexandrou; Wilson, David Matthew; Woodrow,
 Michael
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 77pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007009741	A1	20070125	WO 2006-EP7036	20060717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

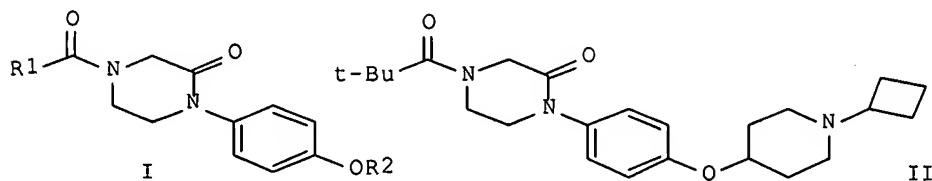
GB 2005-14812

A 20050719

OTHER SOURCE(S):

MARPAT 146:184494

GI



AB The title compds. I [wherein R1 = alkyl, alkoxy, aryl, etc.; R2 = (un)substituted aminoalkyl, heterocyclalkyl, etc.; with a proviso] or salts or solvates thereof are prepared for the treatment of various disorders, such as allergic rhinitis. For example, the compound II•HCl was prepared in a multi-step synthesis. Most of compds. I showed pKi (pKb) of >8.0 μM and <6.0 μM against human H3 and H1 receptors, resp.

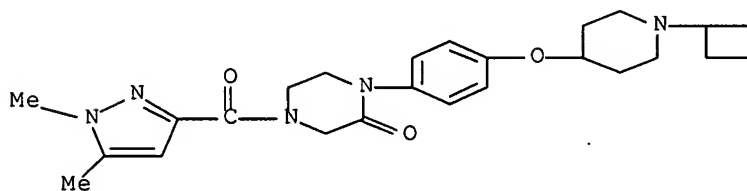
IT 921616-25-3P 921616-29-7P 921616-32-2P
 921616-33-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperazinone derivs. as histamine H3 receptor antagonists and/or inverse agonists)

RN 921616-25-3 ZCAPLUS

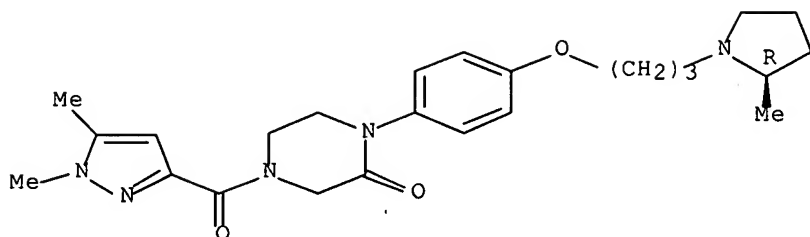
CN 2-Piperazinone, 1-[4-[(1-cyclobutyl-4-piperidinyloxy]phenyl]-4-[(1,5-dimethyl-1H-pyrazol-3-yl)carbonyl]- (CA INDEX NAME)



RN 921616-29-7 ZCAPLUS

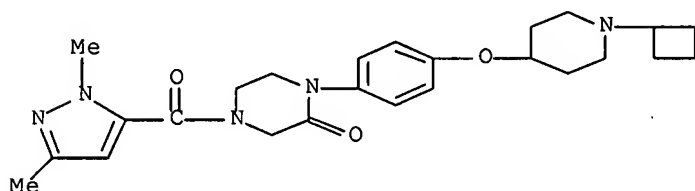
CN 2-Piperazinone, 4-[(1,5-dimethyl-1H-pyrazol-3-yl)carbonyl]-1-[4-[3-[(2R)-2-methyl-1-pyrrolidinyloxy]propoxy]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 921616-32-2 ZCAPLUS

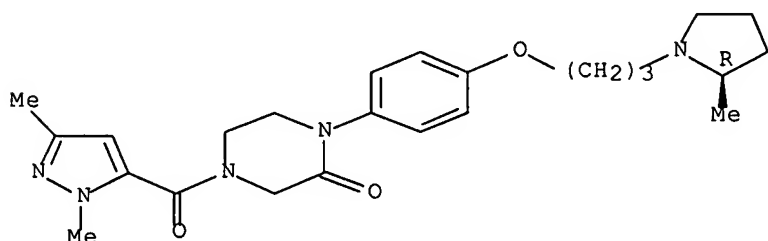
CN 2-Piperazinone, 1-[4-[(1-cyclobutyl-4-piperidinyloxy)phenyl]-4-[(1,3-dimethyl-1H-pyrazol-5-yl)carbonyl]- (CA INDEX NAME)



RN 921616-33-3 ZCAPLUS

CN 2-Piperazinone, 4-[(1,3-dimethyl-1H-pyrazol-5-yl)carbonyl]-1-[4-[3-[(2R)-2-methyl-1-pyrrolidinyloxy]propoxy]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 5 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:61837 ZCAPLUS Full-text

DOCUMENT NUMBER: 146:156236

TITLE: Cellular cholesterol absorption modifiers, and their therapeutic use

INVENTOR(S): Gardiner, Elisabeth M.; Duron, Sergio G.; Massari,

Mark E.; Severance, Daniel L.; Semple, Joseph E.
 PATENT ASSIGNEE(S): Kalypsys, Inc., USA
 SOURCE: PCT Int. Appl., 300pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007008541	A2	20070118	WO 2006-US26242	20060705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:
 US 2005-697659P P 20050708
 US 2005-697686P P 20050708
 US 2005-697814P P 20050708
 US 2005-727646P P 20051017
 US 2006-782303P P 20060313

OTHER SOURCE(S): MARPAT 146:156236

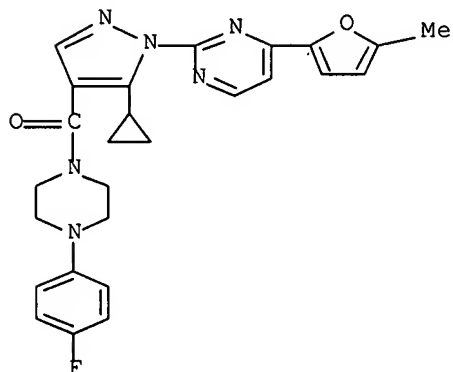
AB The invention discloses compds. and methods useful as inhibitors of
 cholesterol absorption for the treatment or prevention of vascular disease and
 atherosclerosis.

IT 920527-71-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (cholesterol absorption modifiers and therapeutic use)

RN 920527-71-5 ZCAPLUS

CN Methanone, [5-cyclopropyl-1-[4-(5-methyl-2-furanyl)-2-pyrimidinyl]-1H-
 pyrazol-4-yl][4-(4-fluorophenyl)-1-piperazinyl]- (CA INDEX NAME)



ACCESSION NUMBER: 2007:17794 ZCAPLUS Full-text

DOCUMENT NUMBER: 146:121988

TITLE: Preparation of N-substituted piperazines as insecticides or fungicides

INVENTOR(S): Lorsbach, Beth Anne; Ruiz, James Melvin; Sparks, Thomas Clarence; Sullenberger, Michael Thomas; Morrison, Irene Mae; Webster, Jeffery Dale

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 59pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

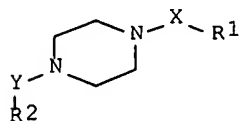
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

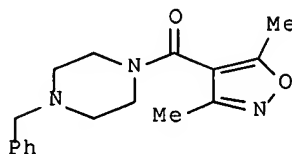
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007004750	A1	20070104	US 2006-479772	20060630
PRIORITY APPLN. INFO.:			US 2005-695364P	P 20050630
OTHER SOURCE(S):	MARPAT	146:121988		

GI



I



II

AB Title compds. I [wherein X, Y = direct bond, alkyl, carbonyl, etc.; R1, R2 = alkyl, (un)substituted (hetero)aryl, cycloalkyl or heterocyclyl, with limitations], which are useful as insecticides or fungicides, were prepared For instance, acylation of 1-benzylpiperazine with 3,5-dimethylisoxazole-4-carbonyl chloride gave II. The invented compds. showed more or less insecticidal or/and fungicidal activities.

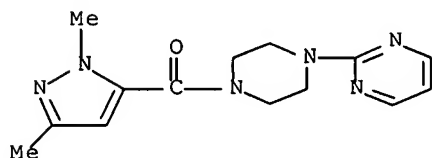
IT 918479-59-1P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-substituted piperazines as insecticides or fungicides)

RN 918479-59-1 ZCAPLUS

CN Methanone, (1,3-dimethyl-1H-pyrazol-5-yl) [4-(2-pyrimidinyl)-1-piperazinyl]-
(CA INDEX NAME)



ACCESSION NUMBER: 2007:13467 ZCAPLUS Full-text
 DOCUMENT NUMBER: 146:121948
 TITLE: Preparation of oxazolidinone derivatives as antibiotics
 INVENTOR(S): Cano, Montserrat; Palomer, Albert; Guglietta, Antonio
 PATENT ASSIGNEE(S): Ferrer Internacional, S. A., Spain
 SOURCE: PCT Int. Appl., 67pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007000432	A1	20070104	WO 2006-EP63541	20060626
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1745784	A1	20070124	EP 2005-105714	20050627
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			

PRIORITY APPLN. INFO.: EP 2005-105714 A 20050627

OTHER SOURCE(S): CASREACT 146:121948; MARPAT 146:121948

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1-R4 = H, halo; A = Q1, etc.; R5, R6 = H, F, Cl, etc.; X = O, S, NR9, etc.; R9 = H, CN, NO2, etc.; Y = O, S, SO, etc.] or their pharmaceutically acceptable salts were prepared. For example, EDCI mediated amidation of 7-methoxy-2-methylquinoline-3-carboxylic acid with N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]amine afforded compound II [Z = O] in 95% yield. In antibacterial activity tests, compound II [Z = SO2] exhibited the MIC values with 2.00, 2.00, 2.00, and 1.00 µg/mL against *S. aureus* ATCC 25923 MS 319, *S. aureus* ATCC 43300 MR 214, *S. epidermis* ATCC 12228 MR 11, and *S. pneumoniae* ATCC 49619 PR 215, resp. Compds. I are claimed useful for the treatment of bacterial infections.

IT 918301-62-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

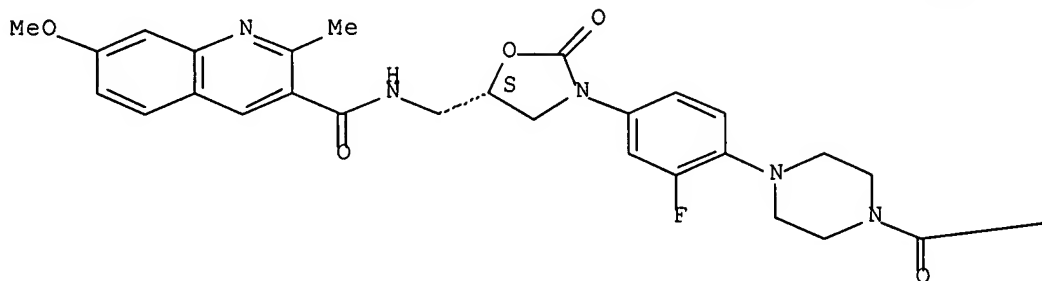
(preparation of oxazolidinone derivs. for treatment of bacterial infections)

RN 918301-62-9 ZCAPLUS

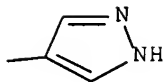
CN 3-Quinolinecarboxamide, N-[[[(5S)-3-[3-fluoro-4-[4-(1H-pyrazol-4-ylcarbonyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-7-methoxy-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

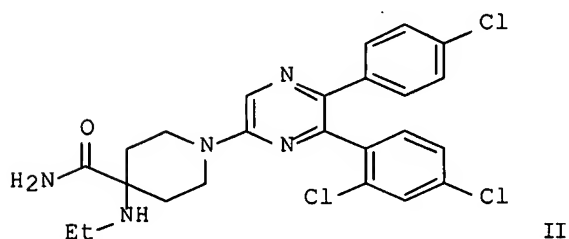
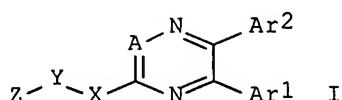
L63 ANSWER 8 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1124114 ZCAPLUS Full-text
DOCUMENT NUMBER: 145:455030
TITLE: Preparation of substituted heteroaryl CB1 antagonists
INVENTOR(S): Yuan, Jun; Guo, Qin; Zhao, He; Hu, Shaojing;
Whitehouse, Darren; Fringle, Wallace; Mao, Jianmin;
Maynard, George; Hammer, Jack; Wustrow, David; Li,
Hongbin
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 447pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006113704	A2	20061026	WO 2006-US14548	20060418
WO 2006113704	A3	20070208		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2007078135 A1 20070405 US 2006-406532 20060418
 PRIORITY APPLN. INFO.: US 2005-672452P P 20050418
 OTHER SOURCE(S): MARPAT 145:455030
 GI



AB The title compds. I [A = CR1 or N; Ar1, Ar2 = (un)substituted 5-10 membered carbocycle and heterocycle; X = (un)substituted CH2, O, NH or SOMNH; m = 0-2; Y = (un)substituted alkylene; Z = (un)substituted OH, NH2, SOMNH2, etc.; R1 = H, halo, CN, etc.] which may be used to modulate CB1 activity in vivo or in vitro, and are particularly useful in the treatment of conditions responsive to CB1 modulation in humans, domesticated companion animals and livestock animals, including appetite disorders, obesity and addictive disorders, were prepared E.g., a multi-step synthesis of II, starting from 2,6-dichloropyrazine and 4-(ethylamino)piperidine-4- carboxamide, was given. Exemplified compds. I were tested at CB1 receptor. Thus, II as many other representative compds. I showed IC50 of 2 μ M or less. Pharmaceutical compns. and methods for using compds. I to treat disorders responsive to CB1 modulation are provided, as are methods for using such ligands for receptor localization studies and various in vitro assays.

IT 913274-14-3P 913274-15-4P 913274-16-5P
 913275-56-6P 913275-71-5P 913276-71-8P
 913276-83-2P 913278-25-8P 913278-70-3P
 913278-71-4P 913279-10-4P 913279-89-7P
 913279-91-1P 913281-55-7P

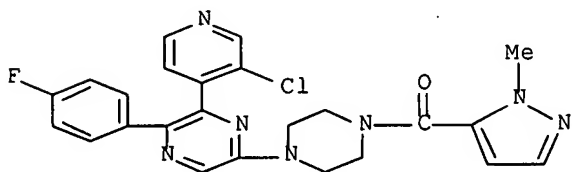
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of substituted heteroaryl compds. useful in treatment of diseases responsive to CB1 activation)

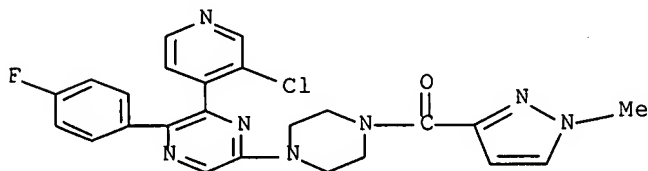
RN 913274-14-3 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-(4-fluorophenyl)pyrazinyl]-4-[(1-methyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



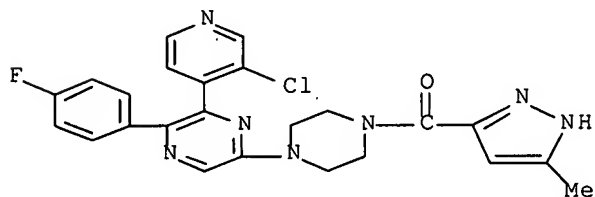
RN 913274-15-4 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-(4-fluorophenyl)pyrazinyl]-4-[(1-methyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



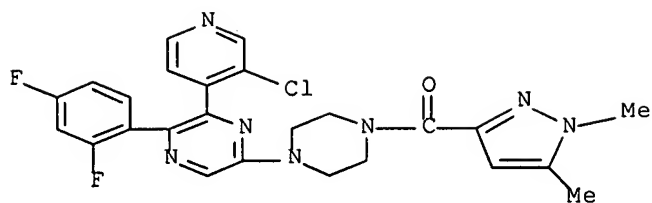
RN 913274-16-5 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-(4-fluorophenyl)pyrazinyl]-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



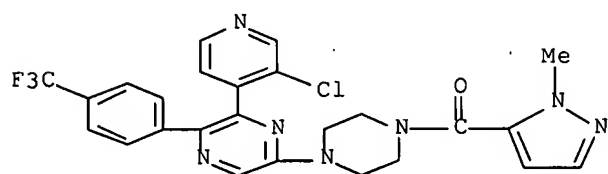
RN 913275-56-6 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-(2,4-difluorophenyl)pyrazinyl]-4-[(1,5-dimethyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



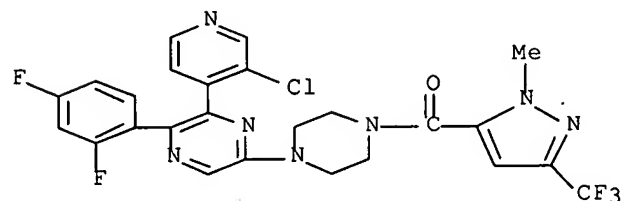
RN 913275-71-5 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-[4-(trifluoromethyl)phenyl]pyrazinyl]-4-[(1-methyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



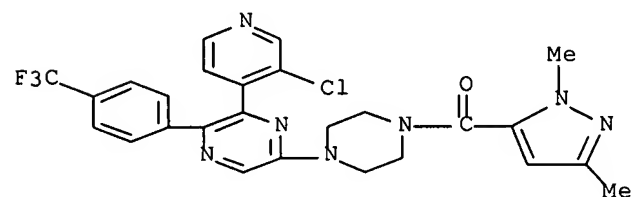
RN 913276-71-8 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-(2,4-difluorophenyl)pyrazinyl]-4-[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



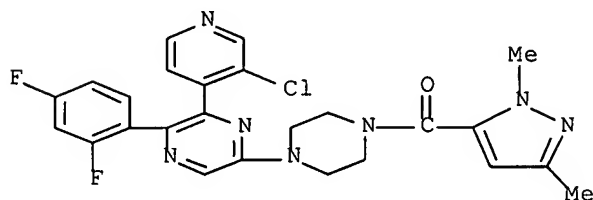
RN 913276-83-2 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-[4-(trifluoromethyl)phenyl]pyrazinyl]-4-[(1,3-dimethyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



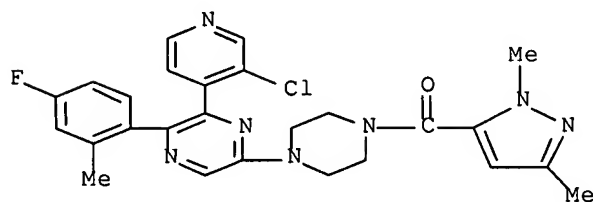
RN 913278-25-8 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-(2,4-difluorophenyl)pyrazinyl]-4-[(1,3-dimethyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



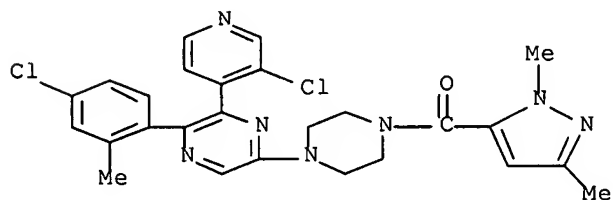
RN 913278-70-3 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-(4-fluoro-2-methylphenyl)pyrazinyl]-4-[(1,3-dimethyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



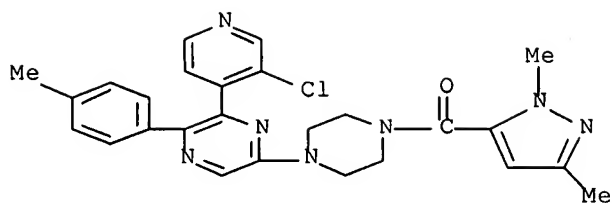
RN 913278-71-4 ZCAPLUS

CN Piperazine, 1-[5-(4-chloro-2-methylphenyl)-6-(3-chloro-4-pyridinyl)pyrazinyl]-4-[(1,3-dimethyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



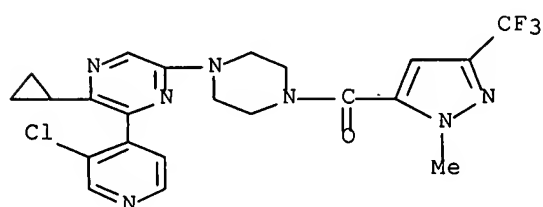
RN 913279-10-4 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-(4-methylphenyl)pyrazinyl]-4-[(1,3-dimethyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



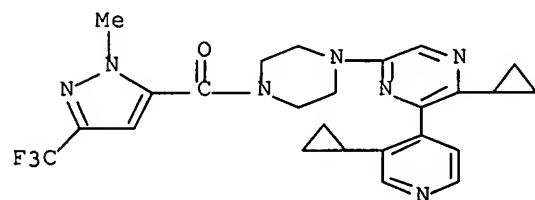
RN 913279-89-7 ZCAPLUS

CN Piperazine, 1-[6-(3-chloro-4-pyridinyl)-5-cyclopropylpyrazinyl]-4-[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



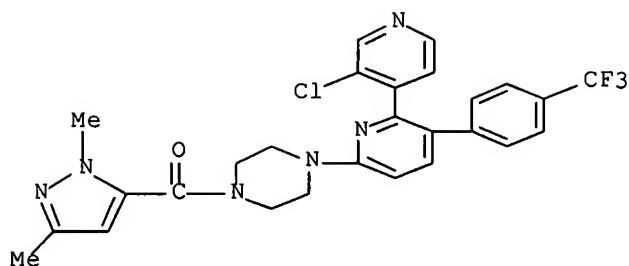
RN 913279-91-1 ZCAPLUS

CN Piperazine, 1-[5-cyclopropyl-6-(3-cyclopropyl-4-pyridinyl)pyrazinyl]-4-[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 913281-55-7 ZCAPLUS

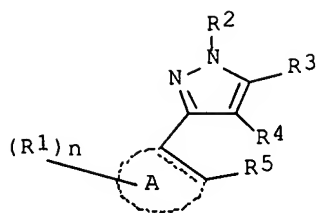
CN Piperazine, 1-[3'-chloro-3-[4-(trifluoromethyl)phenyl][2,4'-bipyridin]-6-yl]-4-[[1,3-dimethyl-1H-pyrazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



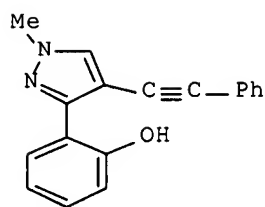
L63 ANSWER 9 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:823415 ZCAPLUS Full-text
 DOCUMENT NUMBER: 145:249201
 TITLE: Preparation of pyrazole derivatives for treatment of hepatitis C virus infection
 INVENTOR(S): Hu, Youhong; Xu, Bin; Liao, Yun; Nawoschik, Kenneth; Liu, Yixin; Sandrasagra, Anthony; Fathi, Reza; Yang, Zhen
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 126pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006183751	A1	20060817	US 2005-58852	20050215
WO 2006088903	A2	20060824	WO 2006-US5236	20060214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2005-58852 A 20050215
 OTHER SOURCE(S): MARPAT 145:249201
 GI



I



II

AB The title compds. I [ring A = 5- or 6-membered aromatic ring which may optionally contain 0 to 3 ring heteroatoms; R1 = alkyl, alkenyl, alkynyl, etc.; two R1 substituents on adjacent ring atoms may be combined to form a fused (un)substituted 5- or 6-membered ring which may contain 0 to 3 ring heteroatoms; n = 0 to 4; R2 = H, alkyl, cycloalkyl, alkenyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl, aryl, etc.; R5 = H, alkyl, cycloalkyl, etc.] are prepared. Thus, the title compound II was prepared according to a general procedure starting from an iodochromone and an acetylene derivative. The bioactivities of the title compds. were demonstrated.

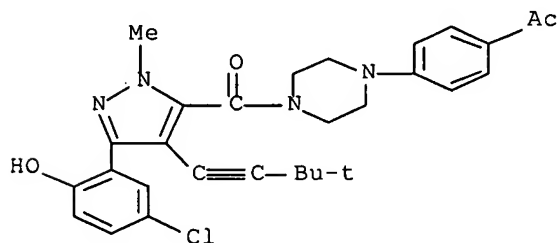
IT 906108-62-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. for treatment of hepatitis C virus infection)

RN 906108-62-1 ZCAPLUS

CN Piperazine, 1-(4-acetylphenyl)-4-[[3-(5-chloro-2-hydroxyphenyl)-4-(3,3-dimethyl-1-butynyl)-1-methyl-1H-pyrazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



L63 ANSWER 10 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:542524 ZCAPLUS Full-text

DOCUMENT NUMBER: 145:46088

TITLE: Substituted piperazines as CB1 antagonists and their preparation, pharmaceutical compositions, and their use for treatment of metabolic disorders

INVENTOR(S): Gilbert, Eric J.; Miller, Michael W.; Scott, Jack D.; Stamford, Andrew W.; Greenlee, William J.; Weinstein, Jay

PATENT ASSIGNEE(S): Schering Corp., USA

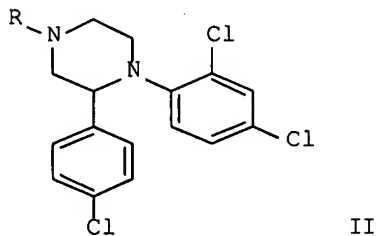
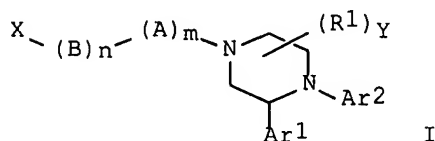
SOURCE: PCT Int. Appl., 383 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006060461	A1	20060608	WO 2005-US43281	20051201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006241121	A1	20061026	US 2005-292264	20051201
PRIORITY APPLN. INFO.:			US 2004-633106P	P 20041203
OTHER SOURCE(S):			MARPAT 145:46088	
GI				



AB Compds. of formula I or pharmaceutically acceptable salts, solvates, or esters thereof, are useful in treating diseases or conditions mediated by CB1 receptors, such as metabolic syndrome and obesity, neuroinflammatory disorders, cognitive disorders and psychosis, addiction (e.g., smoking cessation), gastrointestinal disorders, and cardiovascular conditions. Compds. of formula I wherein Ar1 and Ar2 are independently (un)substituted (hetero)aryl; n and m are independently 0 or 1; A is CO, SO2, C(=NOH) and derivs., or (un)substituted C1-3 alkyl; B is NH and derivs., CO or (un)substituted C1-2 alkyl; X is H, alkyl, S-alkyl, SO2-(cyclo)alkyl, SO2-(hetero)aryl, benzo(hetero)cycloalkyl, benzoheterocycloalkenyl, (un)substituted vinyl(hetero)aryl, etc.; R1 is alkyl, haloalkyl, alkenyl-NH2 and derivs., alkylene-OH and derivs., alkylene-N3, alkylene-CN, or alkylene-OSO2-alkyl; or two adjacent R1 on the same ring carbon atom for a carbonyl

group; y is 0, 1, 2, 3, or 4; and their pharmaceutically acceptable salts, solvates and esters thereof are claimed. Example compound II (R = Bn) was prepared by regioselective ring cleavage of 4-chlorostyrene oxide with N-methylaminoethanol; the resulting N-(2-hydroxyethyl)-N-methyl-1-(4-chlorophenyl)-2-amino-1-ethanol underwent chlorination to give N-(2-chloroethyl)-N-methyl-2-(4-chlorophenyl)-2-chloroethylamine which underwent cyclization with 2,4-dichloroaniline to give compound II (R = Me), which underwent demethylation to give II (R = H), which underwent reductive amination with benzaldehyde to give compound II (R = Bn). All the invention compds. were evaluated for their cannabinoid antagonistic activity.

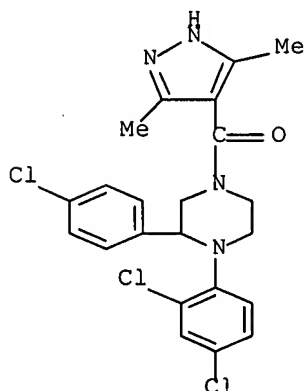
IT 890032-17-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate and intermediate; preparation of substituted piperazines as CB1 antagonists useful for treatment of metabolic disorders)

RN 890032-17-4 ZCAPLUS

CN Piperazine, 2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-[(3,5-dimethyl-1H-pyrazol-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



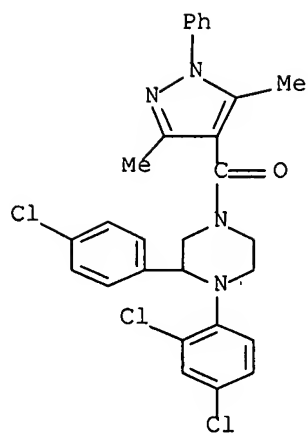
IT 890032-20-9P 890032-21-0P 890032-22-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted piperazines as CB1 antagonists useful for treatment of metabolic disorders)

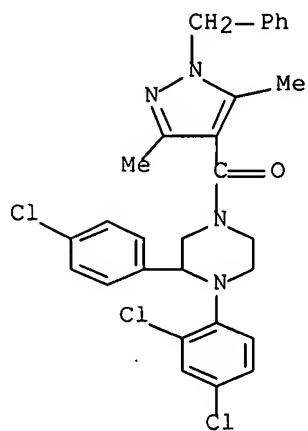
RN 890032-20-9 ZCAPLUS

CN Piperazine, 2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



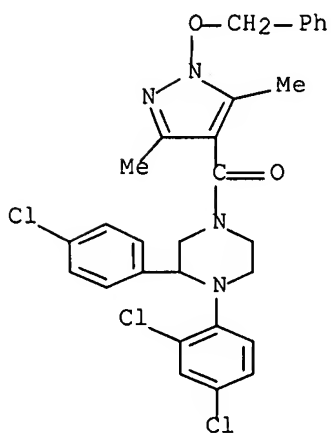
RN 890032-21-0 ZCAPLUS

CN Piperazine, 2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-[[3,5-dimethyl-1-(phenylmethyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 890032-22-1 ZCAPLUS

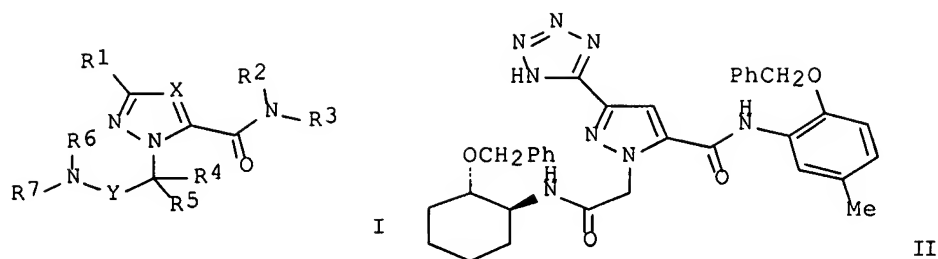
CN Piperazine, 2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-[[3,5-dimethyl-1-(phenylmethoxy)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 11 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:438082 ZCAPLUS Full-text
 DOCUMENT NUMBER: 144:468158
 TITLE: Preparation of pyrazoles and [1,2,4]triazoles as antiviral agents
 INVENTOR(S): Shipps, Gerald W., Jr.; Curran, Patrick J.; Annis, D. Allen; Nash, Huw M.; Cooper, Alan B.; Zhu, Hugh Y.; Wang, James J.-S.; Desai, Jagdish A.; Girijavallabhan, Viyyoor Moopil
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl., 104 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006050034	A1	20060511	WO 2005-US38796	20051026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006111411	A1	20060525	US 2005-259399	20051026
PRIORITY APPLN. INFO.:			US 2004-623173P	P 20041029
OTHER SOURCE(S):			MARPAT 144:468158	
GI				



AB The title compds. I [wherein X = N or (un)substituted CH; Y = CO or SO₂; R₁ = (un)substituted CO₂H, COH, CONH₂, etc.; R₂ = cycloalkyl, aryl, aralkyl, etc.; R₃ = H or alkyl; R₄ and R₅ = independently H, alkyl, or alkoxy; R₆ = cycloalkyl, aryl, aralkyl, etc.; R₇ = H or alkyl; or R₆ and R₇ together form a ring with the nitrogen atom attached] or pharmaceutically acceptable salts, solvates, or esters thereof are prepared as antiviral agents. For example, the compound II was prepared in a multi-step synthesis. II inhibited HCV RNA-dependent RNA polymerase with IC₅₀ of 0.02 μM.

IT **886354-57-0P**

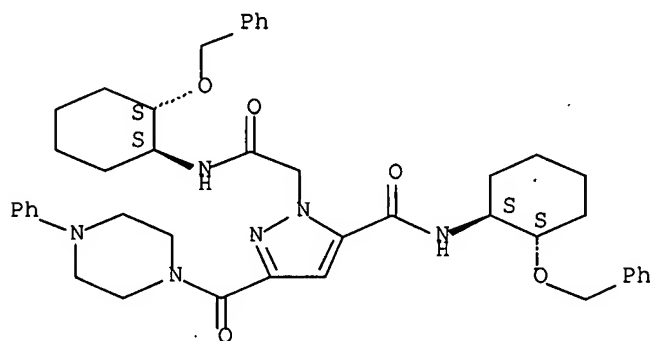
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazoles and [1,2,4]triazoles as antiviral agents)

RN 886354-57-0 ZCAPLUS

CN 1H-Pyrazole-1-acetamide, N-[(1S,2S)-2-(phenylmethoxy)cyclohexyl]-5-[[[(1S,2S)-2-(phenylmethoxy)cyclohexyl]amino]carbonyl]-3-[(4-phenyl-1-piperazinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 12 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:77202 ZCAPLUS Full-text

DOCUMENT NUMBER: 144:170990

TITLE: Preparation of benzimidazole derivatives as gonadotropin releasing hormone receptor antagonists

INVENTOR(S): Garrick, Lloyd M.; Hauze, Diane B.; Kees, Kenneth L.;

Lundquist Iv, Joseph, T.; Mann, Charles, W.; Mehlmann, John, F.; Pelletier, Jeffrey, C.; Rogers, John, F., Jr.; Wrobel, Jay, E.

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA; Green, Daniel M.
SOURCE: PCT Int. Appl., 149 pp.

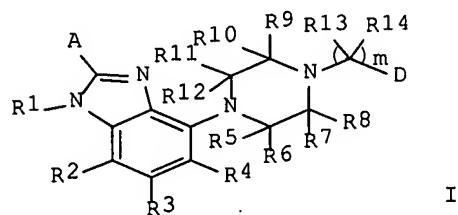
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006009734	A1	20060126	WO 2005-US21124	20050616
WO 2006009734	A8	20070111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005264996	A1	20060126	AU 2005-264996	20050616
CA 2570968	A1	20060126	CA 2005-2570968	20050616
US 2006019965	A1	20060126	US 2005-154795	20050616
EP 1758895	A1	20070307	EP 2005-762686	20050616
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV				
NO 2007000294	A	20070228	NO 2007-294	20070116
PRIORITY APPLN. INFO.:				
			US 2004-580640P	P 20040617
			WO 2005-US21124	W 20050616
OTHER SOURCE(S): MARPAT 144:170990				
GI				



AB The title benzimidazole derivs. I [wherein m = 0-3; A = (un)substituted (hetero)aryl; D = H, (un)substituted alkyl, (hetero)aryl, or (hetero)cycloalkyl; R1 = H or (un)substituted alkyl; R2-R4 = independently H, halo, (un)substituted OH, or alkyl; R5-R12 = independently H, (un)substituted alkyl, alkenyl, or alkynyl; R13 and R14 = independently H or (un)substituted alkyl], or pharmaceutically acceptable salts thereof were prepared as Gonadotropin Releasing Hormone (GnRH) receptor antagonists. For example, 6-

[[(2S)-4-[2-(4-tert-butylphenyl)-1H-benzimidazol-4-yl]-2-methylpiperazin-1-yl]methyl]quinoxaline was synthesized in a multi-step synthesis. I showed IC50 between 1 and 10,000 nM for hGnRH binding. I am useful for the treatment of a patient suffering from a condition associated with excessive GnRH receptor activity, such as cancer, primary hirsutism, or LH surge (no data).

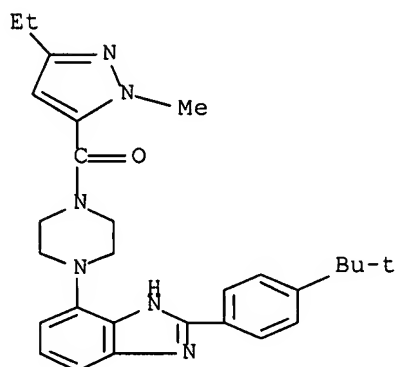
IT 874279-57-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzimidazole derivs. as gonadotropin releasing hormone receptor antagonists)

RN 874279-57-9 ZCAPLUS

CN Piperazine, 1-[2-[4-(1,1-dimethylethyl)phenyl]-1H-benzimidazol-4-yl]-4-[(3-ethyl-1-methyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 13 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:37128 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 144:128987

TITLE: Preparation of pyridazine derivatives as stearyl-CoA desaturase inhibitors for the treatment of diabetes and other diseases

INVENTOR(S): Chakka, Nagasree; Chafeev, Mikhail; Chowdhury, Sultan; Fu, Jian-Min; Hou, Duanjie; Kamboj, Rajender; Kodumuru, Vishnumurthy; Liu, Shifeng; Raina, Vandna; Sun, Shaoyi; Sviridov, Serguei; Winther, Michael D.; Zhang, Zaihui; Abreo, Melwyn; Holladay, Mark W.; Li, Wenbao; Sun, Sengen; Tu, Chi; Gschwend, Heinz W.

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 67 pp., Cont.-in-part of U.S. Ser. No. 901,563.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006009459	A1	20060112	US 2005-55034	20050209

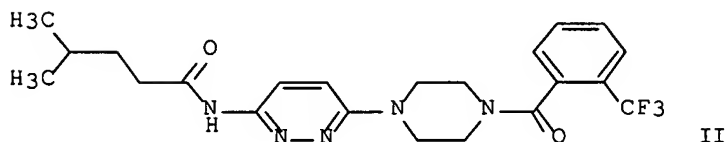
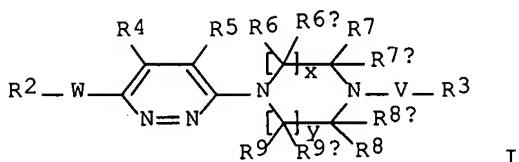
WO 2005011657 A2 20050210 WO 2004-US24658 20040729
 WO 2005011657 A3 20050324
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 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

US 2005065143 A1 20050324 US 2004-901563 20040729
 WO 2006086447 A2 20060817 WO 2006-US4389 20060208
 WO 2006086447 A3 20070405
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 VN, YU, ZA, ZM, ZW
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 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:

US 2003-491095P P 20030730
 US 2004-546786P P 20040223
 US 2004-546815P P 20040223
 US 2004-546820P P 20040223
 US 2004-546898P P 20040223
 US 2004-546934P P 20040223
 US 2004-553403P P 20040316
 US 2004-553404P P 20040316
 US 2004-553416P P 20040316
 US 2004-553446P P 20040316
 US 2004-553491P P 20040316
 US 2004-901563 A2 20040729
 WO 2004-US24658 A 20040729
 US 2005-55034 A 20050209

OTHER SOURCE(S): MARPAT 144:128987
 GI



AB Title compds. I [wherein x, y = 1-3; W = (un)substituted -CONH-, -NHCONH, etc.; V = -C(O)-, -C(O)O-, etc.; R2, R3 = alk(en)yl, heterocyclyl, etc.; R4, R5 = H, F, Me, etc.; R6 - R9a = H, alkyl, etc., and isomers, pharmaceutically acceptable salts, pharmaceutical compns. or prodrugs thereof], which are useful as stearyl-CoA desaturase (SCD) inhibitors and in the treatment of SCD-mediated diseases, such as diabetes, obesity and fatty liver (no data), were prepared For example, acylation of 1-Boc-piperazine with 2-trifluoromethylbenzoyl chloride followed by deprotection with TFA in dichloromethane gave the corresponding benzoylated piperazine. This compound underwent condensation with 3-amino-6-chloropyridazine, and the resultant 3-pyridazinamine was then coupled with 4-methylpentanoic acid to afford piperazinyipyridazine II.

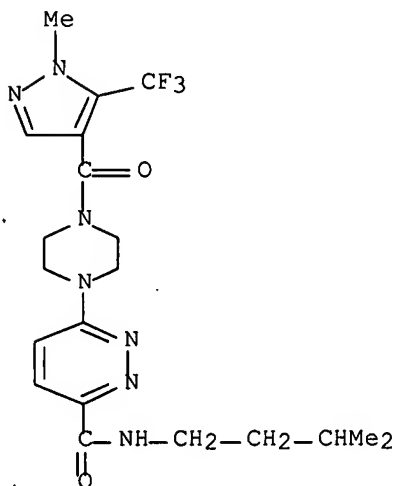
IT **840489-24-9P**, 6-[4-[(1-Methyl-5-trifluoromethyl-1H-pyrazol-4-yl)carbonyl]piperazin-1-yl]pyridazine-3-carboxylic acid (3-methylbutyl)amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor; preparation of piperazinyipyridazines as stearyl-CoA desaturase (SCD) inhibitors)

RN 840489-24-9 ZCAPLUS

CN 3-Pyridazinecarboxamide, N-(3-methylbutyl)-6-[4-[[1-methyl-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



L63 ANSWER 14 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1350335 ZCAPLUS Full-text
 DOCUMENT NUMBER: 144:88307

TITLE: Preparation of quinazoline derivatives as CCR4 function controllers

INVENTOR(S): Kawano, Noriyuki; Ishikawa, Noriko; Kaizawa, Hiroyuki; Masuda, Naoyuki; Hamaguchi, Wataru; Koganemaru, Yohei; Kato, Koji; Miyazaki, Takahiro

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123697	A1	20051229	WO 2005-JP11174	20050617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2004-183086 A 20040621

OTHER SOURCE(S): MARPAT 144:88307

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = alkyl, OH, halo, etc.; m = 0-2; A = (un)substituted phenyl; (un)substituted monocyclic cycloalkyl; R2, R3 = H, alkyl; n = 1, 2; X = bond, alkylene; B = optionally substituted mono or bicyclic nitrogenous heterocycle with alkyl, alkenyl, halo, etc., CR5R6NR7R8; R5, R6 = H, alkyl, cycloalkyl, etc.; R7, R8 = H, alkyl, monocyclic cycloalkyl, etc.] were prepared For example, WSC·HCl mediated acylation of N-(4-chloro-2-fluorophenyl)-2-(1,4-diazepan-1-yl)-6,7-dimethoxyquinazolin-4-amine dihydrochloride, e.g., prepared from 2,4-dichloro-6,7-dimethoxyquinazoline in 2 steps, with (S)-[1-(tert-butoxycarbonyl)pyrrolidin-2-yl]acetic acid followed by treatment with HCl afforded compound II·2HCl. In GTPyS binding assays, the IC₅₀ value of compound II·2HCl was 63 nM. Compds. I are claimed useful for the treatment of inflammation, autoimmune diseases, etc.

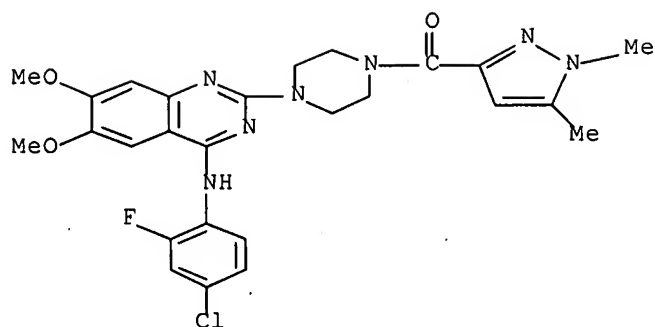
IT 872105-84-5P 872105-85-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

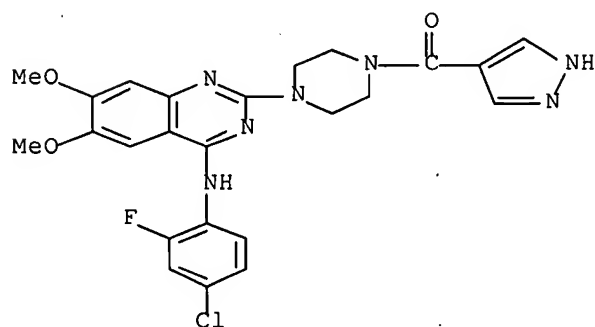
(preparation of quinazoline derivs. as CCR4 function controllers for treatment of inflammation, autoimmune diseases, etc.)

RN 872105-84-5 ZCAPLUS

CN Piperazine, 1-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]-4-[(1,5-dimethyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 872105-85-6 ZCAPLUS
 CN Piperazine, 1-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]-4-(1H-pyrazol-4-ylcarbonyl)- (9CI) (CA INDEX NAME)



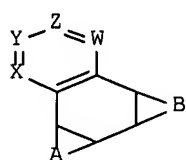
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 15 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1200349 ZCAPLUS Full-text
 DOCUMENT NUMBER: 143:460175
 TITLE: Preparation of tetracyclic inhibitors of Janus kinases for treating immune-related diseases and cancer
 INVENTOR(S): Rodgers, James D.; Robinson, Darius J.; Arvanitis, Argyrios G.; Maduskuie, Thomas P., Jr.; Shepard, Stacey; Storace, Louis; Wang, Heisheng; Rafalski, Maria; Jalluri, Ravi K.; Combs, Andrew P.; Crawley, Matthew L.
 PATENT ASSIGNEE(S): Incyte Corporation, USA
 SOURCE: PCT Int. Appl., 201 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

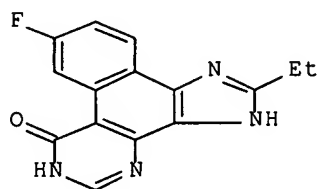
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005105814 A1 20051110 WO 2005-US14494 20050427
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

US 2006106020 A1 20060518 US 2005-115702 20050427
PRIORITY APPLN. INFO.: US 2004-566142P P 20040428
US 2004-626111P P 20041108
OTHER SOURCE(S): MARPAT 143:460175
GI



I



II

AB The invention is related to tetracyclic compds. of formula (I) [X, Y, Z, W = independently N, NO, CH and derivs.; ring A = N-substituted-2-pyridinone fused in 3 in 4 position, or 5 and 6 position, 3-substituted-4-pyrimidone fused in 5 and 6 position, etc.; B = (un)substituted imidazole fused in 4 and 5 position, thiazole fused in 4 and 5 position, etc.] and their pharmaceutically acceptable salts or prodrugs, that modulate, especially inhibit, the activity of Janus kinases. For example, II•TFA was prepared in 4 steps from 9-fluoro-1-methoxybenzo[f]quinazolin-6-ol. Selected I showed an IC₅₀ of 10μM or less for the inhibition of JAK1 and/or JAK2, and/or JAK3 in an in vitro assay. Thus, I are useful in the treatment of diseases related to activity of Janus kinases including, for example, immune-related diseases and cancer.

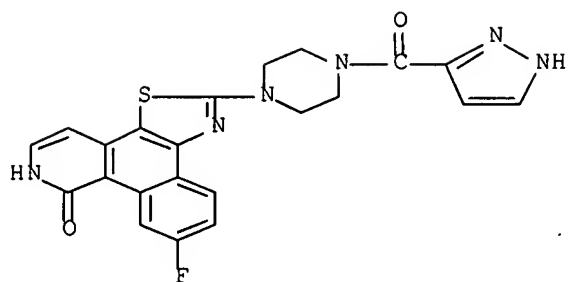
IT **868997-40-4P**, 9-Fluoro-2-[4-(1H-pyrazol-3-ylcarbonyl)piperazin-1-yl]benzo[h][1,3]thiazolo[5,4-f]isoquinolin-7(6H)-one **868997-41-5P**, 9-Fluoro-2-[4-(1H-pyrazol-4-ylcarbonyl)piperazin-1-yl]benzo[h][1,3]thiazolo[5,4-f]isoquinolin-7(6H)-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

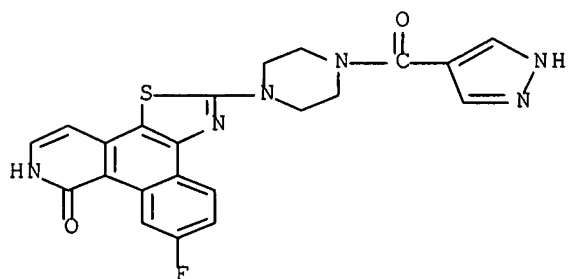
(drug candidate; preparation of tetracyclic inhibitors of Janus kinases for treating immune-related diseases and cancer)

RN 868997-40-4 ZCAPLUS

CN Piperazine, 1-(9-fluoro-6,7-dihydro-7-oxobenzo[h]thiazolo[5,4-f]isoquinolin-2-yl)-4-(1H-pyrazol-3-ylcarbonyl)- (9CI) (CA INDEX NAME)

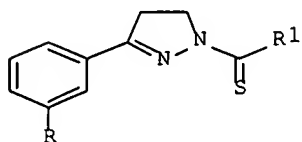


RN 868997-41-5 ZCAPLUS
 CN Piperazine, 1-(9-fluoro-6,7-dihydro-7-oxobenzo[h]thiazolo[5,4-f]isoquinolin-2-yl)-4-(1H-pyrazol-4-ylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 16 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1046630 ZCAPLUS Full-text
 DOCUMENT NUMBER: 144:6717
 TITLE: 1-N-Substituted thiocarbamoyl-3-phenyl-2-pyrazolines: synthesis and in vitro antiamebic activities
 AUTHOR(S): Abid, Mohammad; Azam, Amir
 CORPORATE SOURCE: Department of Chemistry, Jamia Millia Islamia, New Delhi, Jamia Nagar, 110025, India
 SOURCE: European Journal of Medicinal Chemistry (2005), 40(9), 935-942
 CODEN: EJMCA5; ISSN: 0223-5234
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:6717
 GI



I

AB The title compds. were prepared by reaction of Mannich bases with various N-4 substituted thiosemicarbazides. The chemical structures of the compds. were proved by means of their UV, IR, ¹H NMR, ¹³C NMR spectroscopic data and elemental analyses. The in vitro antiamebic activities of these compds. were evaluated by microdilution method against HM1:IMSS strain of Entamoeba histolytica and compared with the standard drug, metronidazole. It was concluded that 3-chloro and 3-bromo substituents on the Ph ring at position 3 of the pyrazoline ring enhanced the antiamebic activity. Title compds. I [R = Cl, R1 = cyclooctylamino; R = Br, Cl, R1 = 4-phenylpiperazino, 4-benzylpiperazino] showed lower IC50 value than metronidazole.

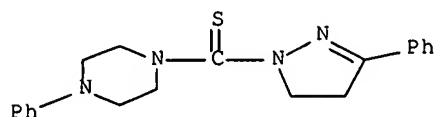
IT 869740-34-1P 869740-35-2P 869740-36-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and in vitro antiamebic activities of 1-thiocarbamoyl-3-phenyl-2-pyrazolines)

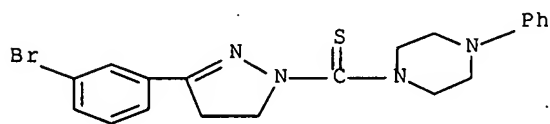
RN 869740-34-1 ZCAPLUS

CN Piperazine, 1-[(4,5-dihydro-3-phenyl-1H-pyrazol-1-yl)thioxomethyl]-4-phenyl- (9CI) (CA INDEX NAME)



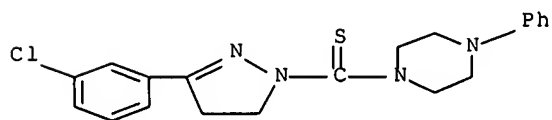
RN 869740-35-2 ZCAPLUS

CN Piperazine, 1-[[3-(3-bromophenyl)-4,5-dihydro-1H-pyrazol-1-yl]thioxomethyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 869740-36-3 ZCAPLUS

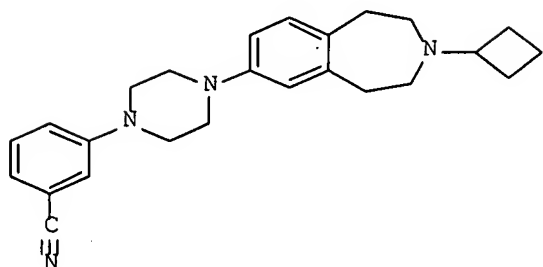
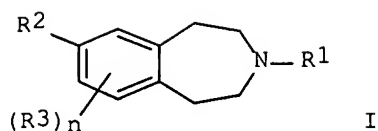
CN Piperazine, 1-[[3-(3-chlorophenyl)-4,5-dihydro-1H-pyrazol-1-yl]thioxomethyl]-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 17 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1021741 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:326234
TITLE: Preparation of benzazepine derivatives as antagonists
of histamine H1 and H3
INVENTOR(S): Bamford, Mark James; Heightman, Thomas Daniel; Wilson,
David Matthew; Witherington, Jason
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005087746	A1	20050922	WO 2005-GB939	20050310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1730114	A1	20061213	EP 2005-718000	20050310
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
PRIORITY APPLN. INFO.:			GB 2004-5628	A 20040312
			WO 2005-GB939	W 20050310
OTHER SOURCE(S):		MARPAT 143:326234		
GI				



AB Title compds. I [R1 = (un)substituted cycloalkyl; R2 = aryl, heteroaryl, heterocycle, etc.; R3 = H, alkoxy, CN, etc.; n = 0-2] and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of histamine H1 and H3. Thus, e.g., II was prepared by coupling of 3-cyclobutyl-7-(1-piperazinyl)-2,3,4,5-tetrahydro-1H-3-benzazepine (preparation given) with 3-bromobenzonitrile. The activity of I was evaluated in the histamine H3 functional antagonist assay and selected compds. of the invention displayed a pKb in the range of >6.5 and >9.0. I as antagonists of histamine H1 and H3 should prove useful in the treatment of neurol. diseases. Pharmaceutical compns. comprising I are disclosed.

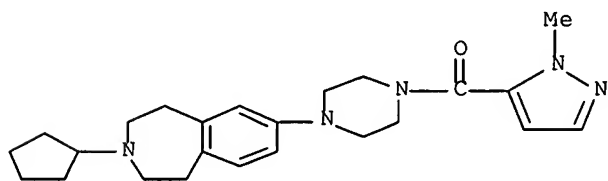
IT **865110-71-0P 865110-72-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzazepine derivs. as antagonists of histamine H1 and H3)

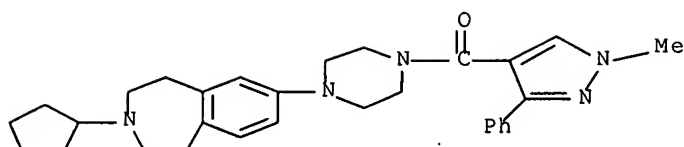
RN 865110-71-0 ZCAPLUS

CN Piperazine, 1-(3-cyclopentyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-4-[(1-methyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 865110-72-1 ZCAPLUS

CN Piperazine, 1-(3-cyclopentyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-4-[(1-methyl-3-phenyl-1H-pyrazol-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 18 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:800492 ZCAPLUS Full-text

DOCUMENT NUMBER: 143:386895

TITLE: A phase-switch purification approach for the expedient removal of tagged reagents and scavengers following their application in organic synthesis

AUTHOR(S): Siu, Jason; Baxendale, Ian R.; Lewthwaite, Russell A.; Ley, Steven V.

CORPORATE SOURCE: Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK

SOURCE: Organic & Biomolecular Chemistry (2005), 3(17), 3140-3160

CODEN: OBCRAK; ISSN: 1477-0520

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:386895

AB In this paper a variety of expedient chemical transformations and purifications achieved via a generic catch and release methodol., based on a synthetically inert bipyridyl chelating tag that can be selectively captured with a resin-bound copper(II) species, were reported. Utilizing this approach it was possible to derive many of the same benefits associated with both solid phase synthesis and supported reagent methods.

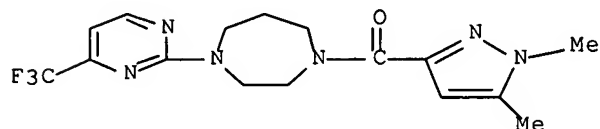
IT 866789-70-0P

RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(preparation of amides using amines and carboxylic acid as reactants and N-(cyclohexylcarbonimidoyl)bipyridine amine as coupling agent and study of phase-switch purification approach for expedient removal of tagged reagents and scavengers)

RN 866789-70-0 ZCAPLUS

CN 1H-1,4-Diazepine, 1-[(1,5-dimethyl-1H-pyrazol-3-yl)carbonyl]hexahydro-4-[4-(trifluoromethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



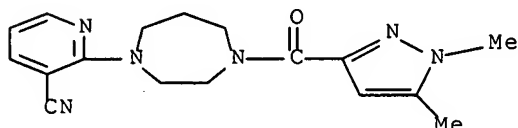
IT 866789-33-5P 866789-40-4P 866789-53-9P

RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(preparation of heterocyclic sulfonamides and amides using amines and carbonyl chlorides as reactants and [bipyridine]dimethanol as scavenger and study of phase-switch purification approach for expedient removal of tagged reagents and scavengers)

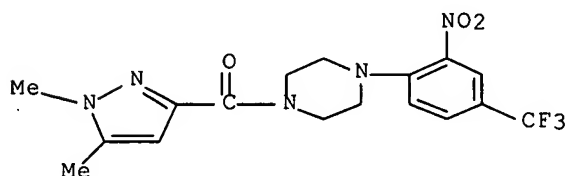
RN 866789-33-5 ZCAPLUS

CN 1H-1,4-Diazepine, 1-(3-cyano-2-pyridinyl)-4-[(1,5-dimethyl-1H-pyrazol-3-yl)carbonyl]hexahydro- (9CI) (CA INDEX NAME)



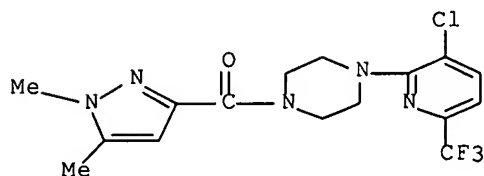
RN 866789-40-4 ZCAPLUS

CN Piperazine, 1-[(1,5-dimethyl-1H-pyrazol-3-yl)carbonyl]-4-[2-nitro-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 866789-53-9 ZCAPLUS

CN Piperazine, 1-[3-chloro-6-(trifluoromethyl)-2-pyridinyl]-4-[(1,5-dimethyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 19 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:497487 ZCAPLUS Full-text

DOCUMENT NUMBER: 143:26643

TITLE: A preparation of diazaindole derivatives, useful as antiviral agents

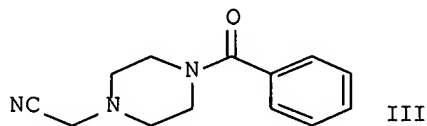
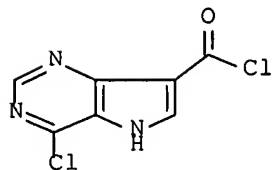
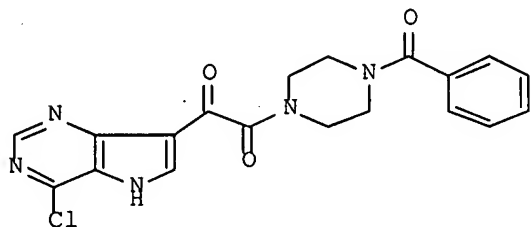
INVENTOR(S): Bender, John A.; Yang, Zhong; Kadow, John F.; Meanwell, Nicholas A.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co, USA

SOURCE: U.S. Pat. Appl. Publ., 87 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005124623	A1	20050609	US 2004-979558	20041102
AU 2004295309	A1	20050616	AU 2004-295309	20041104
CA 2547347	A1	20050616	CA 2004-2547347	20041104
WO 2005054247	A1	20050616	WO 2004-US37213	20041104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2004017007	A	20070116	BR 2004-17007	20041104
CN 1906199	A	20070131	CN 2004-80041015	20041104
EP 1751161	A1	20070214	EP 2004-810538	20041104
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK, YU				
JP 2007512332	T	20070517	JP 2006-541240	20041104
NO 2006002474	A	20060821	NO 2006-2474	20060530
PRIORITY APPLN. INFO.:			US 2003-525624P	P 20031126
			WO 2004-US37213	W 20041104
OTHER SOURCE(S):			CASREACT 143:26643; MARPAT 143:26643	

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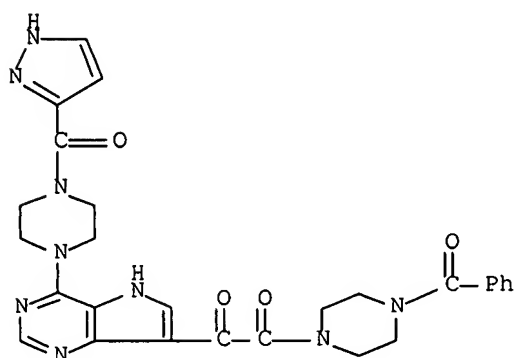


AB The invention relates to a preparation of diazaindole derivs. of formula Q-C(O)-T-Y [wherein: Q is diazaindole derivative; T is C(O) or CH(CN); Y is piperidine or pyrazine derivative], useful for the treatment of HIV infection. For instance, diazaindole derivative I (EC₅₀ < 1 μM) was prepared from pyrrolopyrimidine derivative II and piperazine derivative III with a yield of 24%.

IT 853058-95-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of diazaindole derivs. useful as antiviral agents)

RN 853058-95-4 ZCAPLUS

CN Piperazine, 1-benzoyl-4-[oxo[4-[4-(1H-pyrazol-3-ylcarbonyl)-1-piperazinyl]-5H-pyrrolo[3,2-d]pyrimidin-7-yl]acetyl]- (9CI) (CA INDEX NAME)



L63 ANSWER 20 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:141054 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:240431

TITLE: Preparation of isoquinoline derivatives as c-Jun N-terminal kinase (JNK) inhibitors

INVENTOR(S): Kitamura, Shuji; Kajino, Masahiro; Asano, Yasutomi; Fukumoto, Shoji; Igata, Hideki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 223 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

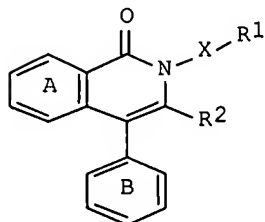
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014576	A1	20050217	WO 2004-JP11738	20040810
WO 2005014576	A8	20050519		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

JP 2006016375	A	20060119	JP 2004-233910		20040810
PRIORITY APPLN. INFO.:			JP 2003-207417	A	20030812
			JP 2004-167856	A	20040604
OTHER SOURCE(S):	MARPAT	142:240431			
GI					



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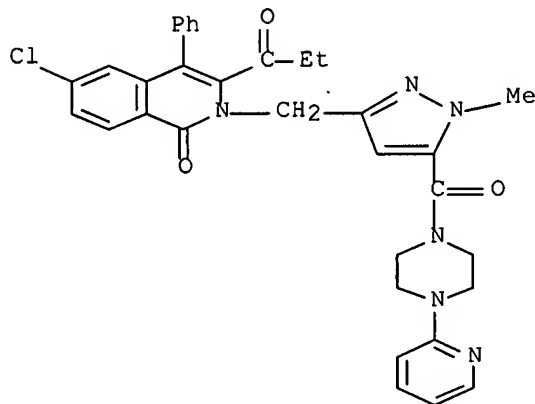
IT 844871-06-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoquinoline derivs. as c-Jun N-terminal kinase (JNK) inhibitors and preventives and/or therapeutic agents for JNK-associated pathol. conditions or disorders)

RN 844871-06-3 ZCAPLUS

CN Piperazine, 1-[[3-[[6-chloro-1-oxo-3-(1-oxopropyl)-4-phenyl-2(1H)-isoquinolinyl]methyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]-4-(2-pyridinyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 21 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:120714 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:219310

TITLE: Preparation of pyridazine derivatives as stearyl-CoA desaturase inhibitors for the treatment of diabetes and other diseases

INVENTOR(S): Abreo, Melwyn; Chafeev, Mikhail; Chakka, Nagasree; Chowdhury, Sultan; Fu, Jian-Min; Gschwend, Heinz W.; Holladay, Mark W.; Hou, Duanjie; Kamboj, Rajender; Kodumuru, Vishnumurthy; Li, Wenbao; Liu, Shifeng; Raina, Vandna; Sun, Sengen; Sun, Shaoyi; Sviridov, Serguei; Tu, Chi; Winther, Michael D.; Zhang, Zaihui

PATENT ASSIGNEE(S): Xenon Pharmaceuticals Inc., Can.

SOURCE: PCT Int. Appl., 194 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005011655	A2	20050210	WO 2004-US24548	20040729
WO 2005011655	A3	20050324		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

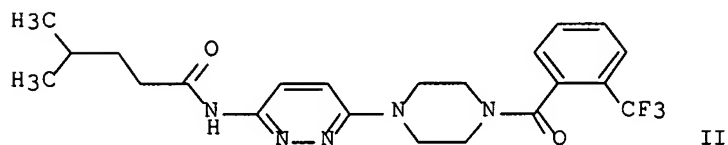
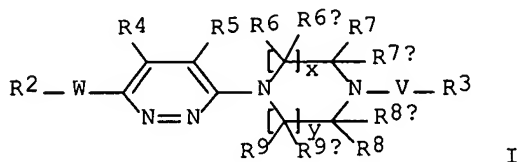
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004261252	A1	20050210	AU 2004-261252	20040729
CA 2533899	A1	20050210	CA 2004-2533899	20040729
EP 1648874	A2	20060426	EP 2004-779562	20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1829698	A	20060906	CN 2004-80021867	20040729
BR 2004013071	A	20061017	BR 2004-13071	20040729
JP 2007500717	T	20070118	JP 2006-522075	20040729
NO 2006000981	A	20060502	NO 2006-981	20060228

PRIORITY APPLN. INFO.:

US 2003-491095P	P	20030730
US 2004-546786P	P	20040223
US 2004-546815P	P	20040223
US 2004-546820P	P	20040223
US 2004-546898P	P	20040223
US 2004-546934P	P	20040223
US 2004-553403P	P	20040316
US 2004-553404P	P	20040316
US 2004-553416P	P	20040316
US 2004-553446P	P	20040316
US 2004-553491P	P	20040316
WO 2004-US24548	W	20040729

OTHER SOURCE(S): MARPAT 142:219310
 GI



AB Title compds. I [wherein x, y = 1-3; W = C(O)N(R1), C(O)N[C(O)R1a], N(R1)C(O)N(R1) or N(R1)C(O); V = C(O/S) or C(R10)H; R1 = H or (un)substituted alkyl; R1a = H or (cyclo)alkyl; R2, R3 = alk(en)yl, (hetero)aryl or heterocyclyl; R4, R5 = H, F, Me, MeO or amine; R6, R6a, R7, R7a, R8, R8a, R9, R9a, R10 = H or alkyl; etc., and stereoisomers, enantiomers or tautomers, pharmaceutically acceptable salts, pharmaceutical compns. or prodrugs thereof] were prepared as stearyl-CoA desaturase (SCD) inhibitors. For example,

acylation of 1-Boc-piperazine with 2-trifluoromethylbenzoyl chloride followed by deprotection with TFA in dichloromethane gave the corresponding benzoylated piperazine. This compound underwent condensation with 3-amino-6-chloropyridazine, and the resultant 3-pyridazinamine was then coupled with 4-methylpentanoic acid to afford piperazinyipyridazine II. I and their pharmaceutical compns. are useful in the treatment of SCD-mediated diseases, such as diabetes, obesity and fatty liver.

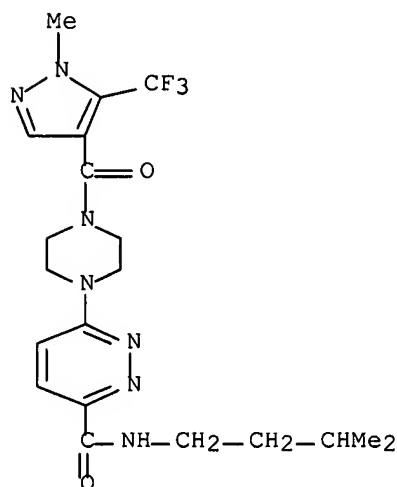
IT 840489-24-9P, 6-[4-[(1-Methyl-5-trifluoromethyl-1H-pyrazol-4-yl)carbonyl]piperazin-1-yl]pyridazine-3-carboxylic acid (3-methylbutyl)amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor; preparation of piperazinyipyridazines as stearyl-CoA desaturase inhibitors)

RN 840489-24-9 ZCAPLUS

CN 3-Pyridazinecarboxamide, N-(3-methylbutyl)-6-[4-[[1-methyl-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



L63 ANSWER 22 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:78223 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:155945

TITLE: Preparation of pyrazole-carboxamides and -sulfonamides as sodium channel modulators

INVENTOR(S): Atkinson, Robert N.; Drizin, Irene; Gregg, Robert J.; Gross, Michael F.; Kort, Michael E.; Shi, Lei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

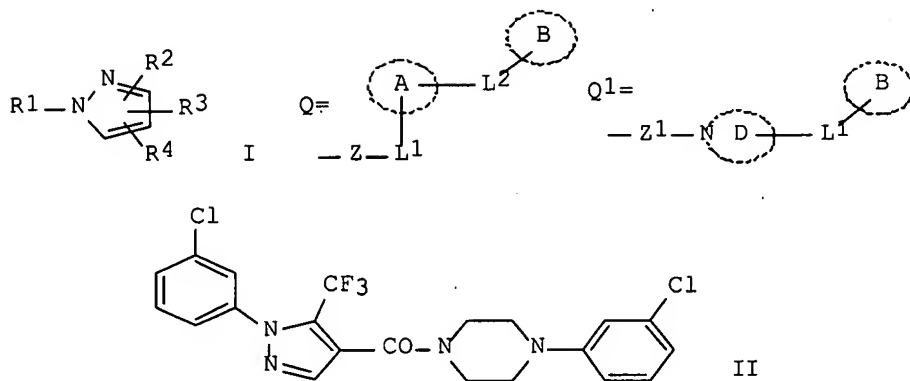
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

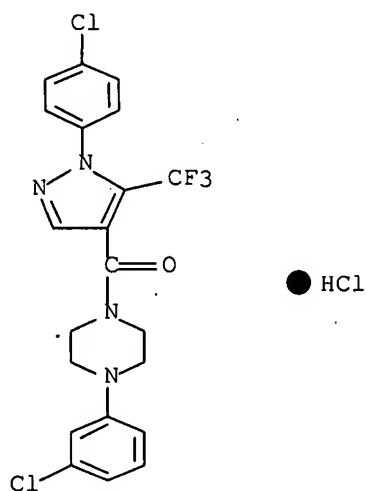
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2005020564	A1	20050127	US 2004-838087	20040503
PRIORITY APPLN. INFO.:			US 2003-466980P	P 20030501
OTHER SOURCE(S):	CASREACT 142:155945; MARPAT 142:155945			
GI				

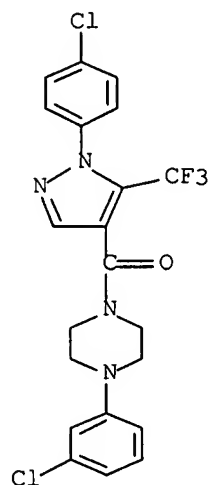


AB The title compds. (I), pharmaceutically acceptable salts, amides, esters, or prodrugs thereof [wherein R1 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, haloalkyl, heterocyclyl, heterocyclealkyl, heteroaryl, heteroarylalkyl; R2, R3 = H, alkenyl, alkoxy, alkoxyalkyl, alkoxyalkyl, alkyl, alkylcarbonyl, alkylcarbonyloxy, alkylthio, alkynyl, aryl, arylalkyl, carboxy, cycloalkyl, cycloalkylalkyl, cyano, formyl, haloalkoxy, haloalkyl, halogen, hydroxy, hydroxyalkyl, mercapto, nitro, -NRARB, or CONRARB; RA, RB = H, alkyl, or alkylcarbonyl; R4 = Q, Q1; Z = C(:X)NR5, SO2NR5, NR5C(:X), NR5SO2; Z1 = C(:X), SO2; X = O, S; R5 = H, alkyl, alkylcarbonyl, alkylcarbonyloxy, heterocyclealkyl; L1, L2 = a bond or alkylene; A = aryl, cycloalkyl, heteroaryl, or heterocyclyl; B = aryl, cycloalkyl, heteroaryl, heterocyclyl; D = (un)substituted heterocycle wherein the heterocycle is azetidiny, azepany, aziridiny, azocany, 1,1-dioxidothiomorpholinyl, morpholinyl, piperazinyl, piperidinyl, pyrrolidinyl, or thiomorpholinyl] are prepared These compds., e.g. (II), modulate Nav1.8 (SNS/PN3) sodium channel in mammals and are useful in treating neuropathic pain in mammals. Clin. manifestations of neuropathic pain include a sensation of burning or elec. shock, feelings of bodily distortion, allodynia, and hyperalgesia. Spontaneously ectopic action potential firing in dorsal root ganglion (DRG) neurons is believed to be the underlying mechanism that evokes neuropathic pain following nerve injury. Tetrodotoxin-resistant (TTX-R) current increases in chronic pain, and several studies have implicated Nav1.8 as the primary channel responsible for this increased current. A Nav1.8 channel inhibitor may attenuate neuropathic pain by blocking currents in L4 dorsal root ganglion DRG neurons, as well as by blocking currents generated at the nociceptive peripheral terminals. To examine functional effects, TTX-R sodium currents were studied in dorsal root ganglion (DRG) neurons from rats 14 days following spinal nerve ligation (SNL). Representative compds. I demonstrated IC50s from .apprx.500 nM to .apprx.3 μ M for blocking tetrodotoxin-resistant (TTX-R) currents in rat L4 DRG neurons.

IT 786726-95-2P, 1-(3-Chlorophenyl)-4-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]piperazine hydrochloride
 786727-45-5P, 1-(3-Chlorophenyl)-4-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]piperazine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazole-carboxamides and -sulfonamides as PN3 sodium channel modulators for treating neuropathic pains)
 RN 786726-95-2 ZCAPLUS
 CN Piperazine, 1-(3-chlorophenyl)-4-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



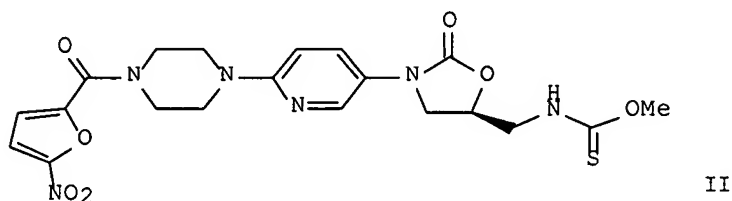
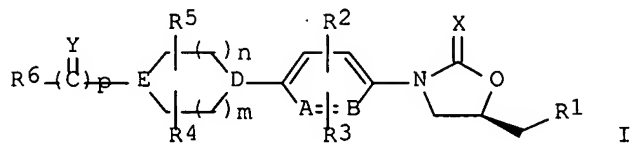
RN 786727-45-5 ZCAPLUS
 CN Piperazine, 1-(3-chlorophenyl)-4-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



L63 ANSWER 23 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:29307 ZCAPLUS Full-text
 DOCUMENT NUMBER: 142:134580
 TITLE: Preparation of oxazolidinone derivatives as
 antibacterial agents
 INVENTOR(S): Akella, Satya Surya Visweswara Srinivas;
 Mathiyazhagan, Kasinathanan; Samuel, Matte Mariana;
 Solanki, Shakti Singh; Magesh, Vijayan; Agarwal, Shiv
 Kumar
 PATENT ASSIGNEE(S): Orchid Chemicals and Pharmaceuticals Ltd., India
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005003087	A2	20050113	WO 2004-IB2131	20040628
WO 2005003087	A3	20050317		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

IN 2003CH00539 A 20050304 IN 2003-CH539 20030701
 PRIORITY APPLN. INFO.: IN 2003-CH539 A 20030701
 OTHER SOURCE(S): MARPAT 142:134580
 GI



AB Title compds. represented by the formula I [wherein X, Y = O or S; R1 = halo, azido, nitro, cyano, (un)substituted amino, etc.; R2, R3 = independently H,

halo, OH, alkyl, alkoxy; n = 0 or 1; m = 1-4; D = CH or N; E = CH or N; R4, R5 = independently H, cyano, halo, (un)substituted alkyl, etc.; p = 1; R6 = (un)substituted (hetero)aryl, (hetero)cycloalkyl, (hetero)aralkyl, etc.; their derivs., analogs, tautomers, stereoisomers, polymorphs, hydrates, solvates, and pharmaceutically acceptable salts thereof] were prepared as antibacterial agents. For example, II was given in a multi-step synthesis starting from the reaction of 2-bromo-5-nitropyridine with N-tert-butoxycarbonylpiperazine. I showed in vitro antibacterial activity against 10 ATCC standard strains and 20 MRO standard strains with MIC values ranging from 0.25 mg/mL to 32 mg/mL. Thus, I and their pharmaceutical compns. are useful as antibacterial agents for the treatment of the infectious disorders caused by bacteria.

IT 824415-35-2P 824415-75-0P 824415-76-1P

824415-78-3P

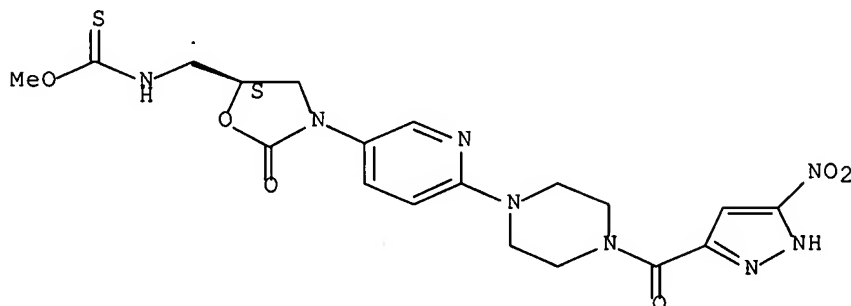
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolidinone derivs. as antibacterial agents)

RN 824415-35-2 ZCAPLUS

CN Carbamothioic acid, [[[5S)-3-[6-[4-[(5-nitro-1H-pyrazol-3-yl)carbonyl]-1-piperazinyl]-3-pyridinyl]-2-oxo-5-oxazolidinyl]methyl]-, O-methyl ester (9CI) (CA INDEX NAME)

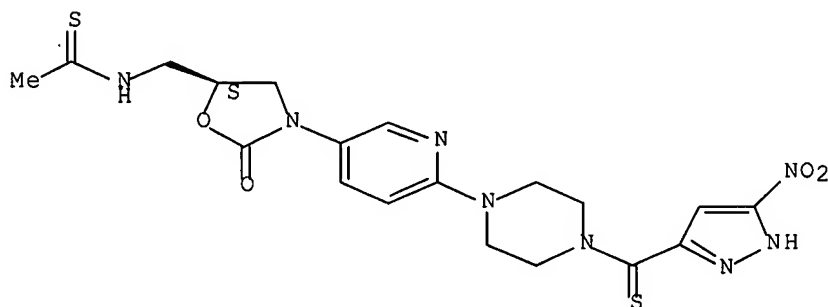
Absolute stereochemistry.



RN 824415-75-0 ZCAPLUS

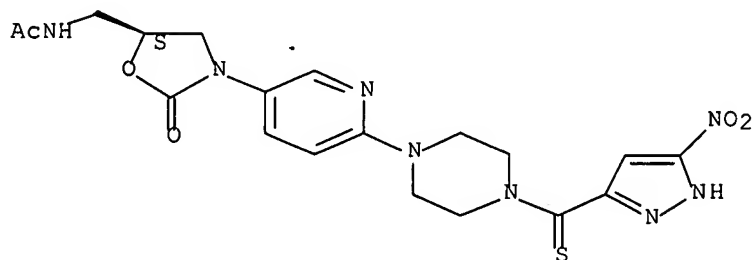
CN Ethanethioamide, N-[[[(5S)-3-[6-[4-[(5-nitro-1H-pyrazol-3-yl)thioxomethyl]-1-piperazinyl]-3-pyridinyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



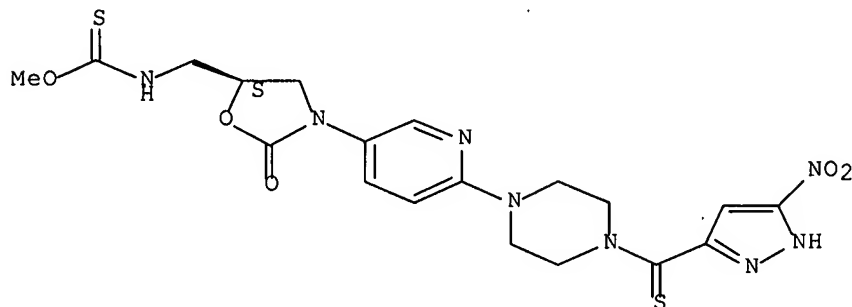
RN 824415-76-1 ZCAPLUS
CN Acetamide, N-[[[(5S)-3-[6-[4-[(5-nitro-1H-pyrazol-3-yl)thioxomethyl]-1-piperazinyl]-3-pyridinyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

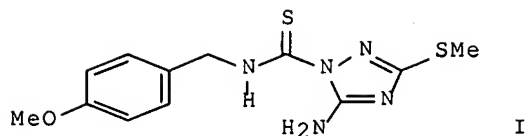


RN 824415-78-3 ZCAPLUS
CN Carbamothioic acid, [[[(5S)-3-[6-[4-[(5-nitro-1H-pyrazol-3-yl)thioxomethyl]-1-piperazinyl]-3-pyridinyl]-2-oxo-5-oxazolidinyl)methyl]-, O-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L63 ANSWER 24 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1048970 ZCAPLUS [Full-text](#)
DOCUMENT NUMBER: 142:155893
TITLE: Solid-phase synthesis of 5-amino-1-(substituted thiocarbamoyl)pyrazole and 1,2,4-triazole derivatives via dithiocarbazate linker
AUTHOR(S): Hwang, Jong Yeon; Choi, Hyung-Sub; Lee, Duck-Hyung; Yoo, Sung-eun; Gong, Young-Dae
CORPORATE SOURCE: Medicinal Science Division, Korea Research Institute of Chemical Technology, Daejeon, 305-600, S. Korea
SOURCE: Journal of Combinatorial Chemistry (2005), 7(1), 136-141
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:155893



AB The parallel solid-phase synthesis of 5-amino-1-(thiocarbamoyl)pyrazoles and 1,2,4-triazoles, e.g., I, based on the cyclization of polymer-bound dithiocarbazate with various electrophiles, such as 3-ethoxyacrylonitriles and cyanocarboimides, is reported. The polymer-bound dithiocarbazate, produced by nucleophilic reaction with carbon disulfide and Fmoc-hydrazine on the Merrifield resin, served as the key intermediate for subsequent heterocycle diversification. Further nucleophilic substitution on these polymer-bound 5-amino-1-dithiocarboxypyrazoles and 1,2,4-triazoles with various amines under thermal cleavage condition produced the desired 5-amino-1-(thiocarbamoyl)pyrazoles and 1,2,4-triazoles. The progress of reactions could be monitored as polymer-bound intermediates by ATR-FTIR spectroscopy on single bead. The final compds., obtained in good four-step overall yields and high purities upon cleavage from the resins, were characterized by LC/MS, ¹H NMR, and ¹³C NMR spectroscopy.

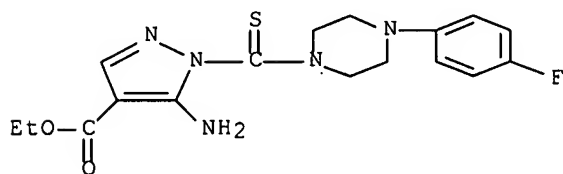
IT 828245-53-0P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(combinatorial solid-phase preparation of amino(thiocarbamoyl)pyrazoles via thiocarbamoylation of Merrifield resin with Fmoc-hydrazine followed by deprotection, heterocyclization with ethoxyalkenenitriles, and resin cleavage with amines)

RN 828245-53-0 ZCAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 5-amino-1-[[4-(4-fluorophenyl)-1-piperazinyl]thioxomethyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 25 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:996138 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 141:424187

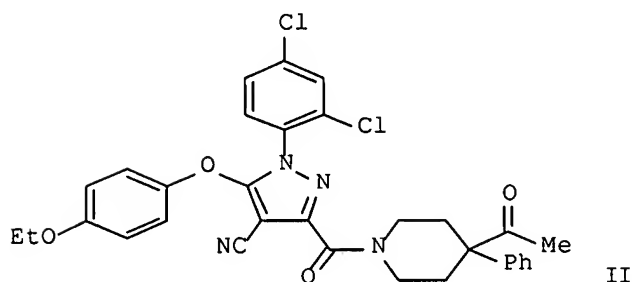
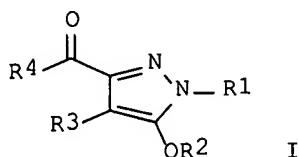
TITLE: Preparation of substituted pyrazoles as cannabinoid receptor antagonists

INVENTOR(S): Sakya, Subas Man

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 148 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099157	A1	20041118	WO 2004-IB1484	20040429
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2524397	A1	20041118	CA 2004-2524397	20040429
EP 1622876	A1	20060208	EP 2004-730334	20040429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004010289	A	20060516	BR 2004-10289	20040429
JP 2006525310	T	20061109	JP 2006-506607	20040429
US 2004235926	A1	20041125	US 2004-838008	20040503
PRIORITY APPLN. INFO.:			US 2003-468605P	P 20030507
			WO 2004-IB1484	W 20040429
OTHER SOURCE(S):		MARPAT 141:424187		
GI				



AB Pyrazoles I [R1 = (un)substituted aryl heteroaryl; R2 = (un)substituted alkyl, aryl, heteroaryl; R3 = H, halo, O2N, amino, aminoalkyl, aminocarbonyl, NC,

OHC, HO, HO₂C, (un)substituted alkyl, alkoxycarbonyl, alkylaminocarbonyl, etc.; R₄ = (un)substituted amino, azaheterocycle with the pyrazolyl group attached to the heterocyclyl nitrogen atom, HO, (un)substituted alkoxy] such as II are prepared as cannabinoid receptor antagonists for the treatment of disorders involving the modulation of cannabinoid receptors. Condensation of 2,4-dichlorophenylhydrazine hydrochloride and di-Et acetylenedicarboxylate to yield a pyrazolecarboxylate, chloroformylation of the pyrazole ring, oxime formation, dehydration of the oxime to a nitrile, ester hydrolysis with lithium hydroxide, acid chloride formation, amide formation with 1-(4-phenyl-4-piperidiny)ethanone, and nucleophilic aromatic substitution of the chloropyrazole with 4-ethoxyphenol yields II. I bind to either the rat or human cannabinoid receptors CB-1 with IC₅₀ values between 0.79 nM and 19.6 nM (no data).

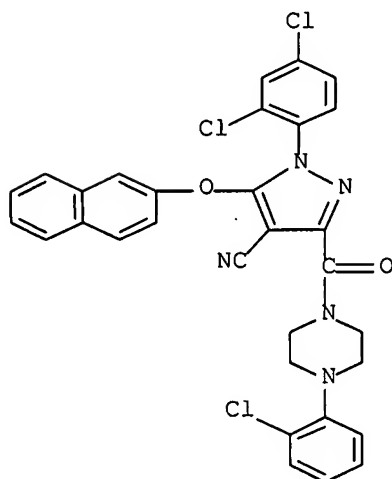
IT 795303-71-8P 795303-73-0P 795303-74-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cannabinoid receptor antagonist; preparation of substituted pyrazoles as cannabinoid receptor antagonists)

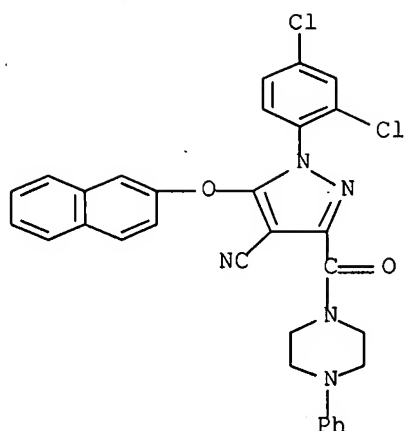
RN 795303-71-8 ZCAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[[4-cyano-1-(2,4-dichlorophenyl)-5-(2-naphthalenyloxy)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

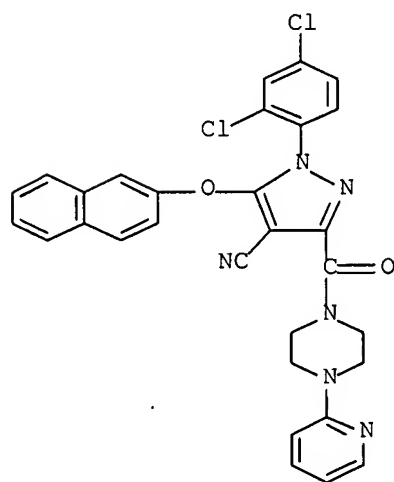


RN 795303-73-0 ZCAPLUS

CN Piperazine, 1-[[4-cyano-1-(2,4-dichlorophenyl)-5-(2-naphthalenyloxy)-1H-pyrazol-3-yl]carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 795303-74-1 ZCAPLUS
 CN Piperazine, 1-[[4-cyano-1-(2,4-dichlorophenyl)-5-(2-naphthalenyloxy)-1H-pyrazol-3-yl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



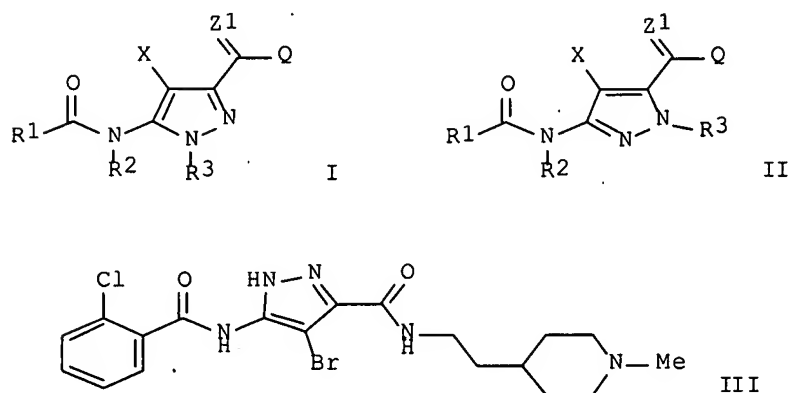
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 26 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:995964 ZCAPLUS Full-text
 DOCUMENT NUMBER: 141:424183
 TITLE: Preparation of 4-bromo-5-(2-chloro-benzoylamino)-1H-pyrazole-3-carboxylic acid amide derivatives and related compounds as bradykinin B1 receptor antagonists for the treatment of inflammatory diseases
 INVENTOR(S): Tung, Jay S.; Garofalo, Albert W.; Pleiss, Michael A.; Wu, Jing; Wone, David W. G.; Guinn, Ashley C.; Dressen, Darren B.; Neitz, R. Jeffrey; Marugg, Jennifer; Neitzel, Martin
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 374 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098589	A1	20041118	WO 2004-US13219	20040430
WO 2004098589	A8	20051208		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2524269	A1	20041118	CA 2004-2524269	20040430
US 2005020659	A1	20050127	US 2004-837231	20040430
EP 1633348	A1	20060315	EP 2004-750891	20040430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006526015	T	20061116	JP 2006-513431	20040430
US 2006281733	A1	20061214	US 2006-555519	20060726
PRIORITY APPLN. INFO.:			US 2003-467695P	P 20030502
			US 2004-539546P	P 20040127
			WO 2004-US13219	W 20040430
OTHER SOURCE(S):		MARPAT 141:424183		
GI				



AB Disclosed are compds. I and II [Z1 = O, S, NH; Q = NR4R5, OH, alkyl, cycloalkyl, etc.; R1 = H, alkyl, aryl, etc.; R2, R3 = H, alkyl, aryl, etc.; R4, R5 = H, alkyl, alkoxy, cycloalkyl, etc.; or NR4R5 = (un)substituted heterocyclyl, heteroaryl; X = H, halo, alkyl, NO2, etc.; with provisos] that

are bradykinin B1 receptor antagonists and are useful for treating diseases, or relieving adverse symptoms associated with disease conditions, in mammals mediated by bradykinin B1 receptor. The general procedures for synthesis of the compds. I and II were given. E.g., a multi-step synthesis (no characterization data given for the intermediates) of the amide III, was described. The compds. I and II were tested for potency and efficacy to inhibit the bradykinin B1 receptor in a cell-based fluorescent calcium-mobilization assay. Their potency was demonstrated by results of less than 50 μ M. Certain of the compds. I and II exhibit increased potency and are also expected to exhibit increased duration of action. The pharmaceutical compns. comprising the title compds. are described and claimed.

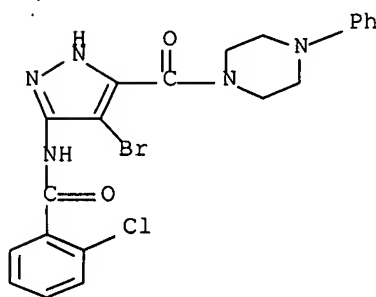
IT 796036-00-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-bromo-5-(2-chloro-benzoylamino)-1H-pyrazole-3-carboxylic acid amides as bradykinin B1 receptor antagonists for the treatment of inflammatory diseases)

RN 796036-00-5 ZCAPLUS

CN Benzamide, N-[4-bromo-5-[(4-phenyl-1-piperazinyl)carbonyl]-1H-pyrazol-3-yl]-2-chloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 27 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:934321 ZCAPLUS Full-text

DOCUMENT NUMBER: 141:395577

TITLE: Preparation of pyrazole-amides and -sulfonamides as sodium channel modulators

INVENTOR(S): Atkinson, Robert N.; Drizin, Irene; Gregg, Robert J.; Gross, Michael F.; Kort, Michael E.; Shi, Lei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

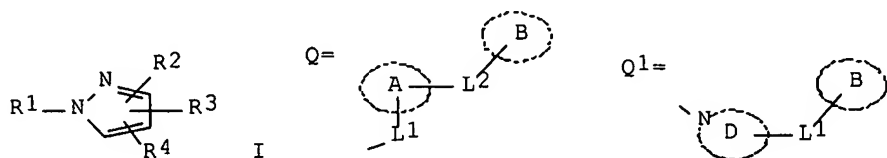
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004220170	A1	20041104	US 2003-427847	20030501
CA 2525325	A1	20041118	CA 2004-2525325	20040429

WO 2004099154	A2	20041118	WO 2004-US13530	20040429
WO 2004099154	A3	20050414		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1620405	A2	20060201	EP 2004-751090	20040429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2006525355	T	20061109	JP 2006-514200	20040429
PRIORITY APPLN. INFO.:			US 2003-427847	A 20030501
			US 2003-466980P	P 20030501
			WO 2004-US13530	W 20040429

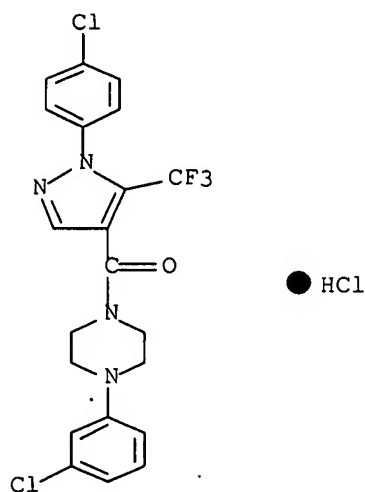
OTHER SOURCE(S): MARPAT 141:395577
GI



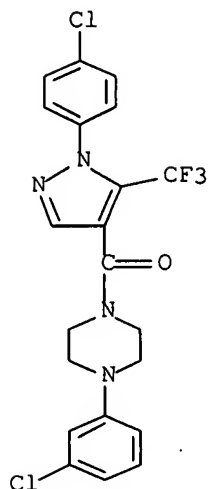
AB The title compds. (I) pharmaceutically acceptable salts, amides, esters, or prodrugs thereof [wherein R1 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, haloalkyl, heterocyclyl, heterocyclealkyl, heteroaryl, heteroarylalkyl; R2, R3 = H, alkenyl, alkoxy, alkoxyalkyl, alkoxyacarbonyl, alkyl, alkylcarbonyl, alkylcarbonyloxy, alkylthio, alkynyl, aryl, arylalkyl, carboxy, cycloalkyl, cycloalkylalkyl, cyano, formyl, haloalkoxy, haloalkyl, halogen, hydroxy, hydroxyalkyl, mercapto, nitro, -NRARB, (NRARB)carbonyl; RA, RB = H, alkyl, alkylcarbonyl; R4 = C(:X)N(R5)R, SO2N(R5)R, N(R5)C(:X)R, N(R5)SO2R, C(:X)R', SO2R' (wherein R = Q, R' = Q1; X = O, S; R5 = H, alkyl, alkylcarbonyl, alkylcarbonyloxy, heterocyclylalkyl; L1, L2 = a bond, alkylene; A, B = aryl, cycloalkyl, heteroaryl, heterocycle; D = optionally substituted heterocycle wherein the heterocycle is azetidiny, azepany, aziridiny, azocany, 1,1-dioxidothiomorpholinyl, morpholinyl, piperazinyl, piperidiny, pyrrolidinyl, or thiomorpholinyl)] are prepared. The compds. I modulate PN3 (a member of a family of voltage-gated sodium channels) in mammals and are useful in treating neuropathic pain including burning or elec. shock, feelings of bodily distortion, allodynia, and hyperalgesia in mammals. Thus, 3-[(dimethylamino)methylene]-1,1,1,5,5,5-hexafluoropentane-2,4-dione was cyclocondensed with 4-Chlorophenylhydrazine hydrochloride in the presence of Et3N in MeCN at ambient temperature for 16 h to give 1-(4-Chlorophenyl)-5-trifluoromethyl-1H-pyrazole-4-carboxylic acid which was treated with oxalyl chloride in the presence of DMF in CH2Cl2 at ambient temperature for 1 h, concentrated, and amidated with 1-(3-chlorophenyl)piperazine and Et3N at ambient temperature for 1 h to give, after silica gel chromatog. and treatment

with ethanolic HCl, 1-(3-chlorophenyl)-4-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]piperazine hydrochloride. Tetrodotoxin-resistant (TTX-R) sodium currents were studied in dorsal root ganglion (DRG) neurons from rats 14 days following spinal nerve ligation (SNL). Representative compds. I demonstrated IC50 from about 500 nM to about 3 µM for blocking TTX-R sodium currents in L4 DRG neurons.

IT 786726-95-2P, 1-(3-Chlorophenyl)-4-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]piperazine hydrochloride
 786727-45-5P, 1-(3-Chlorophenyl)-4-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]piperazine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazole-amides and -sulfonamides as sodium channel modulators for treating neuropathic pain)
 RN 786726-95-2 ZCAPLUS
 CN Piperazine, 1-(3-chlorophenyl)-4-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 786727-45-5 ZCAPLUS
 CN Piperazine, 1-(3-chlorophenyl)-4-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

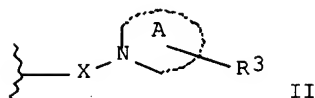
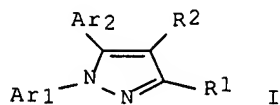


L63 ANSWER 28 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:675738 ZCAPLUS Full-text
 DOCUMENT NUMBER: 141:207201
 TITLE: Preparation of pyrazole derivatives as antiplatelet aggregation agents for the treatment of ischemic diseases
 INVENTOR(S): Kanaya, Naoaki; Ishihara, Hiroaki; Kimura, Youichi; Ishiyama, Takashi; Ochiai, Yuichi
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 383 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069824	A1	20040819	WO 2004-JP1259	20040206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004209495	A1	20040819	AU 2004-209495	20040206
CA 2515119	A1	20040819	CA 2004-2515119	20040206
EP 1591443	A1	20051102	EP 2004-708886	20040206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1759110	A	20060412	CN 2004-80006550	20040206
NO 2005003648	A	20051101	NO 2005-3648	20050727
US 2006128685	A1	20060615	US 2005-543915	20050729
PRIORITY APPLN. INFO.:				
			JP 2003-31639	A 20030207
			JP 2003-386515	A 20031117
			WO 2004-JP1259	W 20040206

OTHER SOURCE(S):
GI

MARPAT 141:207201



AB Title compds. I [Arl = aromatic heterocycle; Ar2 = aromatic heterocycle, etc.; R1 = II; A = cycle containing N, S, O; X = carbonyl, etc.; R3 = H, halo, etc.; R2 = H, halo, etc.] were prepared For example, N-(3-Dimethylaminopropyl)-N'-ethylcarbodiimide HCl mediated acylation of N-cyclopropylpiperazine hydrochloride with 1-(6-methoxy-3-pyridyl)-5-(2-pyridyl)pyrazole-3- carboxylic acid, e.g., prepared from 2-acetylpyridine in 3 steps, afforded compound I [Arl = 2-methoxypyridin-5-yl; Ar2 = 2-pyridyl; R1 = 1-cyclopropylpiperazine-4-carbonyl; R2 = H] in 83% yield. In antiplatelet activity assays, the IC50 value of compound I [Arl = 2-methoxypyridin-5-yl; Ar2 = 2-pyridyl; R1 = 1-cyclopropylpiperazine-4-carbonyl; R2 = H] was 0.035 μ M. Of note, compds. I inhibited neither COX-1 nor COX-2. Compds. I are claimed useful for the treatment of ischemic diseases.

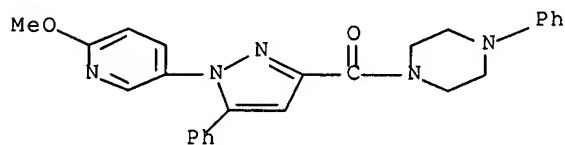
IT 741284-33-3P 741284-34-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. as antiplatelet aggregation agents for treatment of ischemic diseases)

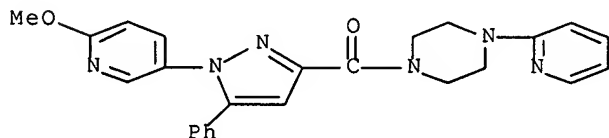
RN 741284-33-3 ZCAPLUS

CN Piperazine, 1-[[1-(6-methoxy-3-pyridinyl)-5-phenyl-1H-pyrazol-3-yl]carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)



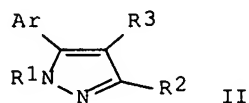
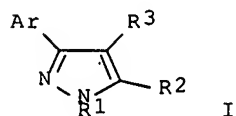
RN 741284-34-4 ZCAPLUS

CN Piperazine, 1-[[1-(6-methoxy-3-pyridinyl)-5-phenyl-1H-pyrazol-3-yl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L63 ANSWER 29 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:490722 ZCAPLUS Full-text
 DOCUMENT NUMBER: 141:54321
 TITLE: Preparation of 3-(2-hydroxyphenyl)-1H-pyrazole-4-carboxamides as HSP90 inhibitors for the treatment of cancer
 INVENTOR(S): Beswick, Mandy Christine; Brough, Paul Andrew; Drysdale, Martin James; Dymock, Brian William
 PATENT ASSIGNEE(S): Vernalis (Cambridge) Limited, UK; Cancer Research Technology Ltd.; The Institute of Cancer Research
 SOURCE: PCT Int. Appl., 45 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050087	A1	20040617	WO 2003-GB5275	20031204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508574	A1	20040617	CA 2003-2508574	20031204
AU 2003285584	A1	20040623	AU 2003-285584	20031204
EP 1567151	A1	20050831	EP 2003-778583	20031204
EP 1567151	B1	20060315		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016146	A	20051025	BR 2003-16146	20031204
CN 1744894	A	20060308	CN 2003-80109507	20031204
JP 2006510627	T	20060330	JP 2004-556536	20031204
AT 320252	T	20060415	AT 2003-778583	20031204
US 2007112192	A1	20070517	US 2006-536898	20061103
PRIORITY APPLN. INFO.:			GB 2002-28417	A 20021205
			WO 2003-GB5275	W 20031204
OTHER SOURCE(S):		MARPAT 141:54321		
GI				



AB Title compds. [I, II; Ar = (further substituted) 2-hydroxyaryl, 2-hydroxyheteroaryl; R1 = H, (substituted) alkyl; R2 = H, (substituted) cycloalkyl, cycloalkenyl, alkyl, alkenyl, alkynyl, carboxyl, carboxamide, carboxyl ester group; R3 = carboxamide group], were prepared Thus, O-(7-azabenzotriazolyl)-N,N,N',N'-tetramethyluronium hexafluorophosphate, 3-(2,4-bisbenzyloxy-5-chlorophenyl)-1(2)-(2-trimethylsilylethoxymethyl)-1H-pyrazole-4-carboxylic acid (preparation given), 4-aminoacetophenone, and diisopropylethylamine were heated together in DMF at 100° for 5 min. using microwave heating and the mixture was kept 2 h at ambient temperature to give a residue which was stirred overnight with BCl3 in CH2Cl2 to give 3-(5-chloro-2,4-dihydroxyphenyl)-1H-pyrazole-4-carboxylic acid (4-acetylphenyl)amide. The latter showed IC50 <50 µM in the malachite green ATPase assay using yeast HSP90.

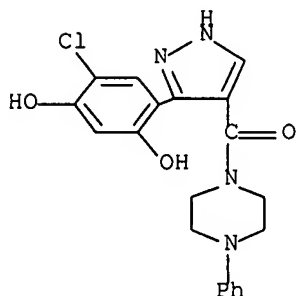
IT 705963-61-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyphenylpyrazolecarboxamides as HSP90 inhibitors for the treatment of cancer)

RN 705963-61-7 ZCAPLUS

CN Piperazine, 1-[[3-(5-chloro-2,4-dihydroxyphenyl)-1H-pyrazol-4-yl]carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 30 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:368922 ZCAPLUS Full-text

DOCUMENT NUMBER: 140:391277

TITLE: Preparation of 1-phenyl-3-(2-thienyl)pyrazole derivatives as peroxisome proliferator activated receptors modulators

INVENTOR(S): Huck, Jacques; Saladin, Regis; Sierra, Michael; Klotz, Evelyne

PATENT ASSIGNEE(S): Carex S. A., Fr.

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

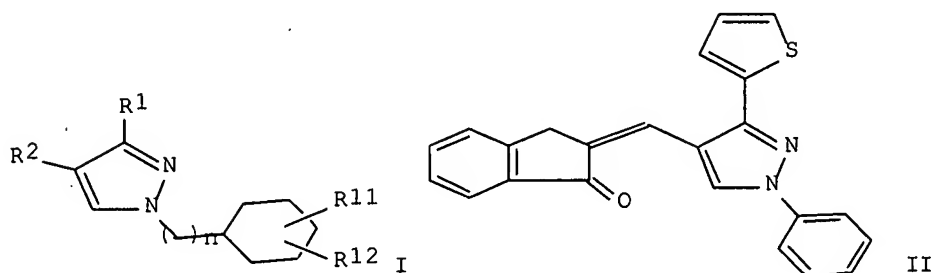
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004037248 A2 20040506 WO 2003-EP11710 20031022
 WO 2004037248 A3 20040603
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
 GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003287965 A1 20040513 AU 2003-287965 20031022
 PRIORITY APPLN. INFO.: EP 2002-360298 A 20021024
 EP 2002-360372 A 20021220
 EP 2002-360373 A 20021220
 US 2003-456954P P 20030325
 EP 2003-360070 A 20030611
 EP 2003-360091 A 20030724
 WO 2003-EP11710 W 20031022

OTHER SOURCE(S): MARPAT 140:391277
 GI



AB The title compds. I [wherein R1 = H, CF3, (un)substituted alkyl, cycloalkyl, aryl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, (un)substituted CO2H, COH, OH, NH2, etc.] or solvates or salts thereof are prepared for modulating peroxisome proliferator activated receptors (PPARs), and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(2-thienyl)pyrazole-4-carboxaldehyde (preparation given) was reacted with 1-indanone in isopropanol to give II (55%). I are useful for the treatment of diabetes, atherosclerosis, etc. (no data).

IT 375395-06-5P 686769-89-1P 686769-90-4P
 686769-92-6P 686769-94-8P

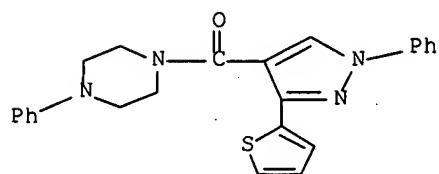
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (phenyl)(thienyl)pyrazole derivs. as PPARs modulators)

RN 375395-06-5 ZCAPLUS

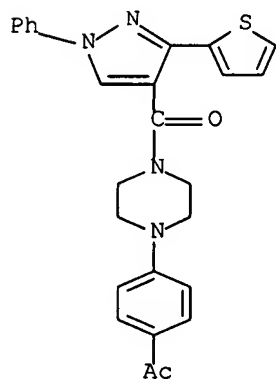
CN Piperazine, 1-phenyl-4-[[1-phenyl-3-(2-thienyl)-1H-pyrazol-4-yl]carbonyl]-

(9CI) (CA INDEX NAME)



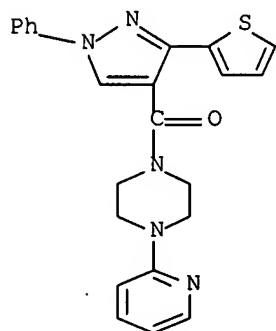
RN 686769-89-1 ZCAPLUS

CN Piperazine, 1-(4-acetylphenyl)-4-[[1-phenyl-3-(2-thienyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



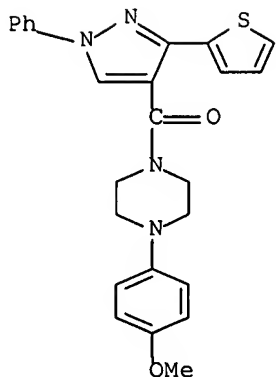
RN 686769-90-4 ZCAPLUS

CN Piperazine, 1-[[1-phenyl-3-(2-thienyl)-1H-pyrazol-4-yl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

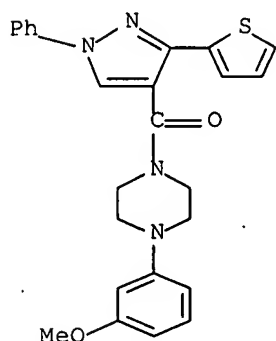


RN 686769-92-6 ZCAPLUS

CN Piperazine, 1-(4-methoxyphenyl)-4-[[1-phenyl-3-(2-thienyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 686769-94-8 ZCAPLUS
 CN Piperazine, 1-(3-methoxyphenyl)-4-[[1-phenyl-3-(2-thienyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



L63 ANSWER 31 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:333701 ZCAPLUS Full-text
 DOCUMENT NUMBER: 140:357664
 TITLE: Preparation of amino acid pyrazolylamides for treatment of neurodegenerative disorders
 INVENTOR(S): Allen, Martin Patrick; Chen, Yuhpyng L.; Liras, Spiros; Rosati, Robert L.
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 83 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033434	A1	20040422	WO 2003-IB4252	20030926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
 PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2501799 A1 20040422 CA 2003-2501799 20030926

AU 2003263518 A1 20040504 AU 2003-263518 20030926

EP 1551809 A1 20050713 EP 2003-807922 20030926

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003015158 A 20050816 BR 2003-15158 20030926

JP 2006504725 T 20060209 JP 2004-542713 20030926

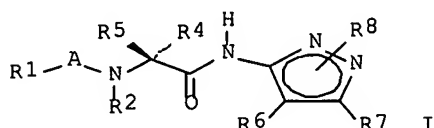
US 2004142997 A1 20040722 US 2003-680488 20031007

PRIORITY APPLN. INFO.: US 2002-417151P P 20021009

WO 2003-IB4252 W 20030926

OTHER SOURCE(S): MARPAT 140:357664

GI



AB The invention provides compds. I [A is COCO, C(O)Z, C(S)Z, C(:NR5)Z, or SO2, where Z is CH2, CH(OH), CH(NH2), CH(CH2OH), etc. and R5 is (un)substituted alkyl or aryl; R1 is alkyl, alkoxy, cycloalk(en)yl, bi- or tricycloalkyl, heterocycloalkyl, (hetero)aryl, etc.; R2 is H, (un)substituted alkyl which may be unsatd., alkanoyl, aryl- or arylmethylsulfonyl; R3 is (un)substituted alk(en)(yn)yl or cycloalk(en)ylalkyl; R4 is H, D, F or alkyl; R6, R7, R8 are H, alkyl, halo, CN, etc. or R6 and R7 may form rings (with provisos)] which inhibit the production of Aβ-peptide and pharmaceutical compns. for treating diseases, e.g., Alzheimer's disease. Thus, 2-[[[(3,5-difluorophenyl)acetyl]amino]pentanoic acid (5-phenyl-2H-pyrazol-3-yl)amide was prepared by amidation of 2-[[[(3,5-difluorophenyl)acetyl]amino]pentanoic acid, which was obtained from L-norvaline.

IT 681487-72-9P 681490-04-0P

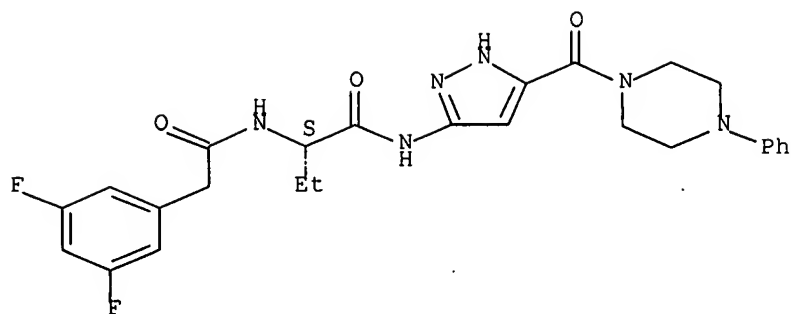
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid pyrazolylamides for treatment of neurodegenerative disorders)

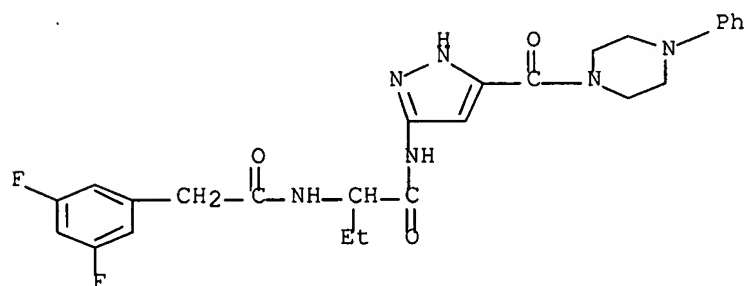
RN 681487-72-9 ZCAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-[[[5-[(4-phenyl-1-piperazinyl)carbonyl]-1H-pyrazol-3-yl]amino]carbonyl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 681490-04-0 ZCAPLUS
 CN Benzeneacetamide, 3,5-difluoro-N-[1-[[[5-[(4-phenyl-1-piperazinyl)carbonyl]-1H-pyrazol-3-yl]amino]carbonyl]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 32 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:511098 ZCAPLUS Full-text
 DOCUMENT NUMBER: 139:85366
 TITLE: Preparation of N-(pyrimidin-4-yl)acetamides as A2b adenosine receptor selective antagonists
 INVENTOR(S): Castelhana, Arlindo; McKibben, Bryan; Steinig, Arno; Collington, Eric William
 PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 150 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053366	A2	20030703	WO 2002-US41273	20021220
WO 2003053366	A3	20040129		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2471059	A1	20030703	CA 2002-2471059	20021220
AU 2002366811	A1	20030709	AU 2002-366811	20021220
US 2003162764	A1	20030828	US 2002-326204	20021220
US 6916804	B2	20050712		
BR 2002015202	A	20041013	BR 2002-15202	20021220
EP 1465631	A2	20041013	EP 2002-805676	20021220

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

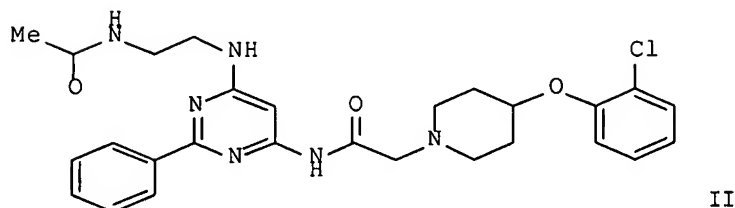
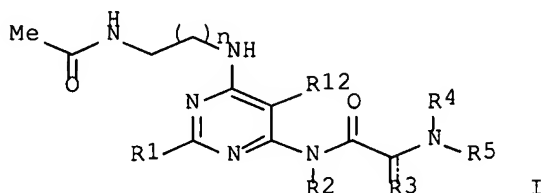
CN 1620294	A	20050525	CN 2002-828270	20021220
JP 2005517659	T	20050616	JP 2003-554126	20021220
IN 2004DN01871	A	20070406	IN 2004-DN1871	20040630
US 2005119271	A1	20050602	US 2004-992239	20041118

PRIORITY APPLN. INFO.:

US 2001-342595P	P	20011220
US 2002-326204	A1	20021220
WO 2002-US41273	W	20021220

OTHER SOURCE(S): MARPAT 139:85366

GI



AB Title compds. I [wherein R1 = (un)substituted Ph, heterocyclyl, or heteroaryl; R2 and R3 = independently H or (un)substituted (cyclo)alkyl, alkanoyl, alkoxy(carbonyl), alkenyl, monocyclic or bicyclic aryl, heteroaryl, or heterocyclyl; or R2 and R3 are joined to form a heterocyclic ring; wherein the dashed line = a double bond which may be present or absent, and when present R3 = O; R4 and R5 = independently (un)substituted (cyclo)alkyl, alkanoyl, alkoxy(carbonyl), alkenyl, monocyclic or bicyclic aryl, heteroaryl, or heterocyclyl; or NR4R5 = (un)substituted monocyclic or bicyclic, heterocyclyl, or heteroaryl; R12 = H, alkyl, halo, or cyano; n = 0-4; or enantiomers, tautomers, or pharmaceutically acceptable salts thereof] were prepared as A2b adenosine receptor antagonists. For example, cycloaddn. of benzamidine•HCl and di-Et malonate using DBU in DMF gave 2-phenylpyrimidine-4,6-diol (73%). Chlorination (95%), amination (93%), substitution with N-(2-aminoethyl)acetamide (57%), and amidation with chloroacetyl chloride (91%) provided N-[6-(2-acetylaminethylamino)-2-phenylpyrimidin-4-yl]-2-

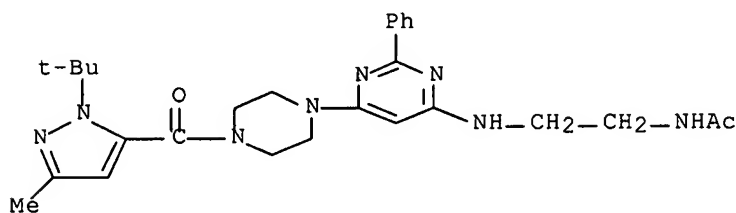
chloroacetamide. Coupling of the chloroacetamide with 4-(2-chlorophenoxy)piperidine in the presence of NaI and DIPEA in 3:1 acetonitrile:THF afforded II (86%). Compds. of the invention showed greater than tenfold selectivity for the human A2b adenosine receptor (K_i values <100 nM) over the A1, A2a, and A3 receptors in radioligand binding assays. Thus, I and pharmaceutical compns. comprising I are useful for the treatment of diseases associated with the A2b adenosine receptor, such as asthma, diabetes, or proliferating tumors associated with mast cell degranulation (no data).

IT 552871-02-0P, N-[2-[[6-[4-[(1-tert-Butyl-3-methyl-1H-pyrazol-5-yl)carbonyl]piperazin-1-yl]-2-phenylpyrimidin-4-yl]amino]ethyl]acetamide
 552871-13-3P, N-[2-[[6-[4-[(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)carbonyl]piperazin-1-yl]-2-phenylpyrimidin-4-yl]amino]ethyl]acetamide
 552872-87-4P, N-[2-[[6-[4-[(1-tert-Butyl-3-methyl-1H-pyrazol-5-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552872-99-8P, N-[2-[[6-[4-[(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(A2b antagonist; preparation of N-(pyrimidinyl)acetamides as A2b adenosine receptor selective antagonists for treatment of asthma, diabetes, tumors, and other A2b associated diseases)

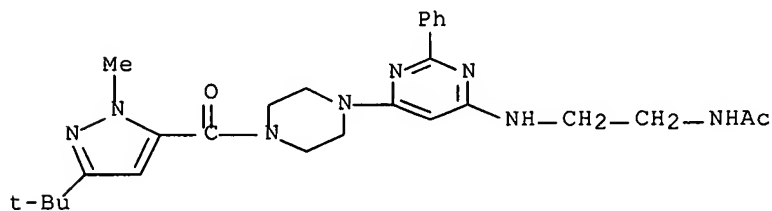
RN 552871-02-0 ZCAPLUS

CN Acetamide, N-[2-[[6-[4-[[1-(1,1-dimethylethyl)-3-methyl-1H-pyrazol-5-yl]carbonyl]-1-piperazinyl]-2-phenyl-4-pyrimidinyl]amino]ethyl]- (9CI)
 (CA INDEX NAME)



RN 552871-13-3 ZCAPLUS

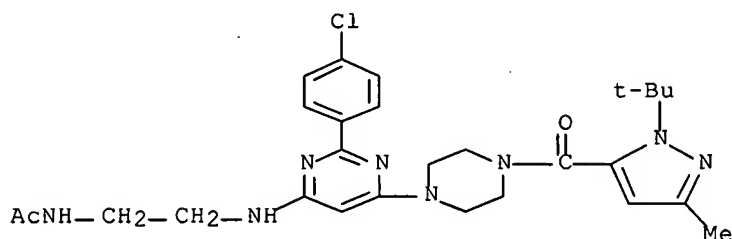
CN Acetamide, N-[2-[[6-[4-[[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]carbonyl]-1-piperazinyl]-2-phenyl-4-pyrimidinyl]amino]ethyl]- (9CI)
 (CA INDEX NAME)



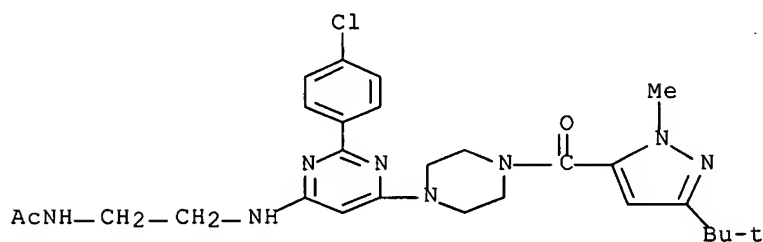
RN 552872-87-4 ZCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[[1-(1,1-dimethylethyl)-3-methyl-

1H-pyrazol-5-yl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (9CI)
(CA INDEX NAME)



RN 552872-99-8 ZCAPLUS
CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (9CI)
(CA INDEX NAME)



L63 ANSWER 33 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:356201 ZCAPLUS Full-text
DOCUMENT NUMBER: 138:368888
TITLE: Pyrazolecarboxamides and -sulfonamides as sodium channel blockers
INVENTOR(S): Atkinson, Robert Nelson; Gross, Michael Francis
PATENT ASSIGNEE(S): Icagen, Inc., USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037274	A2	20030508	WO 2002-US35172	20021101
WO 2003037274	A3	20031030		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2465207 A1 20030508 CA 2002-2465207 20021101
 AU 2002363250 A1 20030512 AU 2002-363250 20021101
 EP 1451160 A2 20040901 EP 2002-799175 20021101

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

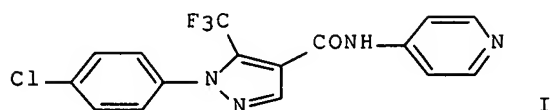
US 2005049237 A1 20050303 US 2002-286304 20021101

PRIORITY APPLN. INFO.: US 2001-335958P P 20011101

WO 2002-US35172 W 20021101

OTHER SOURCE(S): MARPAT 138:368888

GI



AB Pyrazolecarboxamides and -sulfonamides were prepared for use in the treatment of diseases through the inhibition of sodium ion flux through voltage-dependent sodium channels, especially pain and chronic pain. Thus, the amide I was prepared by amidation of the acid chloride with the amine and showed activity at the PN3 Na channel in the 4.1-10 μ M range.

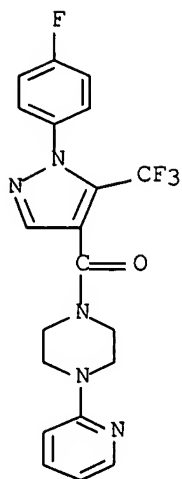
IT 521924-13-0P 521929-71-5P 521931-92-0P
 521932-12-7P 521932-31-0P 521932-47-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolecarboxamides and -sulfonamides as sodium channel blockers)

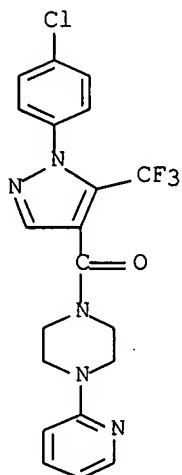
RN 521924-13-0 ZCAPLUS

CN Piperazine, 1-[[1-(4-fluorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



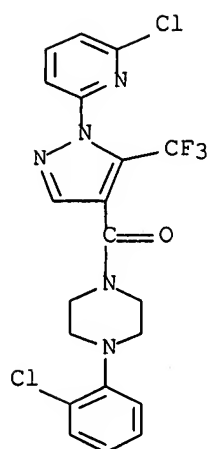
RN 521929-71-5 ZCAPLUS

CN Piperazine, 1-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



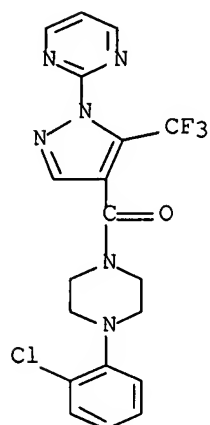
RN 521931-92-0 ZCAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[[1-(6-chloro-2-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



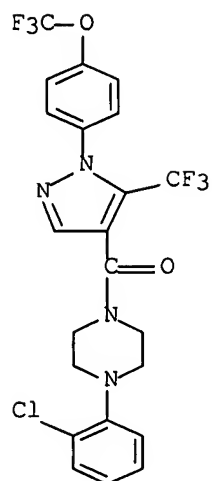
RN 521932-12-7 ZCAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[[1-(2-pyrimidinyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

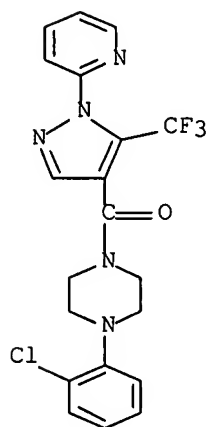


RN 521932-31-0 ZCAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[[1-[4-(trifluoromethoxy)phenyl]-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

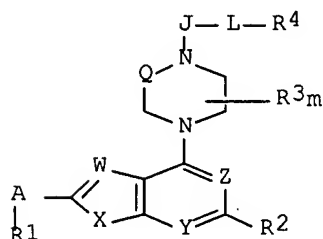


RN 521932-47-8 ZCAPLUS
 CN Piperazine, 1-(2-chlorophenyl)-4-[[1-(2-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

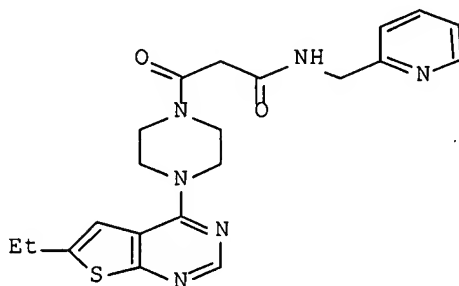


L63 ANSWER 34 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:221465 ZCAPLUS Full-text
 DOCUMENT NUMBER: 138:255249
 TITLE: Preparation of piperazine and homopiperazine compounds useful in the treatment of thrombosis and to inhibit ADP-mediated platelet aggregation
 INVENTOR(S): Levy, Daniel E.; Smyth, Mark S.; Scarborough, Robert M.
 PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 260 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022214	A2	20030320	WO 2002-US28618	20020906
WO 2003022214	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002336462	A1	20030324	AU 2002-336462	20020906
US 2003153556	A1	20030814	US 2002-237153	20020906
US 7115741	B2	20061003		
PRIORITY APPLN. INFO.:			US 2001-317192P	P 20010906
			WO 2002-US28618	W 20020906
OTHER SOURCE(S):			MARPAT 138:255249	
GI				



I



II

AB Piperazine and homopiperazine compds. I, wherein Q is (CH₂)_n; n is 1, 2; m is 0-4; W is N, CR₅; X is S, O, NR₆; Y is N, CR₇; Z is N, CR₈; J is CO, CS, CNR₉, SO, SO₂; A is O, S, NR₁₀, CO, CH(OH); L is a direct link or a divalent linker; R₁ is H, halo, CN, NO₂, N₃, alkyl, cycloalkyl, alkene, alkyne; R₂ is H, halo, CN, NO₂, N₃, alkyl, cycloalkyl, alkene, alkyne, acyl; R₃ is alkyl, cycloalkyl, acyl; R₄ is H, F, CF₃, CN, N₃, NO₂, alkyl, amino, alkylamino, cycloalkyl, heterocycloalkyl, heteroalkyl, fused bicycloalkyl, fused bicycloalkaryl, fused bicycloaryl; R₅-R₈ are independently H, alkyl, cycloalkyl; R₉ is H, CN, NO₂, alkyl; R₁₀ is H, alkyl, acyl; are provided having a piperazine or homopiperazine ring which are useful in the treatment of thrombosis. Thus piperazine II was prepared and tested in vitro to inhibit ADP-mediated platelet aggregation (activity ranges are: > 20 μmol; 10-20 μmol; and < 10 μmol).

IT 502648-34-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of piperazine and homopiperazine compds. useful in treatment

of

thrombosis and to inhibit ADP-mediated platelet aggregation)

RN 502648-34-2 ZCAPLUS

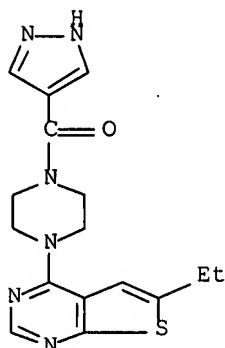
CN Piperazine, 1-(6-ethylthieno[2,3-d]pyrimidin-4-yl)-4-(1H-pyrazol-4-

ylcarbonyl)-, trifluoroacetate (9CI) (GA INDEX NAME)

CM 1

CRN 502648-33-1

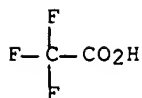
CMF C16 H18 N6 O S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L63 ANSWER 35 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:905762 ZCAPLUS Full-text
DOCUMENT NUMBER: 138:4614
TITLE: Preparation of piperazinylquinolines and
piperazinylquinolones as inhibitors of macrophage
migration inhibitory factor
INVENTOR(S): Gaeta, Federico C.A.; Baird, Andrew; Anchin, Jerry;
Ying, Wenbin; Florkiewicz, Robert; Sircar, Jagadish;
Kumar K.C., Sunil
PATENT ASSIGNEE(S): Avanir Pharmaceuticals, USA
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094203	A2	20021128	WO 2002-US16963	20020524

WO 2002094203 A3 20030410

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

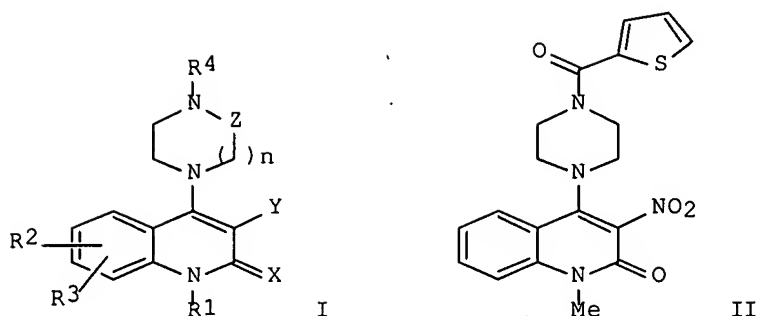
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2447103	A1	20021128	CA 2002-2447103	20020524
AU 2002303906	A1	20021203	AU 2002-303906	20020524
US 2003195194	A1	20031016	US 2002-156650	20020524
US 7105519	B2	20060912		
EP 1389110	A2	20040218	EP 2002-731971	20020524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1523989	A	20040825	CN 2002-810501	20020524
BR 2002009948	A	20041221	BR 2002-9948	20020524
JP 2005500266	T	20050106	JP 2002-590924	20020524
HU 200500101	A2	20050530	HU 2005-101	20020524
NZ 529244	A	20060428	NZ 2002-529244	20020524
IN 2003KN01360	A	20060324	IN 2003-KN1360	20031022
ZA 2003009738	A	20041004	ZA 2003-9738	20031217
US 2005282236	A1	20051222	US 2005-182241	20050715
US 2005287617	A1	20051229	US 2005-182360	20050715
US 2006094727	A1	20060504	US 2005-268375	20051107
US 7084141	B2	20060801		
US 2006205734	A1	20060914	US 2006-344938	20060131
US 7202248	B2	20070410		
US 2006194792	A1	20060831	US 2006-415785	20060502
US 7192955	B2	20070320		
US 2006194793	A1	20060831	US 2006-415998	20060502
US 7129236	B2	20061031		
US 2006194818	A1	20060831	US 2006-416004	20060502
US 7157469	B2	20070102		
US 2006199827	A1	20060907	US 2006-415842	20060502
US 2006199825	A1	20060907	US 2006-416165	20060502
US 2006235023	A1	20061019	US 2006-415628	20060502
US 7192961	B2	20070320		
US 2007021440	A1	20070125	US 2006-415552	20060502

PRIORITY APPLN. INFO.:

US 2001-293642P	P	20010524
US 2002-156650	A3	20020524
WO 2002-US16963	W	20020524

OTHER SOURCE(S): MARPAT 138:4614
GI



AB The title piperazinylquinolines and piperazinylquinolones with general formula of I [wherein X = O or S; Y = NO, NO₂, COR₅, CO₂R₅, CONR₅R₆, NR₅COR₅, NR₅SO₂R₅, SR₅, SOR₅, or SO₂R₅; Z = CH₂ or CO; n = 0-2 with the proviso that Z = CO when n = 0; R₁ = H, dialkylamono, (un)substituted alkyl, aryl(alkyl), heterocyclyl(alkyl), or aminoalkyl, etc.; R₂ and R₃ = independently halo, R₅, OR₅, SR₅, or NR₅R₆; R₄ = CH₂R₇, CONR₅R₆, CO₂R₇, COR₇, or R₈; R₅ and R₆ = independently H, (un)substituted alkyl, aryl, aryl(alkyl), or heterocyclyl(alkyl); or R₅ and R₆ together with a nitrogen atom to which they are attached form an (un)substituted heterocycle; R₇ = (un)substituted alkyl, aryl(alkyl), or heterocyclyl(alkyl); R₈ = H, (un)substituted alkyl, aryl(alkyl), or heterocyclyl(alkyl); with 4 specific exclusions; and pharmaceutically acceptable salts, stereoisomers, and prodrugs thereof] were prepared as inhibitors of macrophage migration inhibitory factor (MIF) for the treatment of a variety of disorders, including the treatment of pathol. conditions associated with MIF activity. For example, Et nitroacetate in DMA was treated with 95% NaH, then reacted with N-methylisatoic anhydride in DMA, subsequently followed by chlorination with POCl₃ to afford the quinolone. 1-(Tert- Butoxycarbonyl)piperazine was reacted with 2-thiophenecarbonyl chloride in pyridine in the presence of DMAP, followed by hydrolysis with TFA in CH₂Cl₂ to give the piperazine (75% over two steps). Coupling reaction of the resulting quinolone and piperazine in toluene in the presence of pyridine afforded piperazinylquinolone II (28%). I exhibited inhibition of MIF activity with EC₅₀ values in the range of 0.01 mM to 0.08 mM. I also showed the ability to decrease the immunoreactivity of MIF produced by THP-1 cells with EC₅₀ values of up to < 0.008 mM.

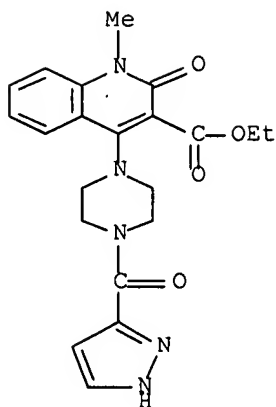
IT 477203-61-5P 477203-92-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

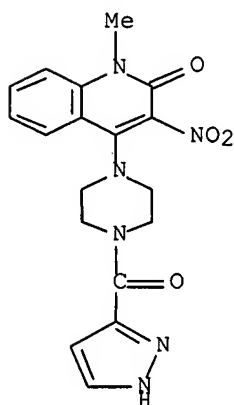
(MIF inhibitor; preparation of piperazinylquinolines and piperazinylquinolones as inhibitors of macrophage migration inhibitory factor)

RN 477203-61-5 ZCAPLUS

CN 3-Quinolinecarboxylic acid, 1,2-dihydro-1-methyl-2-oxo-4-[4-(1H-pyrazol-3-ylcarbonyl)-1-piperazinyl]-, ethyl ester (9CI) (CA INDEX NAME)



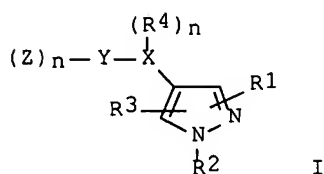
RN 477203-92-2 ZCAPLUS
 CN Piperazine, 1-(1,2-dihydro-1-methyl-3-nitro-2-oxo-4-quinolinyl)-4-(1H-pyrazol-3-ylcarbonyl)- (9CI) (CA INDEX NAME)



L63 ANSWER 36 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:849613 ZCAPLUS Full-text
 DOCUMENT NUMBER: 137:353066
 TITLE: Preparation of nitrogenous fused-ring compound having pyrazolyl group as substituents as inhibitors of activation of signal transduction and activation of transcription (STAT6) protein
 INVENTOR(S): Yoshida, Ichiro; Yoneda, Naoki; Ohashi, Yoshiaki; Suzuki, Shuichi; Miyamoto, Mitsuaki; Miyazaki, Futoshi; Seshimo, Hidenori; Kamata, Junichi; Takase, Yasutaka; Shirato, Manabu; Shimokubo, Daiya; Sakuma, Yoshinori; Yokohama, Hiromitsu
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 1006 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088107	A1	20021107	WO 2002-JP4156	20020425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002253596	A1	20021111	AU 2002-253596	20020425
EP 1382603	A1	20040121	EP 2002-722791	20020425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 7074801	B1	20060711	US 2003-475585	20031023
PRIORITY APPLN. INFO.:			JP 2001-129959	A 20010426
			WO 2002-JP4156	W 20020425
OTHER SOURCE(S):		MARPAT 137:353066		
GI				



AB The 4-(N-containing fused aromatic heterocyclyl)pyrazoles (I) or salts thereof, or hydrates of either [X = a nitrogenous fused aromatic heterocyclic group, e.g., imidazo[1,2-a]pyridine, having $(R^4)_n$ as a substituent; wherein n = an integer of 0-3; R^4 = H, halo, cyano, OH, NH_2 , C1-6 alkyl, halo-C1-6 alkyl, C2-6 alkenyl, C1-6 alkylsulfonyl, C1-6 alkylsulfonylamino, C1-6 alkylsulfinyl, N-mono, or N,N-di(C1-6 alkyl)amino, C1-6 alkoxy, C1-6 alkylsulfonyl, $CONH_2$, etc.; Y = C3-8 cycloalkyl, C4-8 cycloalkenyl, 5- to 14-membered nonarom. or aromatic heterocyclyl, C6-14 aromatic hydrocarbyl, benzene- or 5- or 6-membered aromatic heterocycle-fused 5- to 7-membered nonarom. ring group; Z = H, NH_2 , halo, HO, NO_2 , cyano, N_3 , CHO, HONH, SO_2NH_2 , guanidino, oxo, C2-6 alkenyl, C1-6 alkoxy, etc.; R_1 = H, halo, HO, NO_2 , cyano, halo-C1-6 alkyl, hydroxy- or cyano-C1-6 alkyl, C2-6 alkenyl, etc.; R_2 = H, pyrazolyl; R_3 = H, halo, cyano, NH_2 , C1-4 alkyl, halo-C1-4 alkyl] are prepared. These compds. are inhibitors of STAT6 protein activation and IL-4 and/or IL-13 signal transduction and are useful for prevention and/or treatment of diseases on which the inhibition of STAT6 activation and/or IL-4 and/or IL-13 signal transduction is effective. The diseases include allergy, allergic rhinitis, bronchial asthma, atopic dermatitis, pollinosis, digestive tract allergy, urticaria, hypersensitivity pneumonia, lung aspergillosis, eosinophil leukemia, parasite infection, eosinophilia, eosinophil pneumonia, eosinophil gastroenteritis, autoimmune disease, systemic lupus erythematosus, virus infection, bacteria infection, obesity, overeating (hyperphagia), malignant tumor, and acquired immunodeficiency syndrome (AIDS). Thus, 4-(4,4,5,5-

tetramethyl-1,3,2-dioxaborolan-2-yl)benzonitrile was coupled with 6-[3-(4-fluorophenyl)-1-trityl-1H-pyrazolyl]-3-iodoimidazo[1,2-a]pyridine in the presence of tetrakis(triphenylphosphine)palladium and K3PO4 in DMF at 75° for 3 h followed by treating a solution of the coupling product in THF and MeOH with 5 N aqueous HCl to give 4-[6-[3-(4-fluorophenyl)-1H-4-pyrazolyl]imidazo[1,2-a]pyridin-3-yl]benzonitrile dihydrochloride (II). II showed IC50 of <10 nM for inhibiting the IL-4-induced induction of alkali phosphatase in human embryonic kidney cell transfected with STAT gene and STAT reporter gene.

IT 474696-23-6P

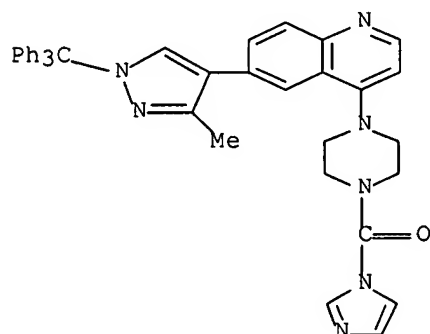
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (N-containing heterocycl)pyrazole as inhibitors of activation

of STAT6 protein and/or IL-4 and/or IL-13 signal transduction as preventives and/or remedies of diseases)

RN 474696-23-6 ZCAPLUS

CN Piperazine, 1-(1H-imidazol-1-ylcarbonyl)-4-[6-[3-methyl-1-(triphenylmethyl)-1H-pyrazol-4-yl]-4-quinolinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 37 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:522631 ZCAPLUS Full-text

DOCUMENT NUMBER: 137:93747

TITLE: Preparation of pyrazolecarboxamides as inhibitors of factor Xa

INVENTOR(S): Zhu, Bing-yan; Jia, Zhaozhong Jon; Huang, Wenrong; Song, Yonghong; Kanter, James; Scarborough, Robert M.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 303 pp., Cont.-in-part of U.S. Ser. No. 662,807.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

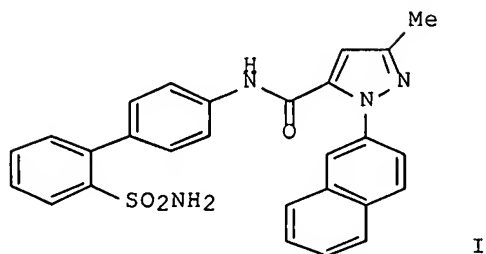
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2002091116	A1	20020711	US 2001-794214	20010228
US 6632815	B2	20031014		

US 6720317	B1	20040413	US 2000-662807	20000915
US 6686368	B1	20040203	US 2003-387927	20030312
US 2004116399	A1	20040617	US 2003-600695	20030620
US 2006020039	A1	20060126	US 2005-35767	20050114
PRIORITY APPLN. INFO.:			US 1999-154332P	P 19990917
			US 2000-662807	A2 20000915
			US 2000-185746P	P 20000229
			US 2000-663420	A1 20000915
			US 2001-794214	A1 20010228

OTHER SOURCE(S): MARPAT 137:93747

GI



AB The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph, naphthyl, etc.; Q = a direct link, divalent alkyl, alkenyl, etc.; D = a direct link, (un)substituted Ph, 5-10 membered (non)aromatic heterocyclyl; E = a direct link, (CH₂)_qCO, CO(CH₂)_x, etc.; q, x = 0-2; G = (un)substituted Ph, 5-6 membered heteroaryl; J = a direct link, SO₂, CO, etc.; X = (un)substituted Ph, naphthyl, 6-membered heteroaryl, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared E.g., a 3-step synthesis of the pyrazolecarboxamide I was given.

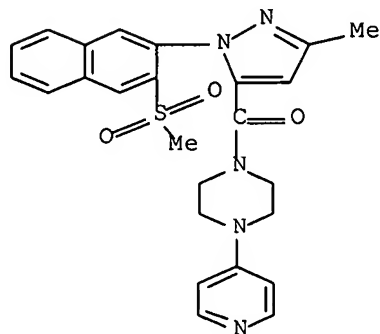
IT 330802-57-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolecarboxamides as inhibitors of factor Xa)

RN 330802-57-8 ZCAPLUS

CN Piperazine, 1-[[3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]-1H-pyrazol-5-yl]carbonyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L63 ANSWER 38 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:293649 ZCAPLUS Full-text

DOCUMENT NUMBER: 136:325564

TITLE: Preparation and use of aminophenyl piperazine or
aminophenyl piperidine derivatives for inhibiting
prenyl transferase proteins

INVENTOR(S): Perez, Michel; Lamothe, Marie; Kruczynski, Anna; Hill,
Bridget

PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

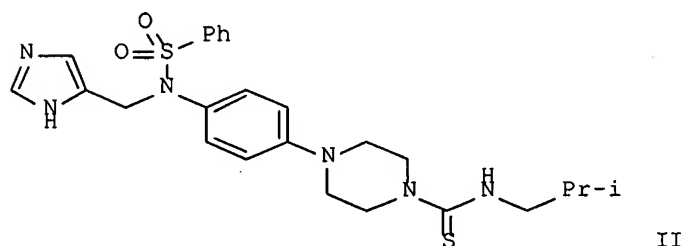
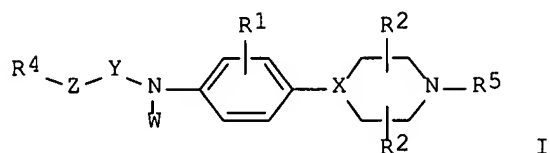
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002030927	A1	20020418	WO 2001-FR3121	20011010
W: AU, BR, CA, CN, JP, MX, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
FR 2815032	A1	20020412	FR 2000-12919	20001010
FR 2815032	B1	20030808		
CA 2425416	A1	20020418	CA 2001-2425416	20011010
AU 2002010628	A5	20020422	AU 2002-10628	20011010
EP 1324999	A1	20030709	EP 2001-978521	20011010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2004511481	T	20040415	JP 2002-534313	20011010
US 2004092524	A1	20040513	US 2003-399069	20031112
PRIORITY APPLN. INFO.:			FR 2000-12919	A 20001010
			WO 2001-FR3121	W 20011010

OTHER SOURCE(S): MARPAT 136:325564

GI



AB Title compds. I [W = H, acyl, thioacyl, sulfonyl, alkyl; X = CH, N; Y = alkyl, CO, CH₂CO, CH=CHCO, etc.; Z = (benz)imidazole, isoxazole, tetrazole, oxadiazole, thiazole, thiadiazole, etc.; R1 = H, alkyl, halo, OCH₃, CF₃; R2-3 = H, alkyl; R4 = H, alky, aryl, heterocycle; R5 = H, acyl, sulfonyl, amido, etc.] were prepared For instance, resin bound 1-trityl-1H-imidazole-4-carboxaldehyde (preparation given) was reductively alkylated with 1-Fmoc-4-(4-aminophenyl)piperazine (CH₂Cl₂, HOAc, NaBH(OAc)₃) and the resulting 2°-amine sulfonylated with benzenesulfonyl chloride (CH₂Cl₂, Pyridine). Removal of the Fmoc (DMF, piperidine), treatment of the resulting amine with isobutylisothiocyanate and cleavage from the resin (CH₂Cl₂, TFA, Et₃SiH) provided II. II had IC₅₀ = 6 nM for protein farnesyl transferase and IC₅₀ = 10,000 nM for protein geranylgeranyl transferase. I in combination with, e.g., taxol, navelbine, camptothecin, etc. are useful for the treatment of cancer.

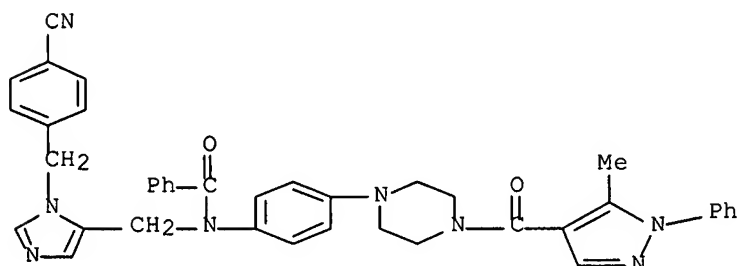
IT 412331-88-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation and use of aminophenyl piperazine or aminophenyl piperidine derivs. for inhibiting prenyl transferase proteins)

RN 412331-88-5 ZCAPLUS

CN Benzamide, N-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl)methyl]-N-[4-[4-[(5-methyl-1-phenyl-1H-pyrazol-4-yl)carbonyl]-1-piperazinyl]phenyl]- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 39 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:620087 ZCAPLUS Full-text

DOCUMENT NUMBER: 135:371677

TITLE: 4-Functionally substituted 3-heterylpurazoles: III.
3-Aryl(heteryl)pyrazole-4-carboxylic acids and their derivatives

AUTHOR(S): Bratenko, M. K.; Chornous, V. A.; Vovk, M. V.

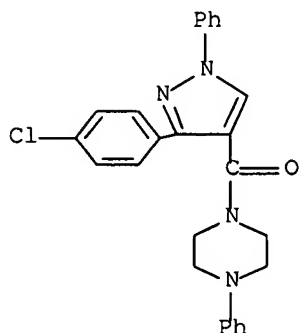
CORPORATE SOURCE: Bukovinskaya State Medical Academy, Chernovtsy, 58000, Ukraine

SOURCE: Russian Journal of Organic Chemistry (Translation of Zhurnal Organicheskoi Khimii) (2001), 37(4), 552-555
CODEN: RJOCEQ; ISSN: 1070-4280

PUBLISHER: MAIK Nauka/Interperiodica Publishing

DOCUMENT TYPE: Journal

LANGUAGE: English
 OTHER SOURCE(S): CASREACT 135:371677
 AB 3-Ary(heteryl)-4-formylpyrazoles were cleanly oxidized by potassium permanganate in water-pyridine medium to afford in high yield 3-aryl(heteryl)pyrazole-4-carboxylic acids, that were further converted into the corresponding chlorides and amides.
 IT **366492-22-0P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of functionally substituted (phenyl)pyrazolecarboxamides and their derivs.)
 RN 366492-22-0 ZCAPLUS
 CN Piperazine, 1-[[3-(4-chlorophenyl)-1-phenyl-1H-pyrazol-4-yl]carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 40 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:208248 ZCAPLUS Full-text
 DOCUMENT NUMBER: 134:252334
 TITLE: Preparation of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors of factor Xa
 INVENTOR(S): Zhu, Bing-Yan; Jia, Zhaozhong Jon; Huang, Wenrong; Song, Yonghong; Kanter, James; Scarborough, Robert M.
 PATENT ASSIGNEE(S): Cor Therapeutics Inc., USA
 SOURCE: PCT Int. Appl., 314 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

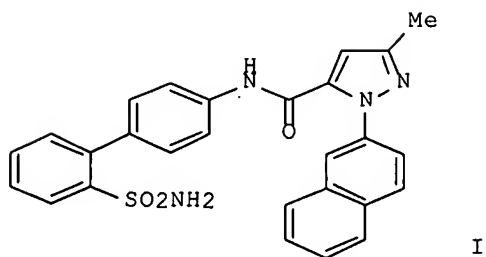
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019798	A2	20010322	WO 2000-US25195	20000915
WO 2001019798	A3	20011025		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2385589	A1	20010322	CA 2000-2385589	20000915
AU 200074866	A	20010417	AU 2000-74866	20000915
AU 781880	B2	20050616		
EP 1216231	A2	20020626	EP 2000-963451	20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000014078	A	20021231	BR 2000-14078	20000915
TR 200201413	T2	20030221	TR 2002-1413	20000915
JP 2003509412	T	20030311	JP 2001-523378	20000915
HU 200203954	A2	20030328	HU 2002-3954	20000915
NZ 517828	A	20031031	NZ 2000-517828	20000915
NO 2002001230	A	20020521	NO 2002-1230	20020312
ZA 2002002117	A	20031215	ZA 2002-2117	20020314
ZA 2002002116	A	20040210	ZA 2002-2116	20020314
ZA 2003006488	A	20040216	ZA 2003-6488	20030820
ZA 2003006490	A	20040323	ZA 2003-6490	20030820
US 2006020039	A1	20060126	US 2005-35767	20050114
PRIORITY APPLN. INFO.:			US 1999-154332P	P 19990917
			US 2000-185746P	P 20000229
			US 2000-663420	A1 20000915
			WO 2000-US25195	W 20000915

OTHER SOURCE(S): MARPAT 134:252334
GI



AB The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph; Q = a direct link, alkylene, CO, etc.; D = a direct link, (un)phenylene, etc.; E = a direct link, (CH₂)_qCO, SO₂, etc.; q = 0-2; G = (un)substituted Ph, (un)substituted 5-6 membered (non)aromatic heterocyclic a ring containing 1-4 heteroatoms selected from N, O and S; J = a direct link, SO₂, CO, etc.; X = (un)substituted Ph, naphthyl, heteroaryl] having activity against mammalian factor Xa, and therefore useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared E.g., a 3-step synthesis of the pyrazolecarboxamide I was described.

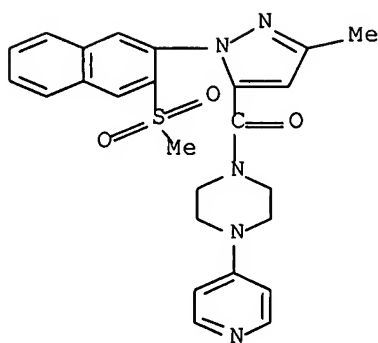
IT **330802-57-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors of factor Xa)

RN 330802-57-8 ZCAPLUS

CN Piperazine, 1-[[3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]-1H-pyrazol-5-yl]carbonyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L63 ANSWER 41 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:720685 ZCAPLUS Full-text

DOCUMENT NUMBER: 134:41751

TITLE: Polymer-Supported Tetrafluorophenol: A New Activated Resin for Chemical Library Synthesis

AUTHOR(S): Salvino, Joseph M.; Kumar, N. Vasant; Orton, Edward; Airey, John; Kiesow, Terence; Crawford, Kenneth; Mathew, Rose; Krolikowski, Paul; Drew, Mark; Engers, Darren; Krolinkowski, David; Herpin, Tim; Gardyan, Michael; McGeehan, Gerald; Labaudiniere, Richard

CORPORATE SOURCE: Lead Discovery and Medicinal Chemistry Departments, Rhone Poulenc Rorer, Collegeville, PA, 19426, USA

SOURCE: Journal of Combinatorial Chemistry (2000), 2(6), 691-697

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:41751

AB A new tetrafluorophenol activated resin that facilitates the use of ^{19}F NMR to quantitate loading is presented. This new resin provides a useful tool for acylation, and a novel activated polymeric sulfonate ester to generate sulfonamides. This activated resin reacts with a wide scope of N-nucleophiles including primary and secondary amines, and anilines. This new activated resin methodol. provides a powerful tool for pure single-compound library synthesis.

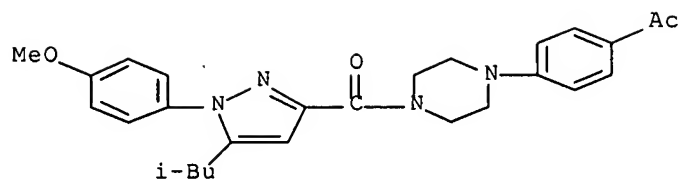
IT **313058-31-0P 313058-40-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)

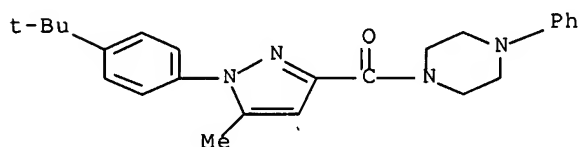
(preparation of chemical libraries via reactions of polymer-supported tetrafluorophenol)

RN 313058-31-0 ZCAPLUS

CN Piperazine, 1-(4-acetylphenyl)-4-[[1-(4-methoxyphenyl)-5-(2-methylpropyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

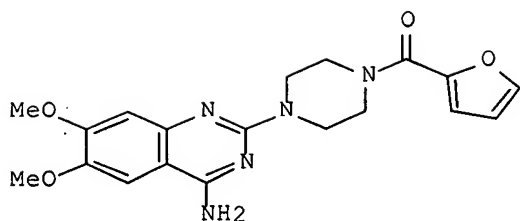


RN 313058-40-1 ZCAPLUS
 CN Piperazine, 1-[[1-[4-(1,1-dimethylethyl)phenyl]-5-methyl-1H-pyrazol-3-yl]carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)

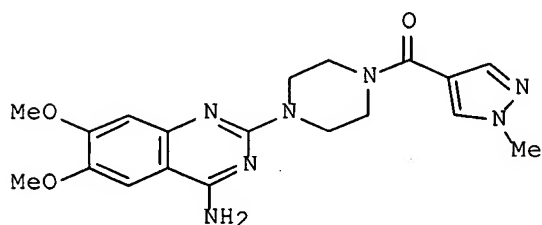


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 42 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:757907 ZCAPLUS Full-text
 DOCUMENT NUMBER: 130:110193
 TITLE: Pyrazole analogs of prazosin
 AUTHOR(S): Ermondi, Giuseppe; Boschi, Donatella; Di Stilo, Antonella; Tironi, Carla; Gasco, Alberto
 CORPORATE SOURCE: Dipartimento di Scienza e Tecnologia del Farmaco, Universita di Torino, Turin, 10125, Italy
 SOURCE: Farmaco (1998), 53(7), 519-524
 CODEN: FRMCE8; ISSN: 0014-827X
 PUBLISHER: Elsevier Science S.A.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



I



II

AB A series of analogs of prazosin I, in which 1-Me or 1-phenylpyrazole moieties were substituted for the furan ring, such as II, were synthesized and studied for their α_1 -adrenoceptor antagonist activity. All of the pyrazole analogs of I were less active than I. The role of the five member heterocyclic substructures in determining the affinity for the α_1 -receptor is briefly discussed.

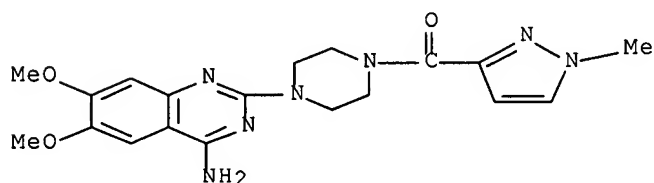
IT 219695-87-1P 219695-88-2P 219695-89-3P
219695-90-6P 219695-91-7P 219695-92-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, α_1 -adrenoceptor antagonist activity and conformational studies of pyrazole analogs of prazosin)

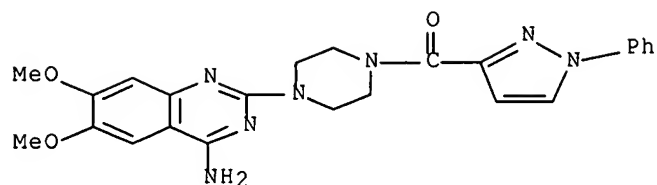
RN 219695-87-1 ZCAPLUS

CN Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-[(1-methyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



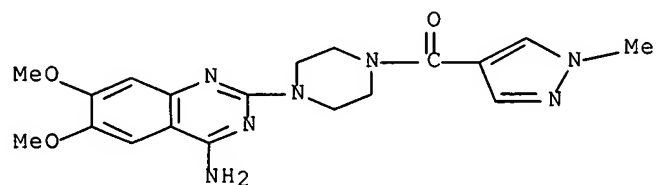
RN 219695-88-2 ZCAPLUS

CN Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-[(1-phenyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



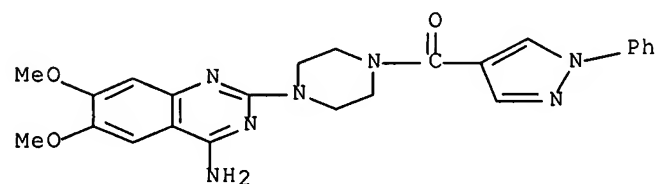
RN 219695-89-3 ZCAPLUS

CN Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-[(1-methyl-1H-pyrazol-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



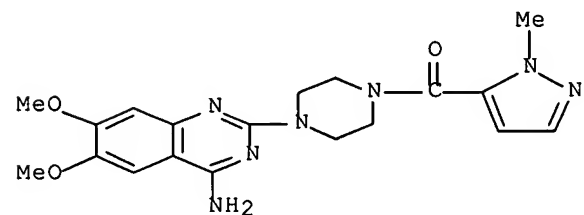
RN 219695-90-6 ZCAPLUS

CN Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-[(1-phenyl-1H-pyrazol-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 219695-91-7 ZCAPLUS

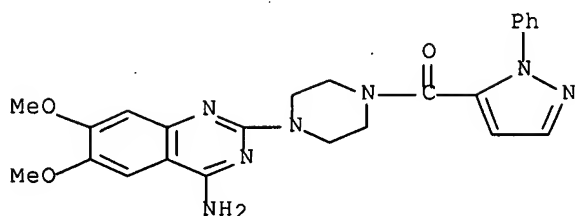
CN Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-[(1-methyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 219695-92-8 ZCAPLUS

CN Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-[(1-phenyl-1H-

pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 43 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1975:514340 ZCAPLUS Full-text

DOCUMENT NUMBER: 83:114340

TITLE: Substituted 4-phenyl-1-(3-pyrazolylalkyl)piperazines

AUTHOR(S): Koppe, Volker; Poetsch, Eike; Schulte, Karl

CORPORATE SOURCE: Res. Lab., Firma E. Merck, Darmstadt, Fed. Rep. Ger.

SOURCE: European Journal of Medicinal Chemistry (1975), 10(2), 154-61

CODEN: EJMCA5; ISSN: 0223-5234

DOCUMENT TYPE: Journal

LANGUAGE: French

OTHER SOURCE(S): CASREACT 83:114340

GI For diagram(s), see printed CA Issue.

AB Piperazines I (R = Me, n = 1, R1 = H, 2-Cl, 3-Cl, 4-Cl, 3-Me, 4-Me, 3-CF3, 4-OMe) were prepared by treating II with 1-arylpiperazines and reducing III with LiAlH4. I (R = H, Me; n=2,4; R1 = H, 2-Cl, 3-Cl, 4-Cl, 3-F, 3-Br, 3-Me, 4-Me, 3-CF3, 3-CMe3, 4-OMe, 3,4-Cl(OMe), 2-Me) were prepared by treating the chloroalkylpyrazoles with the arylpiperazines.

IT 20326-04-9P 20326-05-0P 20326-07-2P

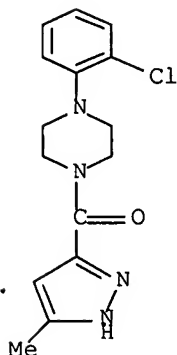
20326-10-7P 20344-08-5P 57245-88-2P

57245-89-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reduction of)

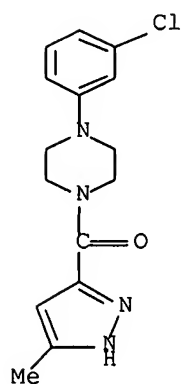
RN 20326-04-9 ZCAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



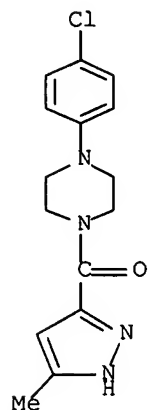
RN 20326-05-0 ZCAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-
(9CI) (CA INDEX NAME)



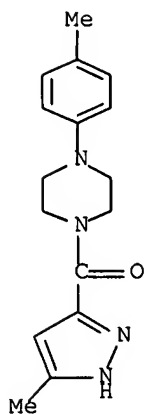
RN 20326-07-2 ZCAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-
(9CI) (CA INDEX NAME)



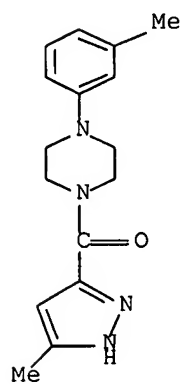
RN 20326-10-7 ZCAPLUS

CN Piperazine, 1-(4-methylphenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-
(9CI) (CA INDEX NAME)



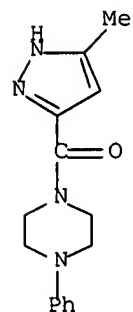
RN 20344-08-5 ZCAPLUS

CN Piperazine, 1-(3-methylphenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-
(9CI) (CA INDEX NAME)



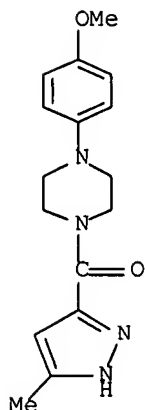
RN 57245-88-2 ZCAPLUS

CN Piperazine, 1-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-4-phenyl- (9CI) (CA
INDEX NAME)



RN 57245-89-3 ZCAPLUS

CN Piperazine, 1-(4-methoxyphenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-
(9CI) (CA INDEX NAME)



L63 ANSWER 44 OF 44 ZCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1968:506747 ZCAPLUS Full-text
 DOCUMENT NUMBER: 69:106747
 TITLE: 3-(Piperazinoalkyl)pyrazoles
 INVENTOR(S): Koppe, Volker; Schulte, Karl; Sommer, Siegmund;
 Muller-Calgan, Helmut
 PATENT ASSIGNEE(S): Merck, E., A.-G.
 SOURCE: Brit., 1 pp.
 CODEN: BRXXAA
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1124710		19680821	GB 1967-28901	19670622
DE 1620016			DE	
FR 6682			FR	
US 3491097		19700120	US	19670620
ZA 6703488		19670000	ZA	
PRIORITY APPLN. INFO.:			DE	19660702

OTHER SOURCE(S): MARPAT 69:106747

GI For diagram(s), see printed CA Issue.

AB The title compds. of the structure I and their acid addition salts, possessing valuable pharmacol. properties, are prepared Thus, 7.2 g. 3-(2-chloroethyl)-5-methylpyrazole and 19.6 g. N-(m- chlorophenyl)piperazine were mixed and heated at 120-30° for 2 hrs., the mixture was cooled, triturated with cold aqueous NH₃, extracted with C₆H₆ and worked up to give 8 g. 3-[2-[N'-(m-chlorophenyl)piperazino]ethyl]-5- methylpyrazole dihydrochloride m. 238-40°; trisulfate m. 198-200°. Similarly prepared were (5-methylpyrazole derivative, salt, and m.p. salt given): 3-[2-(N'-phenylpiperazino)ethyl], 2HCl, 174-6°; 3-[2-[N'-(o-chlorophenyl)piperazino]ethyl], 2HCl, 216-18°; 3-[2-[N'-p-(chlorophenyl)piperazino]ethyl], 3HCl, 218-20°; 3-[2-[N'-m-(trifluoromethylphenyl)piperazino]ethyl], 3HCl, 231-3°; 3-[2-[N'-(m-tolyl)piperazino]ethyl], 3HCl, 234-6°; 3-[2-(N'-p-tolylpiperazino)ethyl], 3HCl.2H₂O, 226-8°; 3-[2-[N'-(m-tert-butylphenyl)piperazino]ethyl], 3HCl, 231-

3°; 3-[2-[N'-(p-methoxyphenyl)piperazino]ethyl], 3HCl.H2O, 250-2°; 3-[3-[N'-(m-chlorophenyl)piperazino]ethyl], 3HCl.H2O, 158-60°; 3-[3-[N'-(o-chlorophenyl)piperazino]ethyl], 2HCl.H2O, 152-4°. Alternatively, 3-(2-chloroethyl)-5-methylpyrazole was treated with N-phenylpiperazine in BuOH in the presence of anhydrous K2CO3 to form 3-[2-(N'-phenylpiperazino)ethyl]-5-methylpyrazole dihydrochloride hydrate, m. 174-6° (EtOH). The compds. may also be formed by refluxing the reactants in solution. Alternatively, the compds. are hydrogenated over Pd-charcoal catalyst, or reduced over LiAlH4 to give the compds. (5-methylpyrazole derivative, m.p., salt, and m.p. salt given): 3-[[N'-(o-chlorophenyl)piperazino]methyl], -, 2HCl, 225-7°; 3-[[N'-(m-chlorophenyl)piperazino]methyl], -, 2HCl, 225-7°; 3-[[N'-(p-chlorophenyl)piperazino]methyl], -, 3HCl-ethanol solvate, 190-4° (decomposition); 3-[N'-(p-chlorophenyl)piperazinomethyl], 138-40°, 2HCl, 193-5°; 3-(N'-p-tolylpiperazinomethyl), 140-2°, 3HCl, 195-7°; 3-[N'-(p-methoxyphenyl)piperazinomethyl], 156-7°, 3HCl, 218-19°; 3-[N'-(m-trifluoromethylphenyl)piperazinomethyl], -, 3HCl.H2O, 159-62°; 3-(N'-m-tolylpiperazinomethyl), -, 2HCl, 214-17°.

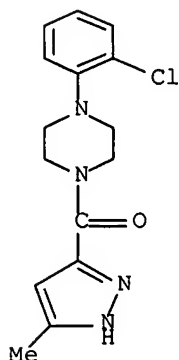
IT 20326-04-9P 20326-05-0P 20326-07-2P

20326-10-7P 20344-06-3P 20344-08-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

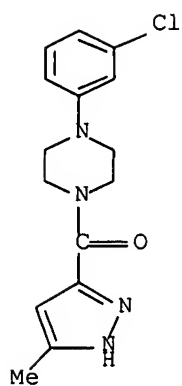
RN 20326-04-9 ZCAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-
(9CI) (CA INDEX NAME)



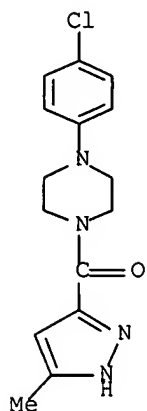
RN 20326-05-0 ZCAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-
(9CI) (CA INDEX NAME)



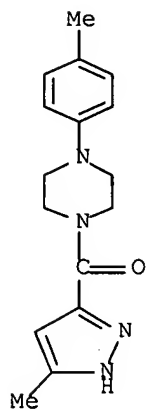
RN 20326-07-2 ZCAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-
(9CI) (CA INDEX NAME)



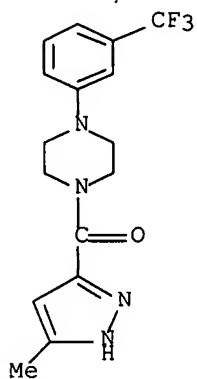
RN 20326-10-7 ZCAPLUS

CN Piperazine, 1-(4-methylphenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-
(9CI) (CA INDEX NAME)



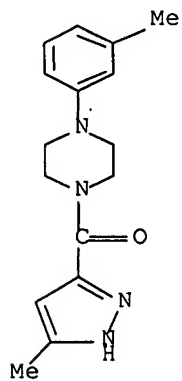
RN 20344-06-3 ZCAPLUS

CN Piperazine, 1-[(5-methylpyrazol-3-yl)carbonyl]-4-(α,α,α -trifluoro-m-tolyl)- (8CI) (CA INDEX NAME)



RN 20344-08-5 ZCAPLUS

CN Piperazine, 1-(3-methylphenyl)-4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



=> d his full

(FILE 'HOME' ENTERED AT 09:03:57 ON 21 MAY 2007)

FILE 'ZCAPLUS' ENTERED AT 09:04:54 ON 21 MAY 2007

E US2003-608520/APPS

L1 1 SEA ABB=ON PLU=ON US2003-608520/AP
D SCA
SEL RN

FILE 'REGISTRY' ENTERED AT 09:05:31 ON 21 MAY 2007

L2 22 SEA ABB=ON PLU=ON (1133-77-3/BI OR 16015-71-7/BI OR 53557-93-
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7071-83-2/BI OR 82-07-5/BI)
D SCA
L3 STRUCTURE UPLOADED
L4 0 SEA SSS SAM L3
L5 STRUCTURE UPLOADED
L6 0 SEA SUB=L2 SSS SAM L3
L7 45 SEA SSS SAM L3 AND L5
D STAT QUE L7
L8 886 SEA SSS FUL L3 AND L5
SAVE TEMP L8 WAR520ST3L5L/A

FILE 'ZCAPLUS' ENTERED AT 09:16:34 ON 21 MAY 2007

L9 47 SEA ABB=ON PLU=ON L8
D SCA
L10 5637 SEA ABB=ON PLU=ON TUBULIN/TI
L11 2 SEA ABB=ON PLU=ON L9 AND L10
D COST
SEL HIT RN L11

FILE 'REGISTRY' ENTERED AT 09:22:10 ON 21 MAY 2007

D COST
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FILE 'ZCAPLUS' ENTERED AT 09:23:07 ON 21 MAY 2007

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L13		3	SEA	ABB=ON	PLU=ON L12
L14		1	SEA	ABB=ON	PLU=ON L13 NOT L11
			D	SCA	
L15		1	SEA	ABB=ON	PLU=ON L14 AND L9
			D	SCA	
L16		336	SEA	ABB=ON	PLU=ON GERLACH M?/AU
L17		43	SEA	ABB=ON	PLU=ON EMIG P?/AU
L18		55	SEA	ABB=ON	PLU=ON POLYMERPOULOS E?/AU
L19		5456	SEA	ABB=ON	PLU=ON MULLER G?/AU OR MUELLER G?/AU
L20		2605	SEA	ABB=ON	PLU=ON SCHMIDT P?/AU
L21		24	SEA	ABB=ON	PLU=ON BAASNER S?/AU
L22		220	SEA	ABB=ON	PLU=ON GUNTHER E?/AU
L23		0	SEA	ABB=ON	PLU=ON (L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22) AND L11
L24		45	SEA	ABB=ON	PLU=ON L9 NOT L11
L25		1	SEA	ABB=ON	PLU=ON (L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22) AND L9
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L28		6	SEA	ABB=ON	PLU=ON L18 AND (L19 OR L20 OR L21 OR L22)
L29		12	SEA	ABB=ON	PLU=ON L19 AND (L20 OR L21 OR L22)
L30		10	SEA	ABB=ON	PLU=ON L20 AND (L21 OR L22)
L31		2	SEA	ABB=ON	PLU=ON L21 AND L22
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L33		59516	SEA	ABB=ON	PLU=ON ?PIPERAZIN?/BI
L34		50	SEA	ABB=ON	PLU=ON L33 AND (L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22)
L35		7	SEA	ABB=ON	PLU=ON L32 AND L34
L36		738	SEA	ABB=ON	PLU=ON ?CARBONYLPIPERAZIN?/BI
L37		4	SEA	ABB=ON	PLU=ON L36 AND (L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22)
L38		875	SEA	ABB=ON	PLU=ON ?CARBONYL PIPERAZIN?/BI
L39		7	SEA	ABB=ON	PLU=ON L38 AND (L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22)
L40		9	SEA	ABB=ON	PLU=ON L25 OR L35 OR L37 OR L39
L41		9	SEA	ABB=ON	PLU=ON L26 AND (L27 OR L28 OR L29 OR L30 OR L31)
L42		4	SEA	ABB=ON	PLU=ON L27 AND (L28 OR L29 OR L30 OR L31)
L43		5	SEA	ABB=ON	PLU=ON L28 AND (L29 OR L30 OR L31)
L44		2	SEA	ABB=ON	PLU=ON L29 AND (L30 OR L31)
L45		2	SEA	ABB=ON	PLU=ON L31 AND L31
L46		12	SEA	ABB=ON	PLU=ON (L41 OR L42 OR L43 OR L44 OR L45)
L47		16	SEA	ABB=ON	PLU=ON L40 OR L46

FILE 'REGISTRY' ENTERED AT 09:32:43 ON 21 MAY 2007

L48		629	SEA	ABB=ON	PLU=ON 2 C6/ES AND L8
L49		409	SEA	ABB=ON	PLU=ON L48 AND O>1
L50		395	SEA	ABB=ON	PLU=ON L8 NOT L12

L51 4 SEA ABB=ON PLU=ON L8 AND L2
 D SCA
 L52 18 SEA ABB=ON PLU=ON L2 NOT L51
 D SCA
 L53 STRUCTURE UPLOADED
 L54 0 SEA SUB=L8 SSS SAM L53
 L55 0 SEA SUB=L8 SSS FUL L53

 FILE 'MARPAT' ENTERED AT 09:46:54 ON 21 MAY 2007
 L56 0 SEA SSS SAM L53

 FILE 'BEILSTEIN' ENTERED AT 09:47:11 ON 21 MAY 2007
 L57 0 SEA SSS SAM L53
 L58 0 SEA SSS FUL L53

 FILE 'MARPAT' ENTERED AT 09:47:40 ON 21 MAY 2007
 D STAT QUE L56
 L59 1 SEA SSS FUL L53
 D SCA

 FILE 'CAPLUS' ENTERED AT 09:49:25 ON 21 MAY 2007
 L60 1 SEA ABB=ON PLU=ON L59

 FILE 'ZCAPLUS' ENTERED AT 09:49:35 ON 21 MAY 2007

 FILE 'MARPAT' ENTERED AT 09:50:08 ON 21 MAY 2007
 D L59 AU

 FILE 'REGISTRY' ENTERED AT 09:50:39 ON 21 MAY 2007

 FILE 'ZCAPLUS' ENTERED AT 09:50:44 ON 21 MAY 2007
 D STAT QUE L25
 D STAT QUE L35
 D STAT QUE L46
 D STAT QUE L39
 D STAT QUE L37
 L61 16 SEA ABB=ON PLU=ON (L25 OR L35 OR L46 OR L39 OR L37)
 D IBIB ABS HITIND L61 1-16

 FILE 'REGISTRY' ENTERED AT 09:52:38 ON 21 MAY 2007

 FILE 'ZCAPLUS' ENTERED AT 09:53:04 ON 21 MAY 2007
 D STAT QUE L55

 FILE 'BEILSTEIN' ENTERED AT 09:53:17 ON 21 MAY 2007
 D STAT QUE L58
 D STAT QUE L59

 FILE 'MARPAT' ENTERED AT 09:53:38 ON 21 MAY 2007
 D STAT QUE L59
 L62 1 DUP REM L55 L58 L59 (0 DUPLICATES REMOVED)
 ANSWER '1' FROM FILE MARPAT
 D IBIB ABS QHIT L62 1

 FILE 'REGISTRY' ENTERED AT 09:54:33 ON 21 MAY 2007

 FILE 'ZCAPLUS' ENTERED AT 09:54:38 ON 21 MAY 2007
 D STAT QUE L11
 D IBIB ABS HITIND L11 1-2

FILE 'REGISTRY' ENTERED AT 09:57:24 ON 21 MAY 2007

FILE 'ZCAPLUS' ENTERED AT 09:57:31 ON 21 MAY 2007

D STAT QUE L24

L63 44 SEA ABB=ON PLU=ON L24 NOT L61
D IBIB ABS HITSTR L63 1-44

FILE HOME

FILE ZCAPLUS

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FILE COVERS 1907 - 21 May 2007 VOL 146 ISS 22

FILE LAST UPDATED: 20 May 2007 (20070520/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 MAY 2007 HIGHEST RN 935394-90-4

DICTIONARY FILE UPDATES: 18 MAY 2007 HIGHEST RN 935394-90-4

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

FILE MARPAT

FILE CONTENT: 1961-PRESENT VOL 146 ISS 20 (20070518/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2007078267 05 APR 2007

DE 102005047308 05 APR 2007
EP 1768210 28 MAR 2007
JP 2007082900 05 APR 2007
WO 2007041089 12 APR 2007
GB 2430365 28 MAR 2007
FR 2891276 30 MAR 2007
RU 2296767 10 APR 2007
CA 2556850 24 FEB 2007

Expanded G-group definition display now available.

FILE BEILSTEIN
FILE LAST UPDATED ON April 02, 2007

FILE COVERS 1771 TO 2006.
FILE CONTAINS 9,882,697 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *

NEW
* **PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE**
SEARCHED, SELECTED AND TRANSFERRED.
* **NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,**
ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
COMPOUND AT A GLANCE.

FILE CAPLUS

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FILE COVERS 1907 - 21 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 20 May 2007 (20070520/ED)

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